

10/554,254

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	531	(544/251,544/252,514/267).CCLS.	US-PGPUB; USPAT	OR	OFF	2007/07/02 15:23
L2	531	(544/251,544/252,514/267, 540/560,540/562,540/469, 540/559).CCLS.	US-PGPUB; USPAT	OR	OFF	2007/07/02 15:25
L3	0	l1 and tricyclid adj pyrimidine	US-PGPUB; USPAT	OR	ON	2007/07/02 15:26
L4	2	l1 and tricyclic adj pyrimidine	US-PGPUB; USPAT	OR	ON	2007/07/02 15:26

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NEWS 2 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format
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NEWS 5 MAR 22 LWPI reloaded
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NEWS 7 APR 02 JICST-EPLUS removed from database clusters and STN
NEWS 8 APR 30 GENBANK reloaded and enhanced with Genome Project ID field
NEWS 9 APR 30 CHEMCATS enhanced with 1.2 million new records
NEWS 10 APR 30 CA/Caplus enhanced with 1870-1889 U.S. patent records
NEWS 11 APR 30 INPADOC replaced by INPADOCDB on STN
NEWS 12 MAY 01 New CAS web site launched
NEWS 13 MAY 08 CA/Caplus Indian patent publication number format defined
NEWS 14 MAY 14 RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS 15 MAY 21 BIOSIS reloaded and enhanced with archival data
NEWS 16 MAY 21 TOXCENTER enhanced with BIOSIS reload
NEWS 17 MAY 21 CA/Caplus enhanced with additional kind codes for German patents
NEWS 18 MAY 22 CA/Caplus enhanced with IPC reclassification in Japanese patents
NEWS 19 JUN 27 CA/Caplus enhanced with pre-1967 CAS Registry Numbers
NEWS 20 JUN 29 STN Viewer now available
NEWS 21 JUN 29 STN Express, Version 8.2, now available
NEWS 22 JUL 02 LEMBASS coverage updated
NEWS 23 JUL 02 LMBELINE coverage updated
NEWS 24 JUL 02 SCISEARCH enhanced with complete author names
NEWS 25 JUL 02 CHEMCATS accession numbers revised
NEWS 26 JUL 02 CA/Caplus enhanced with utility model patents from China
NEWS EXPRESS 29 JUNE 2007: CURRENT WINDOWS VERSION IS V6.2,
CURRENT MACINTOSH VERSION IS V6.0c(ENQ) AND V6.0Jc(JP),
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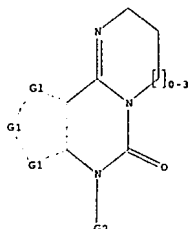
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G1 C,N

G2 H,Cy,AK

Structure attributes must be viewed using STN Express query preparation.

>> s l1
SAMPLE SEARCH INITIATED 17:24:52 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1539 TO ITERATE

100.0% PROCESSED 1539 ITERATIONS 30 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 28427 TO 33133
PROJECTED ANSWERS: 272 TO 928

L2 30 SEA SSS SAM L1

>> s l1 full
FULL SEARCH INITIATED 17:24:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 31457 TO ITERATE

100.0% PROCESSED 31457 ITERATIONS 538 ANSWERS
SEARCH TIME: 00.00.02

L3 538 SEA SSS FUL L1

	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	172.55	172.76

FILE 'CAPLUS' ENTERED AT 17:25:01 ON 02 JUL 2007
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FILE 'HOME' ENTERED AT 17:23:34 ON 02 JUL 2007

	SINCE FILE	TOTAL
>> file reg	ENTRY	SESSION
COST IN U.S. DOLLARS	0.21	0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 17:23:59 ON 02 JUL 2007
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L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

L1 STR

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L4 46 L3

>> d ibib abs hitstr tot

L4 ANSWER 1 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2007:245614 CAPLUS
DOCUMENT NUMBER: 146:454155
TITLE: 3D-Pharmacophore Models for Selective A2A and A2B Adenosine Receptor Antagonists
AUTHOR(S): Wei, Jing; Wang, Songqing; Gao, Shaofen; Dai, Xuedong; Gao, Qingzhi
CORPORATE SOURCE: School of Pharmaceutical Science and Technology, Tianjin University, Tianjin, 300072, Peop. Rep. China
SOURCE: Journal of Chemical Information and Modeling (2007), 47(2), 613-625
CODEN: JCISDH; ISSN: 1549-9596
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

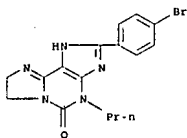
AB Three-dimensional pharmacophore models were generated for A2A and A2B adenosine receptors (ARs) based on highly selective A2A and A2B antagonists using the Catalyst program. The best pharmacophore model for selective A2A antagonists (Hypo-A2A) was obtained through a careful validation process. Four features contained in Hypo-A2A (one ring aromatic feature (R), one pos. ionisable feature (P), one hydrogen bond acceptor lipid feature (L), and one hydrophobic feature (H)) seem to be essential for antagonists in terms of binding activity and A2A AR selectivity. The best pharmacophore model for selective A2B antagonists (Hypo-A2B) was elaborated by modifying the Catalyst common features (Hiphop) hypotheses generated from the selective A2B antagonists training set. Hypo-A2B also consists of four features: one ring aromatic feature (R), one hydrophobic aliphatic feature (Z), and two hydrogen bond acceptor lipid features (L). All features play an important role in A2B AR binding affinity and are essential for A2B selectivity. Both A2A and A2B pharmacophore models have been validated toward a wide set of test mols. containing structurally diverse selective antagonists of all AR subtypes. They are capable of identifying correspondingly high potent antagonists and differentiating antagonists between subtypes. The results of our study will act as a valuable tool for retrieving structurally diverse compds. with desired biol. activities

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and designing novel selective adenosine receptor ligands.
 IT 206129-88-6
 RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
 (pharmacophore models for selective A2A and A2B adenosine receptor antagonists)
 RN 206129-88-6 CAPLUS
 CN 5H-Imidazo[2,1-*i*]purin-5-one, 2-(4-bromophenyl)-3,4,7,8-tetrahydro-4-propyl- (CA INDEX NAME)



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 2 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:1172157 CAPLUS
 DOCUMENT NUMBER: 146:93671
 TITLE: Docking studies of agonists and antagonists suggest an activation pathway of the A3 adenosine receptor
 AUTHOR(S): Kim, Soo-Kyung; Gao, Zhan-Guo; Jeong, Lak Shin; Jacobson, Kenneth A.
 CORPORATE SOURCE: Molecular Recognition Section, Laboratory of Bioorganic Chemistry, National Institute of Diabetes and Digestive and Kidney Diseases (NIDDK), National Institutes of Health (NIH), Bethesda, MD, 20892, USA
 SOURCE: Journal of Molecular Graphics & Modelling (2006), 25(4), 562-577
 CODEN: JMGMP1, ISSN: 1093-3263
 PUBLISHER: Elsevier Inc.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Structural determinants of ligand efficacy in the human A3 adenosine receptor (AR) were studied using pharmacophore and docking analyses of various categories of A3 selective ligands: inverse agonist, neutral antagonist (nonnucleoside and nucleoside), and agonist (partial and full). The homol. modeling of GPCRs was adapted to provide two templates: the rhodopsin-based resting state for antagonist binding and a putative Meta 1 state, conformationally altered at a key residue (W6.48), for agonist binding. The preferential binding domains and/or local conformational changes associated with docking of three high affinity A3AR ligands were compared: inverse agonist PSB-11, neutral antagonist MRE-3008P20, and full agonist Cl-IB-MECA to define a distinct recognition mode for each. Ribose-containing agonists were more hydrophilic than nonnucleoside antagonists, and H-bonding ability at the ribose 3'- and 5'-positions was required for agonism. From the receptor perspective, common requirements for activation included the destabilization of H-bond networks at W6.48 and H7.43, the specific interactions of the ribose moiety in its putative

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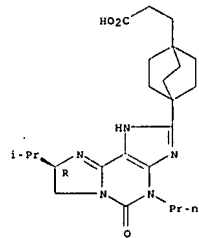
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diuresis model. Compound (I), the (R)-isomer of 7,8-dihydro-8-ethyl-2-(4-bicyclo[2.2.2]octan-1-yl)-4-propyl-1H-imidazo[2,1-*i*]purin-5(4H)-one, is a particularly potent adenosine A1 receptor antagonist with good selectivity over the other three adenosine receptor subtypes: A1 (human) $K_i = 22$ nM; A2A (human) $K_i = 4400$ nM; A2B (human) $K_i = 580$ nM; A3 (human) $K_i \geq 10000$ nM. Imidazoline I is a competitive adenosine A1 receptor antagonist with a pA_2 value of 8.88 and is highly soluble in water (>100 mg/mL). In addition, it has an oral bioavailability of 84% and an oral half-life of 3.8 h in rats. When orally administered in a rat diuresis model, compound 14 promoted sodium excretion ($ED_{50} = 0.01$ mg/kg). This level of efficacy is comparable to that of BG9928, a selective adenosine A1 receptor antagonist that is currently in clin. trials as a treatment for congestive heart failure. Addnl. modifications to 14 also showed that the bridgehead hydroxyl group could be replaced with a propionic acid (compound 36) without a significant loss in binding affinity or in vivo activity.

IT 433246-63-0P 917762-85-7P 917762-88-0P
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and structure activity relations of tricyclic imidazoline derivs. as potent and selective adenosine A1 receptor antagonists)
 RN 433246-63-0 CAPLUS
 CN Bicyclo[2.2.2]octane-1-propanoic acid, 4-[(8R)-4,5,7,8-tetrahydro-8-(1-methylethyl)-5-oxo-4-propyl-3H-imidazo[2,1-*i*]purin-2-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 917762-85-7 CAPLUS
 CN 5H-Imidazo[2,1-*i*]purin-5-one, 8-ethyl-3,4,7,8-tetrahydro-2-(1-hydroxybicyclo[2.2.2]oct-4-yl)-4-propyl-, hydrochloride (1:1), (8R)- (CA INDEX NAME)

Absolute stereochemistry.

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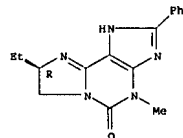
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10/513699

hydrophilic pocket at T3.36, S7.42, and H7.43, the stabilization of the complex by inward movement of P5.43, and the characteristic rotation of W6.48. By analogy, outward rotation of the W6.48 side-chain upon activation of an internally-crosslinking mutant M3 muscarinic receptor was indicated by constrained mol. dynamics (MD). The authors' results are consistent with an anti-clockwise rotation (from the extracellular view) of transmembrane domains 3, 5, 6, and 7, as proposed for other Family A GPCRs. Thus, the putative conformational changes associated with A3AR activation indicate a shared mechanism of GPCR activation similar to rhodopsin.

IT 444717-56-0, PSB-11
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (combination of docking studies and pharmacophore anal. of mol. mechanisms of interaction of agonists and antagonists with human adenosine A3 receptors)
 RN 444717-56-0 CAPLUS
 CN 5H-Imidazo[2,1-*i*]purin-5-one, 8-ethyl-3,4,7,8-tetrahydro-4-methyl-2-phenyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



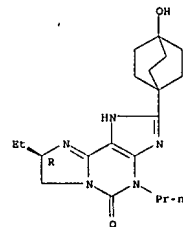
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L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:1170173 CAPLUS
 DOCUMENT NUMBER: 146:92609
 TITLE: Tricyclic Imidazoline Derivatives as Potent and Selective Adenosine A1 Receptor Antagonists
 AUTHOR(S): Vu, Chi B.; Kriesman, William F.; Conlon, Patrick R.; Lin, Ko-Chung; Tam, Melissa; Petter, Russell C.; Smits, Glenn; Lutterodt, Frank; Jin, Xiaowei; Chen, Liqing; Zhang, Jianbo
 CORPORATE SOURCE: Departments of Chemistry Pharmacology and Preclinical Development, Biogen Idec Inc., Cambridge, MA, 02142, USA
 SOURCE: Journal of Medicinal Chemistry (2006), 49(24), 7132-7139
 CODEN: JMCMAR, ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 146:92609
 AB Novel tricyclic imidazoline antagonists of the adenosine A1 receptor are described. For key compds., the selectivity level over other adenosine receptor subtypes is examined along with their in vivo effects in a rat

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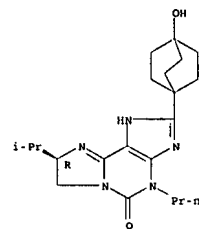
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● HCl

RN 917762-88-0 CAPLUS
 CN 5H-Imidazo[2,1-*i*]purin-5-one, 3,4,7,8-tetrahydro-2-(1-hydroxybicyclo[2.2.2]oct-4-yl)-8-(1-methylethyl)-4-propyl-, hydrochloride (1:1), (8R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 433246-53-8P 433246-58-3P 433246-91-4P
 433246-97-0P 433247-03-1P 433247-09-7P
 433247-14-4P 433247-25-7P 433247-31-5P
 433247-37-1P 433247-58-6P 433247-74-6P
 433247-84-8P 433248-07-8P 433248-11-4P
 917762-86-8P

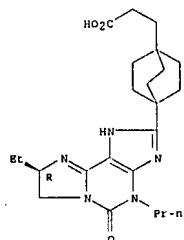
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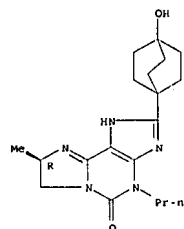
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and structure activity relations of tricyclic imidazoline derivs. as potent and selective adenosine A1 receptor antagonists)
 RN 433246-53-8 CAPLUS
 CN Bicyclo[2.2.2]octane-1-propanoic acid, 4-[(8R)-8-ethyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-3H-imidazo[2,1-i]purin-2-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 433246-58-3 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-methyl-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



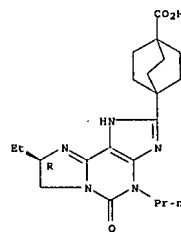
RN 433246-91-4 CAPLUS
 CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[(8R)-8-ethyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-3H-imidazo[2,1-i]purin-2-yl]- (CA INDEX NAME)

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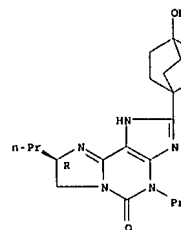
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Absolute stereochemistry.



RN 433246-97-0 CAPLUS
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Absolute stereochemistry.



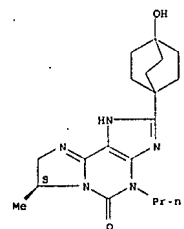
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Absolute stereochemistry.

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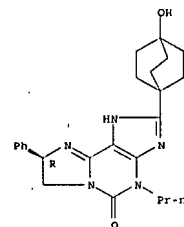
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RN 433247-09-7 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-phenyl-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



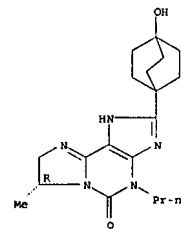
RN 433247-14-4 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-7-methyl-4-propyl-, (7R)- (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

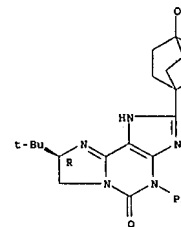
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RN 433247-25-7 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



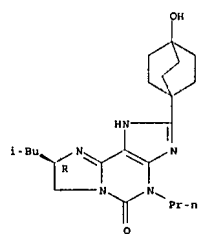
RN 433247-31-5 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-(2-methylpropyl)-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.

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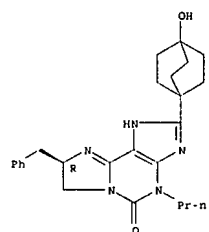
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RN 433247-37-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-(phenylmethyl)-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.

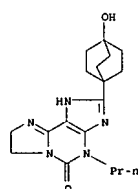


RN 433247-58-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl-, (8R)- (CA INDEX NAME)

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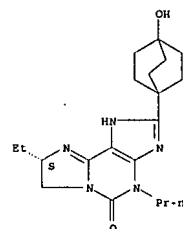
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Absolute stereochemistry.



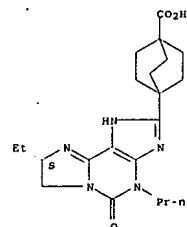
RN 433247-84-8 CAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[(8S)-8-ethyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-3H-imidazo[2,1-i]purin-2-yl]- (CA INDEX NAME)

Absolute stereochemistry.

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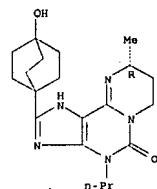
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RN 433248-07-8 CAPLUS
CN Pyrimido[2,1-i]purin-5(3H)-one, 4,7,8,9-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-9-methyl-4-propyl-, (9R)- (CA INDEX NAME)

Absolute stereochemistry.



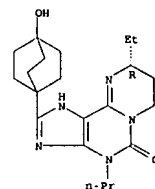
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Absolute stereochemistry.

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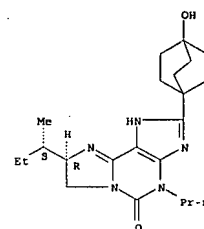
Erich Leese

10/513699



RN 917762-86-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(1-hydroxybicyclo[2.2.2]oct-4-yl)-8-[(1R)-1-methylpropyl]-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 917762-90-4P
RL: 9P (Synthetic preparation), PREP (Preparation)
(preparation and structure activity relations of tricyclic imidazole derivs. as potent and selective adenosine A1 receptor antagonists)
RN 917762-90-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-3,4,7,8-tetrahydro-2-(1-hydroxybicyclo[2.2.2]oct-4-yl)-4-propyl-, (8R)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

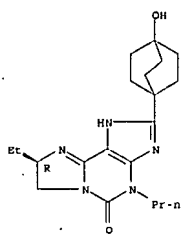
CM 1

CRN 433246-48-1
CMP C20 H29 N5 O2

Absolute stereochemistry.

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CM 2
CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

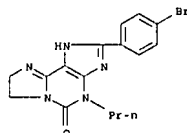
L4 ANSWER 4 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2005:1298051 CAPLUS
DOCUMENT NUMBER: 144:184409
TITLE: Glucose concentration-dependent potentiation of insulin secretion by a new chemical entity, KCP256
AUTHOR(S): Mori, Kiyotoshi; Takasaki, Kotaro; Katoh, Yoshimitsu; Yano, Hiroshi; Ueno, Kimihisa; Ichimura, Michiaki; Kusaka, Hideaki; Nomoto, Yuji; Higo, Katsuya; Nakanishi, Satoshi
CORPORATE SOURCE: Drug Discovery Research Laboratories, Pharmaceutical Research Center, Kyowa Hakko Kogyo Co., Ltd., 1188 Shimotogari, agazumi-cho, Shizuoka, Japan
SOURCE: European Journal of Pharmacology (2005), 528(1-3), 176-182
CODEN: EJPHAZ; ISSN: 0014-2999
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The insulinotropic activity of KCP256 [(R)-8-benzyl-2-cyclopentyl-7,8-dihydro-4-propyl-1H-imidazo[2,1-b]purin-5-one hydrochloride] was examined using MIN6 cells (a pancreatic β -cell line) and pancreatic islets isolated from rats. Unlike sulfonylurea anti-diabetic drugs, KCP256 dose-dependently (0.1-10 μ M) enhanced insulin secretion from

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for anti-asthma or anti-inflammation. However, potent antagonists for the rodent AJAR have not been identified. To evaluate the pharmacol. effects of human AJAR antagonists in mice, the authors here generated AJAR-humanized mice, in which the mouse AJAR gene was replaced by its human counterpart. The expression levels of human AJAR in the AJAR-humanized mice were equivalent to those of mouse AJAR in wild-type mice. Elevation of the intracellular Ca^{2+} concentration induced by an AJAR agonist was observed in bone marrow-derived mast cells from the AJAR-humanized mice and this Ca^{2+} mobilization was completely antagonized by a human AJAR antagonist. However, antigen-dependent degranulation was not potentiated by the AJAR agonist in the mast cells from AJAR-humanized mice. The agonist-stimulated human AJAR did not lead to the phosphorylation of either extracellular signal-regulated kinase 1/2 or protein kinase B in AJAR-humanized mice. The rate of human AJAR internalization in the mast cells was also markedly decreased compared with that of mouse AJAR in the mast cells. These results demonstrate that the human AJAR is insufficient to activate phosphoinositide 3-kinase γ -dependent signaling pathways in mice, probably due to the uncoupling of member(s) of the G proteins, which are capable of activating phosphoinositide 3-kinase γ , to the human AJAR. Despite the mouse G protein(s) responsible for the Ca^{2+} elevation are coupled with the human AJAR.

IT 206129-88-6, KP 26777
RL: BSU (Biological study, unclassified); BIOL (Biological study) (purinergic antagonist; human adenosine A3 receptor mobilization of intracellular calcium independent of signaling by phosphoinositide kinase pathway in bone marrow mast cells from receptor transfected mice)
RN 206129-88-6 CAPLUS
CN 5H-Imidazo[2,1-b]purin-5-one, 2-(4-bromophenyl)-3,4,7,8-tetrahydro-4-propyl- (CA INDEX NAME)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2005:703873 CAPLUS
DOCUMENT NUMBER: 143:338940
TITLE: Autocorrelation of Molecular Electrostatic Potential Surface Properties Combined with Partial Least Squares Analysis as New Strategy for the Prediction of the Activity of Human A3 Adenosine Receptor Antagonists
AUTHOR(S): Moro, Stefano; Bacilieri, Magdalena; Cacciari, Barbara; Spalluto, Giampiero
CORPORATE SOURCE: Molecular Modeling Section, Dipartimento di Scienze Farmaceutiche, Università di Padova, Padua, I-35131.

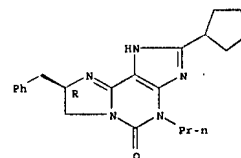
<12/04/2007>

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MIN6 cells and its insulinotropic effect was exerted only at high concns. of glucose (8.3-22 mM) but not at low concns. of glucose (3.3-5.5 mM). Furthermore, the action mechanism of KCP256 was different because, unlike sulfonylurea drugs, KCP256 did not displace the binding of [3H]glucibenzamide, and did not inhibit the 86Rb⁺ efflux nor KATP channel activity. In isolated islets, KCP256 also enhanced insulin secretion in a dose- and a glucose-concentration-dependent manner. Plasma levels of insulin after glucose challenge in KCP256-administered rats were higher than those in vehicle-administered animals, indicating that KCP256 can enhance insulin secretion in vivo. Since the insulinotropic activity of KCP256 only occurs at high concns. of glucose, this novel drug may exhibit a decreased risk of drug-induced hypoglycemia compared with sulfonylurea drugs when treating patients with diabetes.

IT 254426-47-6, KCP 256
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (glucose concentration-dependent potentiation of insulin secretion by a new chemical entity, KCP256)
RN 254426-47-6 CAPLUS
CN 5H-Imidazo[2,1-b]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

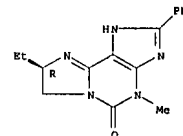
L4 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2005:1140155 CAPLUS
DOCUMENT NUMBER: 143:416601
TITLE: Human adenosine A3 receptor leads to intracellular Ca^{2+} mobilization but is insufficient to activate the signaling pathway via phosphoinositide 3-kinase γ in mice
AUTHOR(S): Yamano, Kazuya; Inoue, Miho; Masaki, Shigehiro; Saki, Mayumi; Ichimura, Michio; Satoh, Mitsuo
CORPORATE SOURCE: Tokyo Research Laboratories, Kyowa Hakko Kogyo Co. Ltd., Machida-shi, Tokyo, 194-8533, Japan
SOURCE: Biochemical Pharmacology (2005), 70(10), 1487-1496
CODEN: BCPAC6; ISSN: 0006-2952
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Selective antagonists for the adenosine A3 receptor (A3AR), a member of the G protein-coupled receptors, have been indicated as potential drugs

<12/04/2007>

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Italy
SOURCE: Journal of Medicinal Chemistry (2005), 48(18), 5698-5704
CODEN: JMCMMR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The combination of mol. electrostatic potential (MEP) surface properties (autocorrelation vectors) with the conventional partial least squares (PLS) anal. has been used for the prediction of the human A3 receptor antagonist activities. Three-hundred-fifty-eight structurally diverse human A3 receptor antagonists have been utilized to generate a novel ligand-based three-dimensional structure-activity relation. Remarkably, our chemical library includes all 21 important chemical classes of human A3 antagonists currently discovered, and it represents the largest mol. collection used to generate a general human A3 antagonist structure-activity relation. A robust quant. model has been obtained as described by both cross-validated correlation coefficient ($rcv = 0.81$) and prediction capability ($rpred = 0.82$). The proposed MEP/PLS approach can be considered as an alternative hit identification tool in virtual screening applications.
IT 444717-56-0 453591-60-1 543699-91-8
734528-03-1 788151-37-1
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study) (mol. electrostatic potential surface properties combined with partial least squares anal. for prediction of activity of human A3 adenosine receptor antagonists)
RN 444717-56-0 CAPLUS
CN 5H-Imidazo[2,1-b]purin-5-one, 8-ethyl-3,4,7,8-tetrahydro-4-methyl-2-phenyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



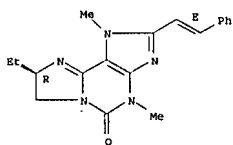
RN 453591-60-1 CAPLUS
CN 5H-Imidazo[2,1-b]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dimethyl-2-[(1E)-2-phenylethenyl]-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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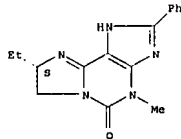
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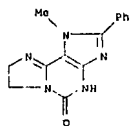


RN 543699-91-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-phenyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 734529-03-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1-methyl-2-phenyl-, (9CI) (CA INDEX NAME)



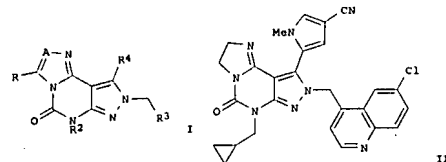
RN 788151-37-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-[(E)-2-phenylethenyl]-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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AB Title compds. represented by the formula I (wherein A = N or (un)substituted C; R = H, halo, (un)substituted alkyl, sulfide, etc.; R₂ = H, (un)substituted (cyclo)alkyl, alkenyl, aryl, etc.; R₃ = (un)substituted hetero(bi)cyclic ring; and pharmaceutically acceptable salts thereof) were prepared as glutamate racemase inhibitors. For example, II was given in a multi-step synthesis starting from the reaction of 6-chlorouracil with cyclopropylmethyl bromide. I showed inhibition of glutamate racemase with IC₅₀ values of less than 400 nM. Thus, I and their pharmaceutical compns. are useful as glutamate racemase inhibitors for the treatment or prophylaxis of H. pylori infection.

IT 845729-06-8P, 5-[8-[(6-chloroquinolin-4-yl)methyl]-6-isobutyl-5-oxo-2,5,6,8-tetrahydro-3H-imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-9-yl]-1-methyl-1H-pyrrole-3-carbonitrile 845729-07-9P, 5-[8-[(6-chloroquinolin-4-yl)methyl]-6-(cyclopropylmethyl)-5-oxo-2,5,6,8-tetrahydro-3H-imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-9-yl]-1-methyl-1H-pyrrole-3-carbonitrile 845729-08-0P, 5-[8-[(6-chloroquinolin-4-yl)methyl]-6-(cyclopropylmethyl)-3-methyl-5-oxo-2,5,6,8-tetrahydro-3H-imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-9-yl]-1-methyl-1H-pyrrole-3-carbonitrile 845729-09-1P 845729-10-4P 845729-11-5P 845729-12-6P, 5-[9-[(6-chloroquinolin-4-yl)methyl]-7-(cyclopropylmethyl)-6-oxo-2,3,4,6,7,9-hexahydro-4H-pyrazolo[4,3-e]pyrimidin-10-yl]-1-methyl-1H-pyrrole-3-carbonitrile

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

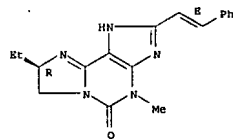
(Preparation of imidazo[1,2-c]pyrazolo[4,3-e]pyrimidine deriva. as glutamate racemase inhibitors)

RN 845729-06-8 CAPLUS
CN 1H-Pyrrole-3-carbonitrile, 5-[8-[(6-chloro-4-quinolinyl)methyl]-2,5,6,8-tetrahydro-6-(2-methylpropyl)-5-oxo-3H-imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-9-yl]-1-methyl-, (9CI) (CA INDEX NAME)

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REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

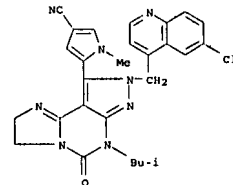
L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2005:158670 CAPLUS
DOCUMENT NUMBER: 142:261549
TITLE: Preparation of imidazo[1,2-c]pyrazolo[4,3-e]pyrimidine derivatives as glutamate racemase inhibitors
INVENTOR(S): Basarab, Gregory S.; Eyermann, Charles J.; Gowravaram, Madhusudhan R.; Green, Oluyinka; Kiely, Andrew; MacPherson, Lawrence J.; Morningstar, Marshall L.; Thanh, Nguyen
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016929	A1	20050224	WO 2004-GB3464	20040812
M:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RM:	BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GO, GW, ML, MR, NE, SN, TD, TG			
EP 1664052	A1	20060607	EP 2004-743692	20040812
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
JP 2007502307	T	20070208	JP 2006-523672	20040812
US 2006252781	A1	20061109	US 2006-567797	20060209
PRIORITY APPLN. INFO.:			US 2003-495615P	P 20030815
			WO 2004-GB3464	M 20040812
OTHER SOURCE(S):			CASREACT 142:261549, MARPAT 142:261549	
GI				

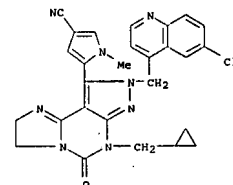
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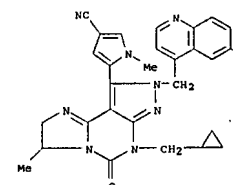
10/513699



RN 845729-07-9 CAPLUS
CN 1H-Pyrrole-3-carbonitrile, 5-[8-[(6-chloro-4-quinolinyl)methyl]-6-(cyclopropylmethyl)-2,5,6,8-tetrahydro-5-oxo-3H-imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-9-yl]-1-methyl-, (9CI) (CA INDEX NAME)



RN 845729-08-0 CAPLUS
CN 1H-Pyrrole-3-carbonitrile, 5-[8-[(6-chloro-4-quinolinyl)methyl]-6-(cyclopropylmethyl)-2,5,6,8-tetrahydro-3-methyl-5-oxo-3H-imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-9-yl]-1-methyl-, (9CI) (CA INDEX NAME)



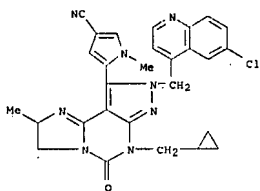
<12/04/2007>

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RN 845729-09-1 CAPLUS

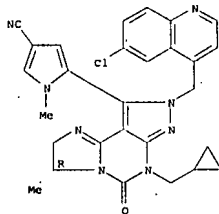
CN 1H-Pyrrole-3-carbonitrile, 5-[8-[(6-chloro-4-quinolinyl)methyl]-6-(cyclopropylmethyl)-2,5,6,8-tetrahydro-2-methyl-5-oxo-3H-imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-9-yl]-1-methyl- (9CI) (CA INDEX NAME)



RN 845729-10-4 CAPLUS

CN 1H-Pyrrole-3-carbonitrile, 5-[(3R)-8-[(6-chloro-4-quinolinyl)methyl]-6-(cyclopropylmethyl)-2,5,6,8-tetrahydro-3-methyl-5-oxo-3H-imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-9-yl]-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 845729-11-5 CAPLUS

CN 1H-Pyrrole-3-carbonitrile, 5-[(3S)-8-[(6-chloro-4-quinolinyl)methyl]-6-(cyclopropylmethyl)-2,5,6,8-tetrahydro-3-methyl-5-oxo-3H-imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-9-yl]-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

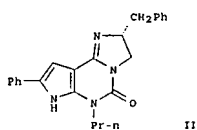
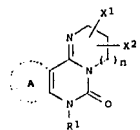
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Erich Leese

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FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004096812	A1	20041111	WO 2004-JP5890	20040423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004234245	A1	20041111	AU 2004-234245	20040423
CA 2523763	A1	20041111	CA 2004-2523763	20040423
EP 1637532	A1	20060322	EP 2004-729197	20040423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, SI, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1777608	A	20060524	CN 2004-80010487	20040423
US 2006252780	A1	20061109	US 2005-554254	20051024
PRIORITY APPLN. INFO.: JP 2003-121287 A 20030425				
OTHER SOURCE(S): MARPAT 141:410951				
GI				



AB The title fused pyrimidine derivs. represented by the formula I [wherein R1 = H, (un)substituted alkyl, aralkyl, aryl, or heteroaryl; n = 0-3; X1 and X2 = independently, H, (un)substituted alkyl, aralkyl, aryl, or heteroaryl; ring A = pyrrole, pyrazole, etc.] and pharmaceutically acceptable salts thereof are prepared for the treatment of diabetes. For example, the compound II was prepared in a multi-step synthesis. I showed significant promoting effect on insulin secretory activity at the concentration of 1.0 μM.

IT 791071-38-OP 791071-39-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of fused pyrimidine derivs. for treatment of diabetes)

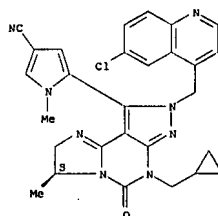
RN 791071-38-0 CAPLUS

CN 5H-Imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-5-one, 8-cyclopentyl-2,3,6,8-

<12/04/2007>

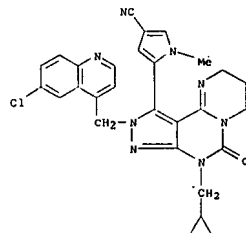
Erich Leese

10/513699



RN 845729-12-6 CAPLUS

CN 1H-Pyrrole-3-carbonitrile, 5-[2-[(6-chloro-4-quinolinyl)methyl]-4-(cyclopropylmethyl)-2,4,5,7,8,9-hexahydro-5-oxopyrazolo[4,3-e]pyrimidin-1-yl]-1-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2004:965256 CAPLUS

DOCUMENT NUMBER: 141:410951

TITLE: Preparation of fused pyrimidine derivatives for treatment of diabetes

INVENTOR(S): Nakajima, Takao; Ueno, Kimihisa; Nomoto, Yujii; Matsumoto, Yuichi; Yano, Hiroshi; Nakanishi, Satoshi; Takasaki, Kotaro; Kusaka, Hideaki

PATENT ASSIGNEE(S): Kyowa Hakkō Kogyo Co., Ltd., Japan

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

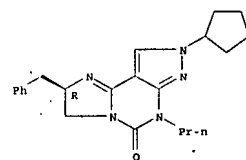
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Erich Leese

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tetrahydro-2-(phenylmethyl)-6-propyl-, (2R)- (9CI) (CA INDEX NAME)

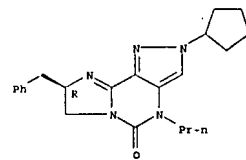
Absolute stereochemistry.



RN 791071-39-1 CAPLUS

CN 5H-Imidazo[1,2-c]pyrazolo[3,4-e]pyrimidin-5-one, 2-cyclopentyl-2,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 791071-28-6P 791071-29-9P 791071-30-2P

791071-31-3P 791071-32-4P 791071-33-5P

791071-34-6P 791071-35-7P 791071-36-8P

791071-37-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of fused pyrimidine derivs. for treatment of diabetes)

RN 791071-28-8 CAPLUS

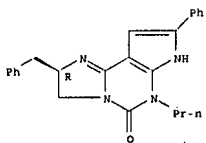
CN 5H-Imidazo[1,2-c]pyrazolo[3,4-e]pyrimidin-5-one, 2,3,6,7-tetrahydro-8-phenyl-2-(phenylmethyl)-6-propyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

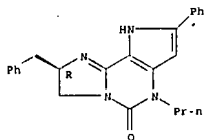
Erich Leese

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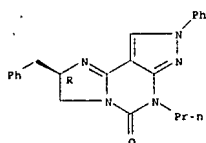
RN 791071-29-9 CAPLUS
CN 5H-Imidazo[1,2-c]pyrrolo[2,3-e]pyrimidin-5-one, 1,4,7,8-tetrahydro-2-phenyl-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 791071-30-2 CAPLUS
CN 5H-Imidazo[1,2-c]pyrrolo[2,3-e]pyrimidin-5-one, 2,3,6,8-tetrahydro-8-phenyl-2-(phenylmethyl)-6-propyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

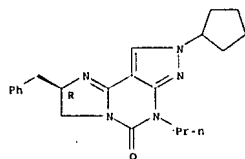


RN 791071-31-3 CAPLUS
CN 5H-Imidazo[1,2-c]pyrrolo[2,3-e]pyrimidin-5-one, 2-[(4-fluorophenyl)methyl]-2,3,6,8-tetrahydro-8-phenyl-6-propyl-, (9CI) (CA INDEX NAME)

<12/04/2007>

Erich Leese

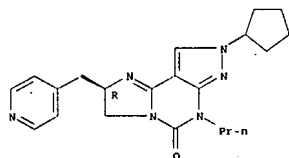
10/513699



● HCl

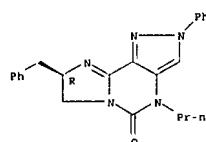
RN 791071-35-7 CAPLUS
CN 5H-Imidazo[1,2-c]pyrrolo[2,3-e]pyrimidin-5-one, 8-cyclopentyl-2,3,6,8-tetrahydro-6-propyl-2-(4-pyridinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 791071-36-8 CAPLUS
CN 5H-Imidazo[1,2-c]pyrrolo[2,3-e]pyrimidin-5-one, 2,4,7,8-tetrahydro-2-phenyl-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

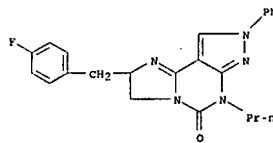


RN 791071-37-9 CAPLUS
CN 5H-Imidazo[1,2-c]pyrrolo[2,3-e]pyrimidin-5-one, 2-cyclopentyl-2,4,7,8-

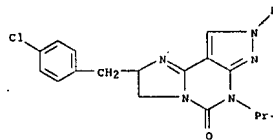
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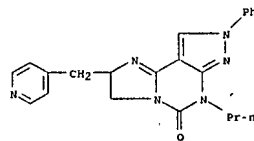
10/513699



RN 791071-32-4 CAPLUS
CN 5H-Imidazo[1,2-c]pyrrolo[2,3-e]pyrimidin-5-one, 2-[(4-chlorophenyl)methyl]-2,3,6,8-tetrahydro-8-phenyl-6-propyl-, (9CI) (CA INDEX NAME)



RN 791071-33-5 CAPLUS
CN 5H-Imidazo[1,2-c]pyrrolo[2,3-e]pyrimidin-5-one, 2,3,6,8-tetrahydro-8-phenyl-6-propyl-2-(4-pyridinylmethyl)-, (9CI) (CA INDEX NAME)



RN 791071-34-6 CAPLUS
CN 5H-Imidazo[1,2-c]pyrrolo[2,3-e]pyrimidin-5-one, 8-cyclopentyl-2,3,6,8-tetrahydro-6-propyl-2-(4-pyridinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

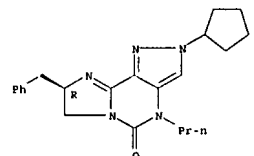
<12/04/2007>

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tetrahydro-8-(phenylmethyl)-4-propyl-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

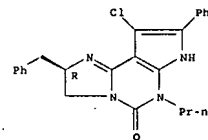


● HCl

IT 791071-41-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of fused pyrimidine derivs. for treatment of diabetes)

RN 791071-41-5 CAPLUS
CN 5H-Imidazo[1,2-c]pyrrolo[2,3-e]pyrimidin-5-one, 9-chloro-2,3,6,7-tetrahydro-8-phenyl-2-(phenylmethyl)-6-propyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STM
ACCESSION NUMBER: 2004:648390 CAPLUS
DOCUMENT NUMBER: 141:185092
TITLE: Combination of a phosphodiesterase IV (PDE IV) inhibitor and a tumor necrosis factor α (TNF-α) antagonist for the treatment of PDE IV-related conditions and TNF-α-related conditions
INVENTOR(S): Warner, James M.

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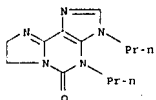
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
 SOURCE: PCT Int. Appl., 66 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004067006	A1	20040812	WO 2004-IB616	20040123
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GR, GU, HK, HU, ID, IL, IN, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NI, NO, OM, ON, OS, PA, PE, PG, PH, PK, PL, PT, RU, RW, SA, SC, SD, SE, SG, SI, SK, SL, SM, SN, SR, SS, SV, SY, TD, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VE, VG, VI, VN, YD, ZA, ZM, ZW				
US 2006083714	A1	20060420	US 2004-500266	20040618
PRIORITY APPLN. INFO.:			US 2003-442881P	P 20030127
			WO 2004-IB616	W 20040123

AB The invention discloses therapeutic combinations and methods for the treatment of inflammatory conditions and diseases. In particular, the invention discloses treatments and methods for PDE IV-related conditions and for TNF- α -related conditions using a combination of a PDE IV inhibitor and a TNF- α antagonist.

IT 195869-73-9, XT-611
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (phosphodiesterase IV inhibitor-tumor necrosis factor α antagonist combination for treatment of PDE IV-related conditions and TNF- α -related conditions)

RN 195869-73-9 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-3,4-dipropyl-, (8R)- (9CI) (CA INDEX NAME)

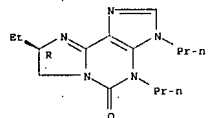


L4 ANSWER 10 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:262686 CAPLUS
 DOCUMENT NUMBER: 141:16876
 TITLE: Cyclic AMP phosphodiesterase 4 isoenzyme inhibitory activity of (R)- and (S)-isomer of 7-methyl- or 8-alkyl-4,5,7,8-tetrahydroimidazo[2,1-i]purin-5-one
 AUTHOR(S): Suzuki, Hirokazu; Nomura, Masaaki; Miyamoto, Ken-ichi; Sawanishi, Hiroyuki; Yamamoto, Kenji
 CORPORATE SOURCE: Department of Synthetic Chemistry, Faculty of Pharmaceutical Sciences, Hokuriku University, Kanazawa, 920-1181, Japan
 SOURCE: Biological & Pharmaceutical Bulletin (2004), 27(3), 357-360
 CODEN: BPBLED; ISSN: 0918-6158

<12/04/2007>

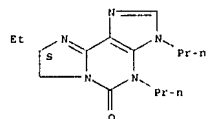
Erich Leese

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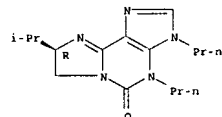
RN 697793-26-3 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-3,4,7,8-tetrahydro-3,4-dipropyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 697793-27-4 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-8-(1-methylethyl)-3,4-dipropyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 697793-28-5 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-8-(1-methylethyl)-3,4-dipropyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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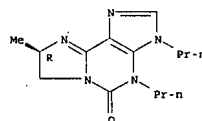
PUBLISHER: Pharmaceutical Society of Japan
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB We investigated the structure-activity relationship of the (R)- and (S)-isomer of 7-methyl- and 8-alkyl-tetrahydroimidazo[2,1-i]purines for phosphodiesterase 4 (PDE4) inhibitors. (S)-8-isopropyl-3,4-dipropyl-imidazo[2,1-i]purine (S) exhibited both potent and selective PDE4 inhibitory activity.

IT 697793-23-0P 697793-24-1P 697793-25-2P
 697793-26-3P 697793-27-4P 697793-28-5P
 697793-29-6P 697793-30-9P
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation and structure-activity relationship studies of 8-alkyl-4,5,7,8-tetrahydroimidazo[2,1-i]purin-5-one isomers as cAMP phosphodiesterase 4 isoenzyme inhibitors)

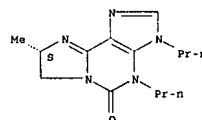
RN 697793-23-0 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-8-methyl-3,4-dipropyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 697793-24-1 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-8-methyl-3,4-dipropyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



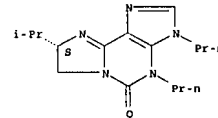
RN 697793-25-2 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-3,4,7,8-tetrahydro-3,4-dipropyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

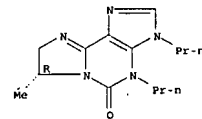
Erich Leese

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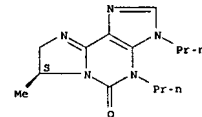
RN 697793-29-6 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-7-methyl-3,4-dipropyl-, (7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 697793-30-9 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-7-methyl-3,4-dipropyl-, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 697793-31-0P 697793-32-1P 697793-33-2P
 697793-34-3P 697793-35-4P 697793-36-5P
 697793-37-6P 697793-38-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation and structure-activity relationship studies of 8-alkyl-4,5,7,8-tetrahydroimidazo[2,1-i]purin-5-one isomers as cAMP phosphodiesterase 4 isoenzyme inhibitors)

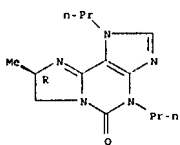
RN 697793-31-0 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-methyl-1,4-dipropyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

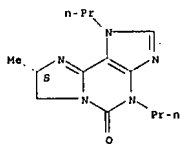
Erich Leese

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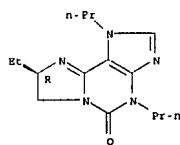
RN 697793-32-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-methyl-1,4-dipropyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 697793-33-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dipropyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



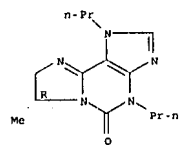
RN 697793-34-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dipropyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

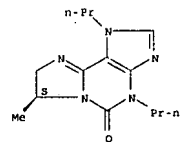
Erich Leese

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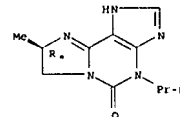
RN 697793-38-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-7-methyl-1,4-dipropyl-, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 697793-39-8P 697793-40-1P 697793-41-2P
697793-42-3P 697793-43-4P 697793-44-5P
697793-45-6P 697793-46-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and structure-activity relationship studies of 8-alkyl-4,5,7,8-tetrahydroimidazo[2,1-i]purin-5-one isomers as cAMP phosphodiesterase 4 isoenzyme inhibitors)
RN 697793-39-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-methyl-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

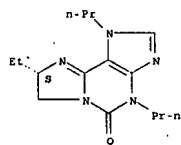


RN 697793-40-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-methyl-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

<12/04/2007>

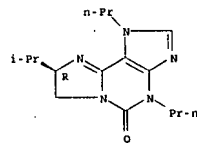
Erich Leese

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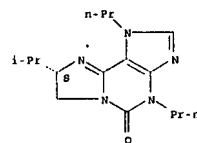
RN 697793-35-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(1-methylethyl)-1,4-dipropyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 697793-36-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(1-methylethyl)-1,4-dipropyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 697793-37-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-7-methyl-1,4-dipropyl-, (7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

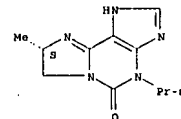
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10/513699

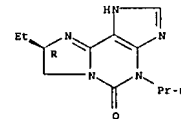
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



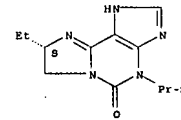
RN 697793-41-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 697793-42-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

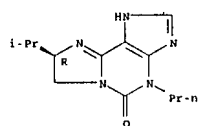


RN 697793-43-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

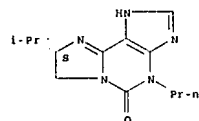
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Erich Leese



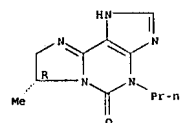
RN 697793-44-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 697793-45-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-7-methyl-4-propyl-, (7R)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

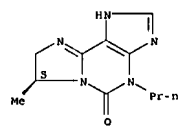


RN 697793-46-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-7-methyl-4-propyl-, (7S)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

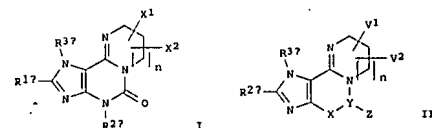
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REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:101168 CAPLUS
 DOCUMENT NUMBER: 140:151990
 TITLE: Cell differentiation inductor
 INVENTOR(S): Hasegawa, Kusunagi; Matsubara, Masahiro
 PATENT ASSIGNEE(S): Kyowa Hakkō Kogyo Co. Ltd., Japan
 SOURCE: PCT Int. Appl., 62 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WD 2004011469	A1	20040205	WO 2003-VP9460	20030725
WM:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, JP, KR, KG, KR, KK, LC, LK, LR, LS, LT, LV, LY, MA, MD, MG, MK, MN, MM, KM, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SJ, ST, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RM:	QH, OM, KM, KR, LS, MM, MZ, SD, SL, SZ, TZ, UD, ZM, ZM, AG, BY, KO, KZ, MD, RU, TJ, TM, AT, BE, BG, CN, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BP, BJ, CP, CG, CI, CM, GA, GN, GO, MG, ML, MR, NE, SN, TD, TO			
AU 200252697	A1	20040216	AU 2003-252697	20030725
PRIORITY APPLN. INFO.:			JP 2002-218882	A 20030726
			WO 2003-VP9460	20030725
OTHER SOURCE(S):	MARPAT 140:151990			
OI				



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AB A cell differentiation inducer which contains as an active ingredient one member selected among a fused purine derivative represented by the formula I, a fused purine derivative represented by the formula II, and pharmacol. acceptable salts of these.

IT
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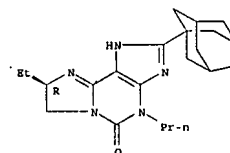
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RE: PAC (Pharmacological activity); PEU (Physical, engineering or chemical
program); PTP (Pharmacokinetic); TUP (Therapeutic use); BDL (Biological
study); PROC (Process); USES (Uses)
(fused purine derivative as cell differentiation inductors)
180145-17-9 CAPLUS
RN 5H-Imidazo[2,1-b]pyridin-5-one, 8-ethyl-, 1,4,7,8-tetrahydro-4-propyl-2-
CN 1-imino-2-methyl-2H-1,2,3,4,5,6,7,8-octahydro-1H-benzodiazepin-2-one,
(8E); (9CI) (X INDEX NAME)

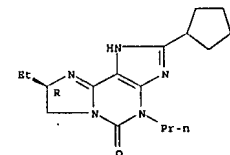
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Absolute stereochemistry.



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CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-ethyl-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



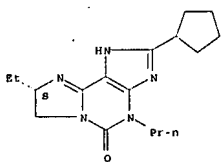
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CN 5H-Imidazo[2,1-i]purin-6-one, 2-cyclopentyl-8-ethyl-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

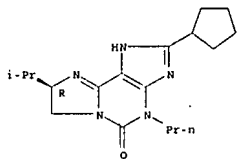
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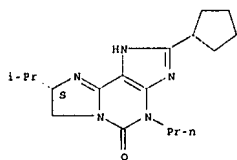
RN 254426-40-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-((1-methylethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254426-41-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-((1-methylethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

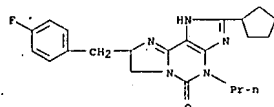


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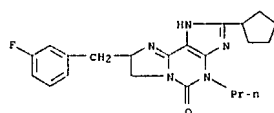
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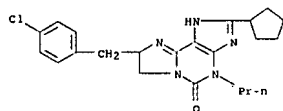
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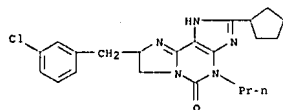
RN 254426-50-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-((3-fluorophenyl)methyl)-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)



RN 254426-51-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-((4-chlorophenyl)methyl)-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)



RN 254426-52-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-((3-chlorophenyl)methyl)-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)

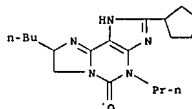


RN 254426-53-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-((2,6-dichlorophenyl)methyl)-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)

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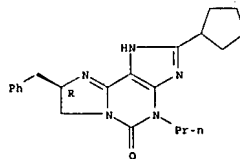
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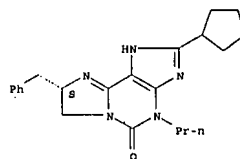
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CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254426-48-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

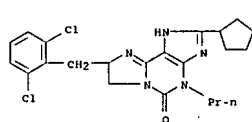


RN 254426-49-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-((4-fluorophenyl)methyl)-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)

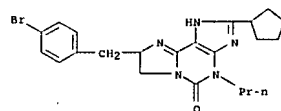
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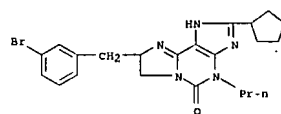
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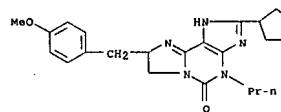
RN 254426-54-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-((4-bromophenyl)methyl)-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)



RN 254426-55-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-((3-bromophenyl)methyl)-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)



RN 254426-56-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-((4-methoxyphenyl)methyl)-4-propyl-, (9CI) (CA INDEX NAME)

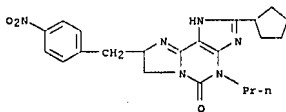


RN 254426-57-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-((4-nitrophenyl)methyl)-4-propyl-, (9CI) (CA INDEX NAME)

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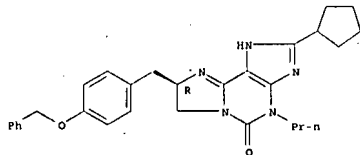
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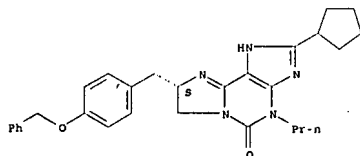
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CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[[4-(phenylmethoxy)phenyl]methyl]-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254426-59-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[[4-(phenylmethoxy)phenyl]methyl]-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



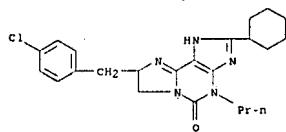
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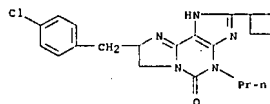
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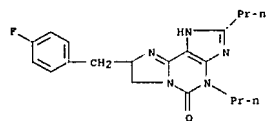
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[[4-(chlorophenyl)methyl]-2-cyclohexyl-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)



RN 254426-79-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[[4-(chlorophenyl)methyl]-2-cyclobutyl-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)



RN 254426-80-7 CAPLUS
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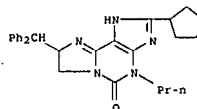


RN 254426-81-8 CAPLUS
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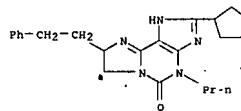
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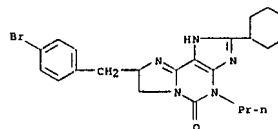
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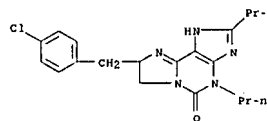
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CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-((2-phenylethyl)-4-propyl-, (9CI) (CA INDEX NAME)



RN 254426-76-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[[4-(bromophenyl)methyl]-2-cyclohexyl-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)



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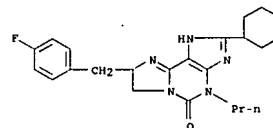


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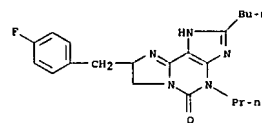
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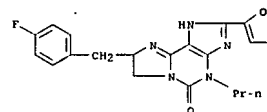
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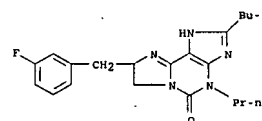
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CN 5H-Imidazo[2,1-i]purin-5-one, 2-butyl-8-[[4-(fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)



RN 254426-84-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[[4-(fluorophenyl)methyl]-2-(2-furanyl)-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)



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CN 5H-Imidazo[2,1-i]purin-5-one, 8-[[4-(fluorophenyl)methyl]-1,4,7,8-tetrahydro-2-(2-methylpropyl)-4-propyl-, (9CI) (CA INDEX NAME)

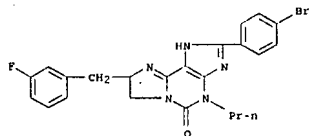


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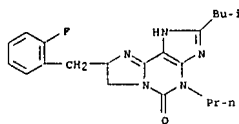
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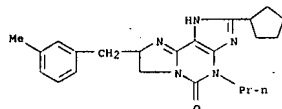
RN 254426-90-9 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-8-[(3-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 254426-91-0 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(2-fluorophenyl)methyl]-1,4,7,8-tetrahydro-2-(2-methylpropyl)-4-propyl- (9CI) (CA INDEX NAME)



RN 254426-92-1 CAPLUS
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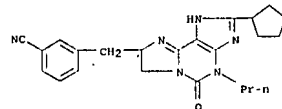
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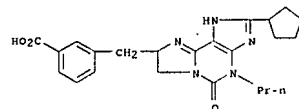
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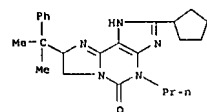
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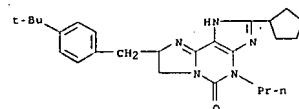
RN 254427-05-9 CAPLUS
 CN Benzoic acid, 3-[(2-cyclopentyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-8-yl)methyl]- (9CI) (CA INDEX NAME)



RN 254427-06-0 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(1-methyl-1-phenylethyl)-4-propyl- (9CI) (CA INDEX NAME)



RN 254427-17-3 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(4-(1,1-dimethylethyl)phenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

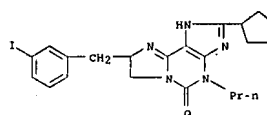


RN 254427-18-4 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(1,1'-biphenyl)-2-ylmethyl]-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

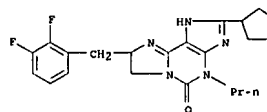
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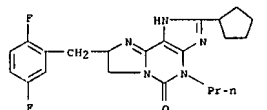
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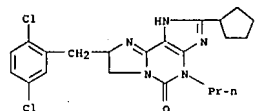
RN 254426-94-3 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(2,3-difluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 254426-95-4 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(2,5-difluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 254426-96-5 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(2,5-dichlorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



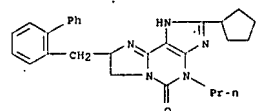
RN 254427-01-5 CAPLUS
 CN Benzonitrile, 3-[(2-cyclopentyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-8-yl)methyl]- (9CI) (CA INDEX NAME)

<12/04/2007>

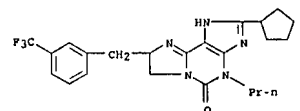
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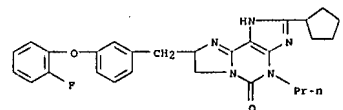
1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



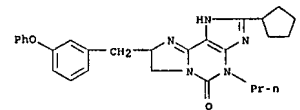
RN 254427-19-5 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-[(3-(trifluoromethyl)phenyl)methyl]- (9CI) (CA INDEX NAME)



RN 254427-20-8 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(3-(2-fluorophenoxy)phenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 254427-21-9 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(3-phenoxyphenyl)methyl]-4-propyl- (9CI) (CA INDEX NAME)



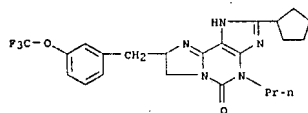
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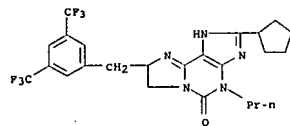
RN 254427-22-0 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-[[3-(trifluoromethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



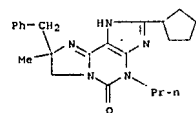
RN 254427-23-1 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 254427-24-2 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-methyl-8-(phenylmethyl)-4-propyl- (9CI) (CA INDEX NAME)



RN 348149-82-6 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

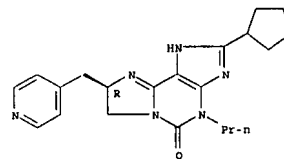
Erich Leese

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RN 348165-49-1 CAPLUS

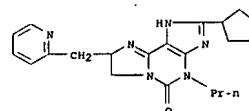
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348165-85-5 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



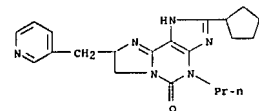
RN 348165-93-5 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

<12/04/2007>

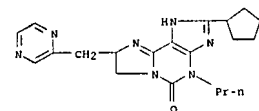
Erich Leese

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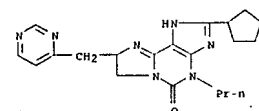
RN 348166-06-2 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(pyrazinylmethyl)- (9CI) (CA INDEX NAME)



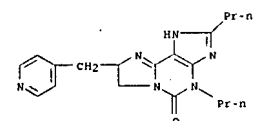
RN 348166-06-3 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 348166-07-4 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2,4-dipropyl-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 348166-21-2 CAPLUS

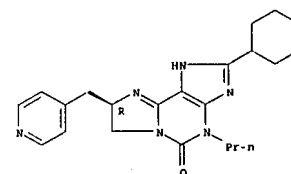
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclohexyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

<12/04/2007>

Erich Leese

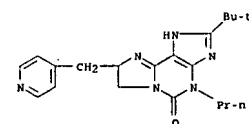
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Absolute stereochemistry.



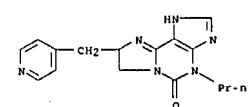
RN 348166-22-3 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 348166-24-5 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



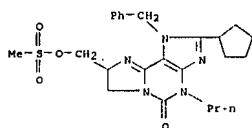
RN 348166-29-0 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[[[methylsulfonyl]oxy]methyl]-1-(phenylmethyl)-4-propyl- (9CI) (CA INDEX NAME)

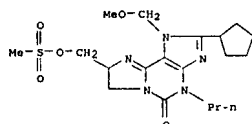
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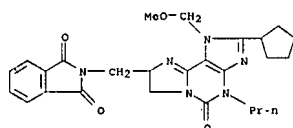
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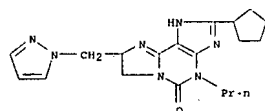
RN 348166-30-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-1-((methoxymethyl)-8-[[[methylsulfonyl]oxymethyl]-4-propyl- (9CI) (CA INDEX NAME)



RN 348166-31-4 CAPLUS
CN 1H-Isindole-1,3(2H)-dione, 2-[[2-cyclopentyl-1,4,5,7,8-tetrahydro-1-((methoxymethyl)-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-8-yl)methyl]- (9CI) (CA INDEX NAME)



RN 348166-91-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(1H-pyrazol-1-ylmethyl)- (9CI) (CA INDEX NAME)

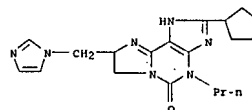


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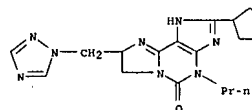
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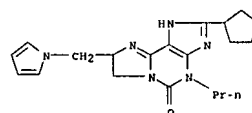
RN 348167-31-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(1H-imidazol-1-ylmethyl)-4-propyl- (9CI) (CA INDEX NAME)



RN 348167-32-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(1H-1,2,4-triazol-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 348167-33-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(1H-pyrrol-1-ylmethyl)- (9CI) (CA INDEX NAME)



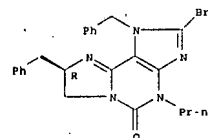
RN 348167-44-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-bromo-1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

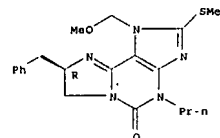
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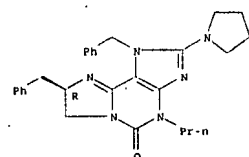
RN 348167-45-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1-((methoxymethyl)-2-(methylthio)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348167-46-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-4-propyl-2-(1-pyrrolidinyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



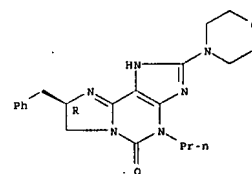
RN 348167-48-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(4-morpholinyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

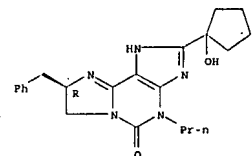
Erich Leese

10/513699



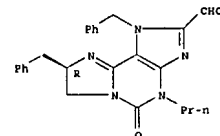
RN 348167-49-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(1-hydroxycyclopentyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348167-50-0 CAPLUS
CN 1H-Imidazo[2,1-i]purine-2-carboxaldehyde, 4,5,7,8-tetrahydro-5-oxo-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



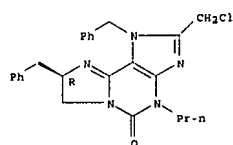
RN 348167-51-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(chloromethyl)-1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

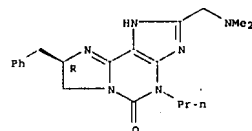
Erich Leese

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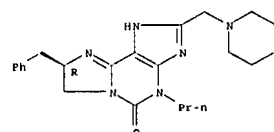
RN 348167-52-2 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-[(dimethylamino)methyl]-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348167-56-6 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-2-(1-piperidinymethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348167-57-7 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-(ethoxymethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

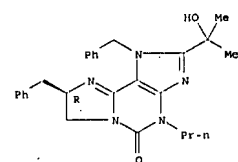
Absolute stereochemistry.

<12/04/2007>

Erich Leese

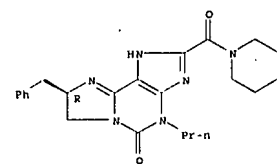
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Absolute stereochemistry.



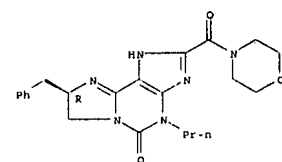
RN 348167-62-4 CAPLUS
CN Piperidine, 1-[[[(8R)-4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-1H-imidazo[2,1-i]purin-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348167-63-5 CAPLUS
CN Morpholine, 4-[[[(8R)-4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-1H-imidazo[2,1-i]purin-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

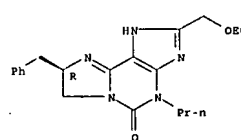


RN 348169-23-0 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-(1-ethoxyethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

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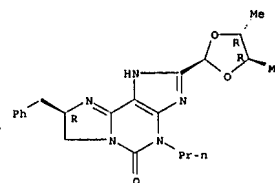
Erich Leese

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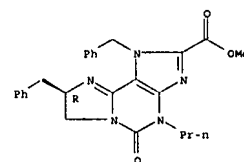
RN 348167-59-9 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-[(4R,5R)-4,5-dimethyl-1,3-dioxolan-2-yl]-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348167-60-2 CAPLUS
CN 1H-imidazo[2,1-i]purin-2-carboxylic acid, 4,5,7,8-tetrahydro-5-oxo-1,8-bis(phenylmethyl)-4-propyl-, methyl ester, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



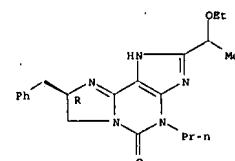
RN 348167-61-3 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(1-hydroxy-1-methyl-2-oxoethyl)-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

<12/04/2007>

Erich Leese

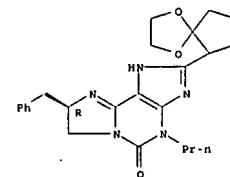
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Absolute stereochemistry.



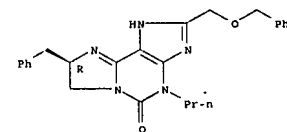
RN 348169-43-7 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-[(1,4-dioxaspiro[4.4]non-6-yl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348169-44-8 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-[(phenylmethoxy)methyl]-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



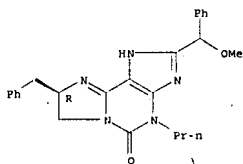
RN 348169-46-0 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(methoxyphenylmethyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

<12/04/2007>

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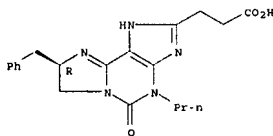
Absolute stereochemistry.



RN 348169-52-8 CAPLUS

CN 1H-imidazo[2,1-i]purin-2-propanoic acid, 4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

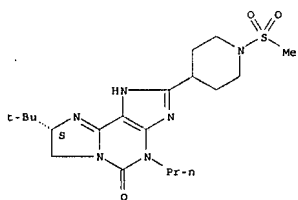
Absolute stereochemistry.



RN 348169-53-9 CAPLUS

CN Piperidine, 4-[(8S)-8-[(1,1-dimethylethyl)-4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-2-yl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

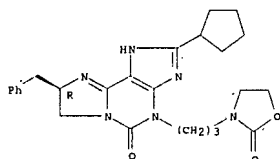


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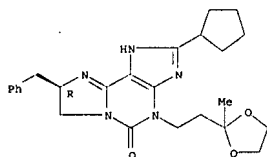
Absolute stereochemistry.



RN 348169-79-9 CAPLUS

CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-[(2-methyl-1,3-dioxolan-2-yl)ethyl]-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

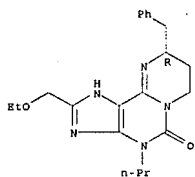
Absolute stereochemistry.



RN 348169-82-4 CAPLUS

CN Pyrimido[2,1-i]purin-5(1H)-one, 2-(ethoxymethyl)-4,7,8,9-tetrahydro-8-(phenylmethyl)-4-propyl-, (9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



<12/04/2007>

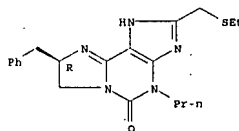
Erich Leese

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RN 348169-56-2 CAPLUS

CN 5H-imidazo[2,1-i]purin-5-one, 2-[(ethylthio)methyl]-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

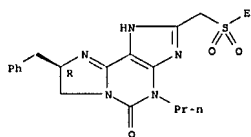
Absolute stereochemistry.



RN 348169-58-4 CAPLUS

CN 5H-imidazo[2,1-i]purin-5-one, 2-[(ethylsulfonyl)methyl]-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

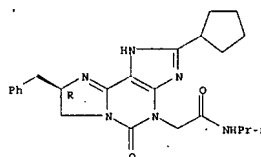
Absolute stereochemistry.



RN 348169-72-2 CAPLUS

CN 1H-imidazo[2,1-i]purine-4(5H)-acetamide, 2-cyclopentyl-7,8-dihydro-5-oxo-8-(phenylmethyl)-N-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348169-73-3 CAPLUS

CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-[3-(2-oxo-3-oxazolidinyl)propyl]-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

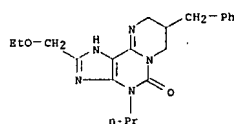
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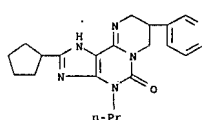
RN 348169-83-5 CAPLUS

CN Pyrimido[2,1-i]purin-5(1H)-one, 2-(ethoxymethyl)-4,7,8,9-tetrahydro-8-(phenylmethyl)-4-propyl-, (9CI) (CA INDEX NAME)



RN 348169-85-7 CAPLUS

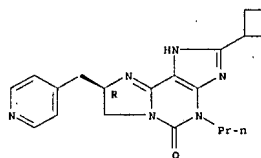
CN Pyrimido[2,1-i]purin-5(1H)-one, 2-cyclopentyl-4,7,8,9-tetrahydro-4-propyl-8-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 348362-73-2 CAPLUS

CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclobutyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 349554-63-8 CAPLUS

CN 5H-imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(tetrahydro-2-furanyl)-, (8R)- (9CI) (CA INDEX NAME)

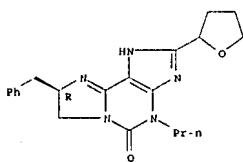
Absolute stereochemistry.



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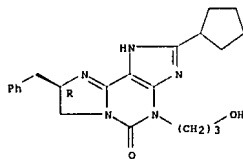
Erich Leese

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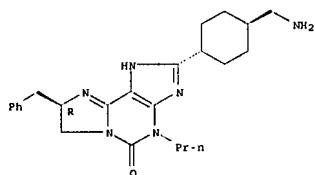
RN 349554-73-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-(3-hydroxypropyl)-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 349585-61-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-[trans-4-(aminomethyl)cyclohexyl]-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 627876-24-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(tetrahydro-2H-pyran-4-yl)-, (8R)- (9CI) (CA INDEX NAME)

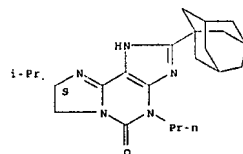
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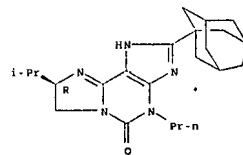
RN 652146-47-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-2-tricyclo[3.3.1.1^{3,7}]dec-1-yl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

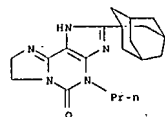


RN 652146-48-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-2-tricyclo[3.3.1.1^{3,7}]dec-1-yl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652146-49-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-propyl-2-tricyclo[3.3.1.1^{3,7}]dec-1-yl-, (9CI) (CA INDEX NAME)



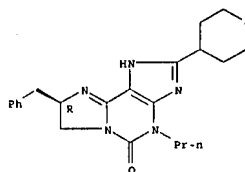
RN 652146-50-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-methyl-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

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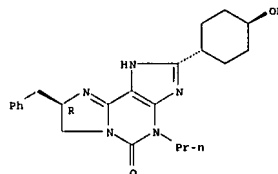
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Absolute stereochemistry.



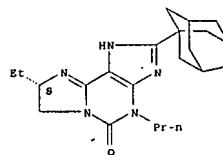
RN 627876-25-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(trans-4-hydroxycyclohexyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652146-46-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-propyl-2-tricyclo[3.3.1.1^{3,7}]dec-1-yl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

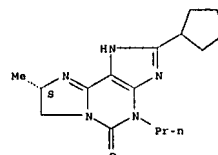


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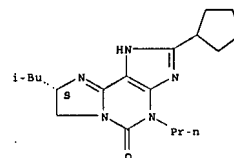
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Absolute stereochemistry.



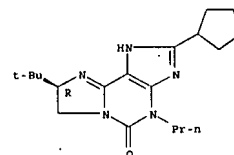
RN 652146-51-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(2-methylpropyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652146-52-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652146-53-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(cyclohexylmethyl)-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

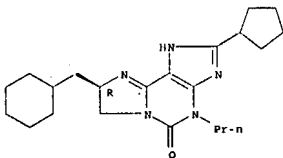
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tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

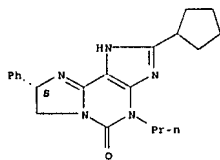
Absolute stereochemistry.



RN 652146-54-8 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-phenyl-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

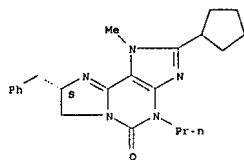
Absolute stereochemistry.



RN 652146-55-9 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-1-methyl-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

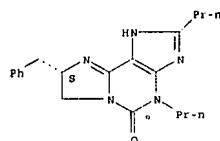


RN 652146-56-0 CAPLUS

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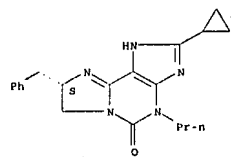
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RN 652146-63-9 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopropyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

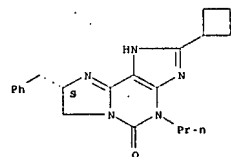
Absolute stereochemistry.



RN 652146-65-1 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652146-67-3 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclohexyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

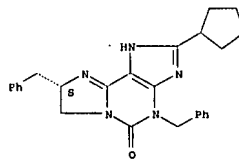
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10/513699

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4,8-bis(phenylmethyl)-, (8S)- (9CI) (CA INDEX NAME)

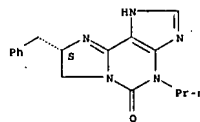
Absolute stereochemistry.



RN 652146-58-2 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

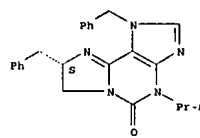
Absolute stereochemistry.



RN 652146-60-6 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

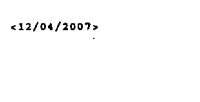
Absolute stereochemistry.



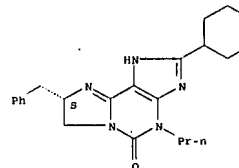
RN 652146-61-7 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-2,4-dipropyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



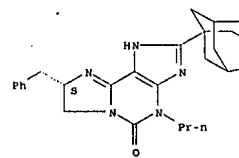
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RN 652146-68-4 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-tricyclo[3.3.1.1.3,7]dec-1-yl-, (8S)- (9CI) (CA INDEX NAME)

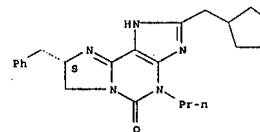
Absolute stereochemistry.



RN 652146-70-8 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-(cyclopentylmethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652146-72-0 CAPLUS

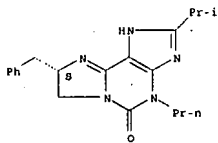
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(1-methylethyl)-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

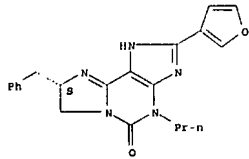
Erich Leese

10/513699



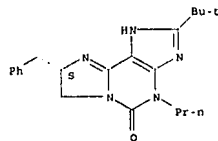
RN 652146-74-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(3-furanyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652146-76-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652146-78-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(3-thienyl)-, (8S)- (9CI) (CA INDEX NAME)

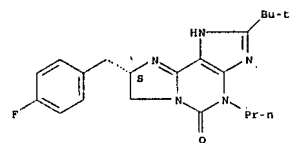
Absolute stereochemistry.

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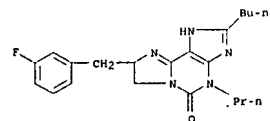
Erich Leese

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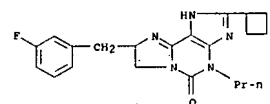
Absolute stereochemistry.



RN 652146-91-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-butyl-8-[(3-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)



RN 652146-93-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-8-[(3-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)



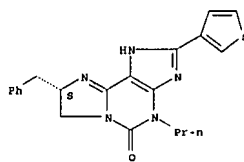
RN 652147-03-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(1,1-dimethylethyl)-2-(3,5-dimethyl-4-isoxazolyl)-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

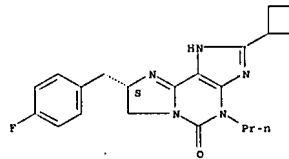
Erich Leese

10/513699



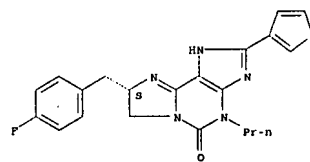
RN 652146-84-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652146-86-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-fluorophenyl)methyl]-2-(3-furanyl)-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

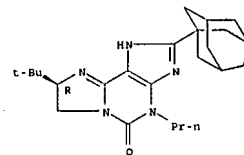


RN 652146-89-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

<12/04/2007>

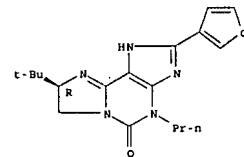
Erich Leese

10/513699



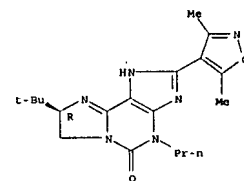
RN 652147-05-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(1,1-dimethylethyl)-2-(3-furanyl)-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652147-07-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(1,1-dimethylethyl)-2-(3,5-dimethyl-4-isoxazolyl)-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



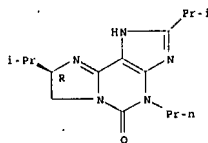
RN 652147-09-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2,8-bis(1-methylethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

<12/04/2007>

Erich Leese

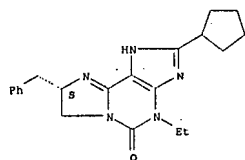
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Absolute stereochemistry.



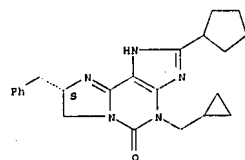
RN 652147-12-1 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-4-ethyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652147-14-3 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-4-(cyclopropylmethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



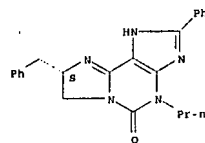
RN 652147-16-5 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-(cyclopropylmethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

<12/04/2007>

Erich Leese

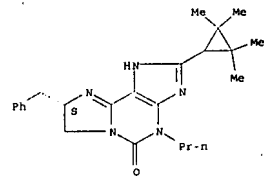
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Absolute stereochemistry.



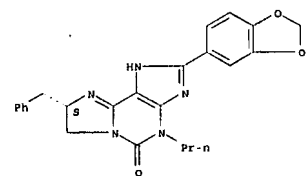
RN 652147-26-7 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(2,2,3,3-tetramethylcyclopropyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652147-28-9 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,3-benzodioxol-5-yl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



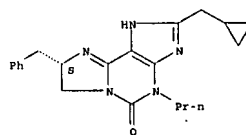
RN 652147-30-3 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(4-pyridinyl)-, (8S)- (9CI) (CA INDEX NAME)

<12/04/2007>

Erich Leese

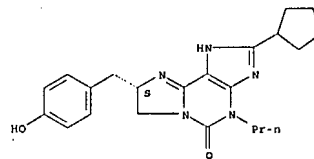
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Absolute stereochemistry.



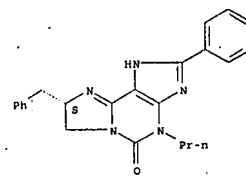
RN 652147-20-1 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(4-hydroxyphenyl)methyl]-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652147-32-3 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(3-pyridinyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



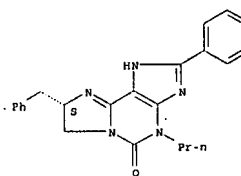
RN 652147-24-5 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-phenyl-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

<12/04/2007>

Erich Leese

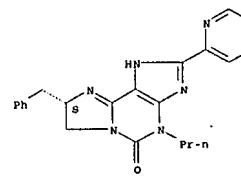
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Absolute stereochemistry.



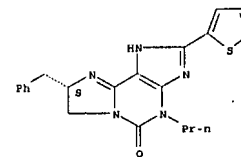
RN 652147-32-5 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-pyrazinyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652147-34-7 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(2-thienyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



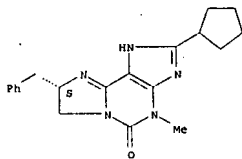
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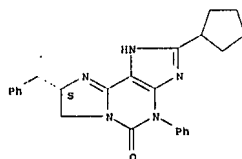
RN 652147-36-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-methyl-8-(phenylmethyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

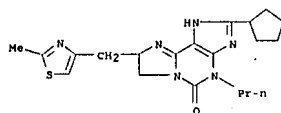


RN 652147-38-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-phenyl-8-(phenylmethyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652147-51-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(2-methyl-4-thiazolyl)methyl]-4-propyl- (9CI) (CA INDEX NAME)

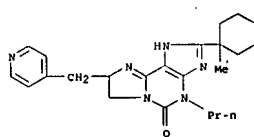


RN 652147-58-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(1-methylcyclohexyl)-4-propyl-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

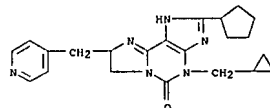
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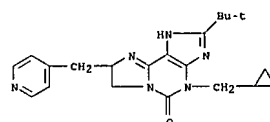
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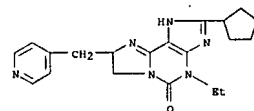
RN 652147-60-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-4-(cyclopropylmethyl)-1,4,7,8-tetrahydro-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 652147-62-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 4-(cyclopropylmethyl)-2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 652147-63-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-4-ethyl-1,4,7,8-tetrahydro-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

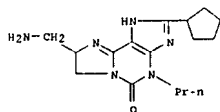


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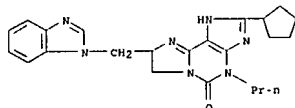
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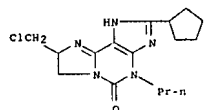
RN 652147-65-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(aminomethyl)-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



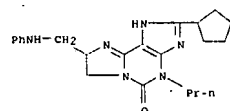
RN 652147-71-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(1H-benzimidazol-1-ylmethyl)-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 652147-73-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(chloromethyl)-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 652147-75-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(phenylamino)methyl]-4-propyl- (9CI) (CA INDEX NAME)

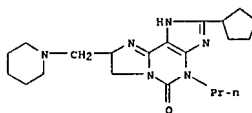


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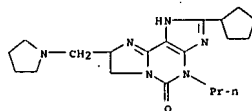
Erich Leese

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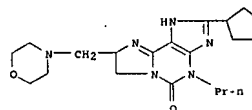
RN 652147-77-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(1-piperidinylmethyl)-4-propyl- (9CI) (CA INDEX NAME)



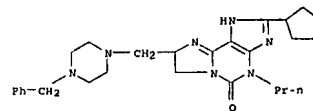
RN 652147-79-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(1-pyrrolidinylmethyl)-4-propyl- (9CI) (CA INDEX NAME)



RN 652147-81-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(4-morpholinylmethyl)-4-propyl- (9CI) (CA INDEX NAME)



RN 652147-82-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(4-(phenylmethyl)-1-piperazinyl)methyl]-4-propyl- (9CI) (CA INDEX NAME)



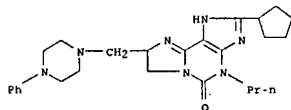
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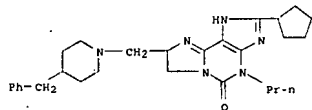
RN 652147-84-7 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(4-phenyl-1-piperazinyl)methyl]-4-propyl- (9CI) (CA INDEX NAME)



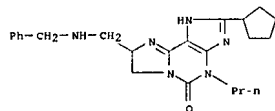
RN 652147-86-9 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(4-phenylmethyl)-1-piperidinylmethyl]-4-propyl- (9CI) (CA INDEX NAME)



RN 652147-88-1 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(1-phenylmethylamino)methyl]-4-propyl- (9CI) (CA INDEX NAME)



RN 652147-93-8 CAPLUS

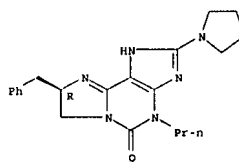
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(1-pyrrolidinyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

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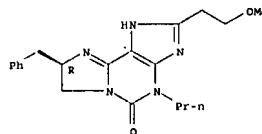
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RN 652148-09-9 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(2-methoxyethyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

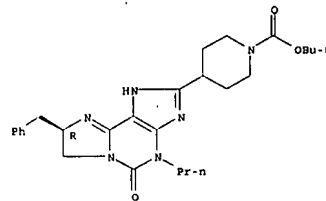
Absolute stereochemistry.



RN 652148-12-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(8R)-4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-1H-imidazo[2,1-i]purin-2-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652148-15-7 CAPLUS

CN Acetamide, N-[(trans-4-[(8R)-4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-1H-imidazo[2,1-i]purin-2-yl]cyclohexyl)methyl]- (9CI) (CA INDEX NAME)

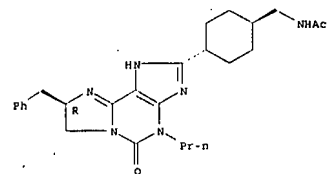
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Erich Leese

10/513699

NAME)

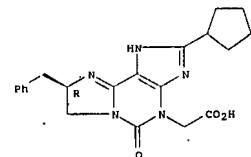
Absolute stereochemistry.



RN 652148-25-9 CAPLUS

CN 1H-Imidazo[2,1-i]purine-4(5H)-acetic acid, 2-cyclopentyl-7,8-dihydro-5-oxo-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

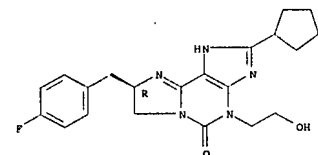
Absolute stereochemistry.



RN 652148-27-1 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-(2-hydroxyethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



<12/04/2007>

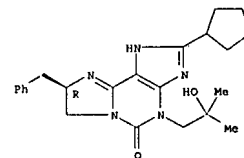
Erich Leese

10/513699

RN 652148-28-2 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-(2-hydroxy-2-methylpropyl)-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

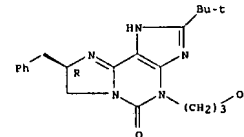
Absolute stereochemistry.



RN 652148-29-3 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-4-(3-hydroxypropyl)-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

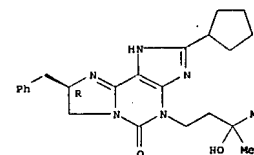
Absolute stereochemistry.



RN 652148-30-6 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-(3-hydroxy-3-methylbutyl)-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652148-31-7 CAPLUS

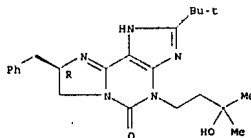
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Erich Leese

10/513699

CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-4-(3-hydroxy-3-methylbutyl)-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2004:65351 CAPLUS
 DOCUMENT NUMBER: 140:264351
 TITLE: Antinociceptive effects of novel A2B adenosine receptor antagonists
 AUTHOR(S): Abo-Saleem, Osama M.; Hayallah, Alaa M.; Bilkei-Gorzo, Andras; Filipek, Barbara; Zimmer, Andreas; Mueller, Christa E.
 CORPORATE SOURCE: Laboratory of Molecular Neurobiology, Department of Psychiatry, University of Bonn, Bonn, Germany
 SOURCE: Journal of Pharmacology and Experimental Therapeutics (2004), 308(1), 358-366
 CODEN: JPETAB; ISSN: 0022-3565
 PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Caffeine, an adenosine A1, A2A, and A2B receptor antagonist, is frequently used as an adjuvant analgesic in combination with nonsteroidal anti-inflammatory drugs or opioids. In this study, we have examined the effects of novel specific adenosine receptor antagonists in an acute animal model of nociception. Several A2B-selective compounds showed antinociceptive effects in the hot-plate test. In contrast, A1- and A2A-selective compounds did not alter pain thresholds, and an A3 adenosine receptor antagonist produced thermal hyperalgesia. Evaluation of psychostimulant effects of these compounds in the open field showed only small effects of some antagonists at high doses. Coadministration of low subeffective doses of A2B-selective antagonists with a low dose of morphine enhanced the efficacy of morphine. Our results indicate that analgesic effects of caffeine are mediated, at least in part, by A2B adenosine receptors.
 IT 439902-54-2, PSB 10
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (PSB 10; antinociceptive effects of novel A2B adenosine receptor antagonists)
 RN 439902-54-2 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-(2,3,5-trichlorophenyl)-, (8R)- (9CI) (CA INDEX NAME)

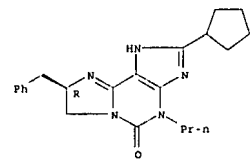
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Erich Leese

10/513699

substance and measuring the cell response of the cells upon the contact, [2] the step of bringing the above substance inhibiting the activity of the potassium-ATP channel of pancreatic β cells into contact with the above cells in the absence of a test substance and measuring the cell response of the cells upon the contact, and [3] the step of comparing the obtained data and thus selecting a test substance altering the cell response of the above cells; and a method of searching for a substance having an antidiabetic effect which comprises [1] the step of bringing a test substance into contact with pancreatic β cells having been desensitized to a compound having a sulfonylurea structure at a high glucose concentration and measuring the cell response of the cells upon the contact,
 [2] the step of measuring the cell response of the above cells at a high glucose concentration, and [3] the step of comparing the obtained data and thus selecting a substance altering the cell response of the above cells from among the test substances.
 IT 254426-47-6
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (Methods of searching for substance having antidiabetic effect)
 RN 254426-47-6 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

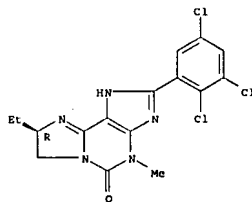
L4 ANSWER 14 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2003:931525 CAPLUS
 DOCUMENT NUMBER: 140:14538
 TITLE: Method for searching condensed purine derivative having antidiabetic activity
 INVENTOR(S): Ishiguro, Hiroki; Oshima, Yuko; Sugimoto, Seiji; Matsuura, Masahiro; Ueno, Kinshisa; Mori, Kiyotoshi; Nakanishi, Satoshi; Yano, Hiroshi
 PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 130 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

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Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2003:991776 CAPLUS
 DOCUMENT NUMBER: 140:35889
 TITLE: Methods of searching for substance having antidiabetic effect
 INVENTOR(S): Nakanishi, Satoshi; Yano, Hiroshi; Mori, Kiyotoshi; Matsuda, Yuzuru
 PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 20 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104804	A1	20031218	WO 2003-JP7351	20030610
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, NG, TD, TO				
AU 2003244107	A1	20031222	AU 2003-244107	20030610
PRIORITY APPLN. INFO.:			JP 2003-168091	A 20030610
			JP 2003-168092	A 20030610
			WO 2003-JP7351	W 20030610

AB It is intended to provide a method of searching for a substance having an antidiabetic effect which comprises [1] the step of bringing a substance inhibiting the activity of the potassium-ATP channel of pancreatic β cells into contact with pancreatic β cells in the presence of a test

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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097862	A1	20031127	WO 2003-JP6137	20030516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2003231538	A1	20031102	AU 2003-231538	20030516
EP 1514942	A1	20050316	EP 2003-725810	20030516
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1668759	A	20050914	CN 2003-816646	20030516
PRIORITY APPLN. INFO.:			JP 2002-143599	A 20020517
			WO 2003-JP6137	W 20030516

OTHER SOURCE(S): MARPAT 140:14538

AB A method is provided for searching a substance capable of inhibiting the binding between a condensed purine derivative and pancreatic β cell or its treatment, a substance capable of inhibiting the binding between a condensed purine derivative and a protein capable of binding with the condensed purine derivative, or a substance capable of inhibiting the expression and enzymic activity of a protein capable of binding with a condensed purine derivative, wherein the use is made of a condensed purine derivative and pancreatic β cell or its treatment product, or a protein capable of binding with the condensed purine derivative
 IT 254426-47-6 254426-48-7 254426-62-5
 254426-66-9 254426-67-0 254426-68-1
 254426-69-2 254426-71-6 254426-72-7
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 254427-15-1 254427-16-2 348149-82-6
 348165-49-1 348165-85-5 348165-93-5
 348166-06-3 348167-32-8 348167-33-9
 348554-62-8 348554-73-0 348555-61-1
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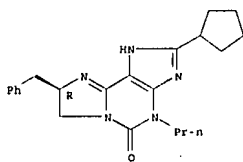
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (Method for searching condensed purine derivative having antidiabetic activity)

RN 254426-47-6 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

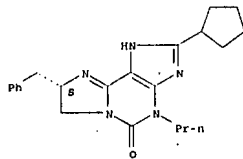
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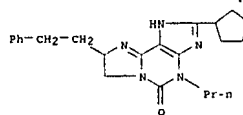


RN 254426-48-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254426-62-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(2-phenylethyl)-4-propyl-, (8R)-(9CI) (CA INDEX NAME)

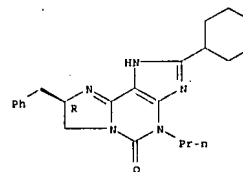


RN 254426-66-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-2,4-dipropyl-, (8R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

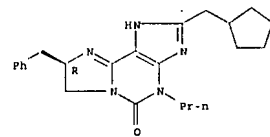
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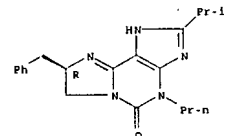
RN 254426-71-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(cyclopentylmethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254426-72-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(1-methylethyl)-8-(phenylmethyl)-4-propyl-, (8R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

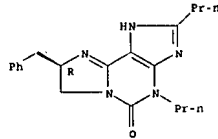


RN 254426-74-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

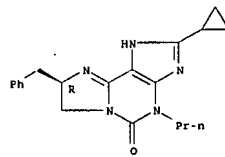
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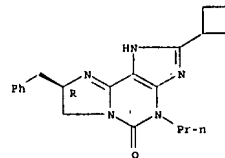
RN 254426-67-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopropyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254426-68-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

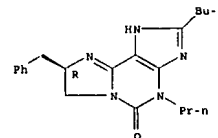


RN 254426-69-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclohexyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)-(9CI) (CA INDEX NAME)

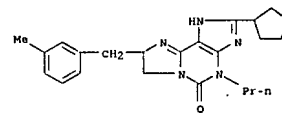
Absolute stereochemistry.

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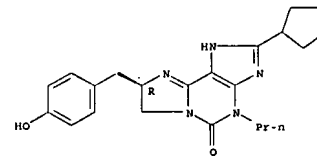


RN 254426-92-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-((3-methylphenyl)methyl)-4-propyl-, (8R)-(9CI) (CA INDEX NAME)



RN 254427-07-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-((4-hydroxyphenyl)methyl)-4-propyl-, (8R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



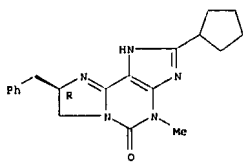
RN 254427-15-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-methyl-8-(phenylmethyl)-, (8R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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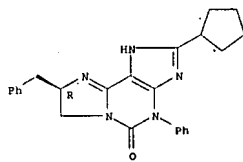
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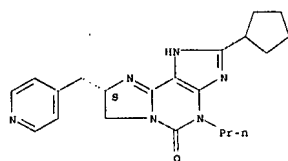
RN 254427-16-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-phenyl-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348149-82-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



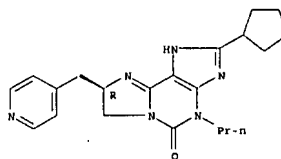
RN 348165-49-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

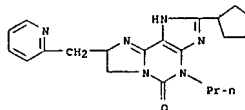
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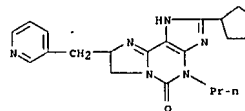
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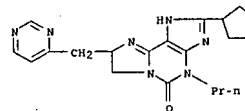
RN 348165-85-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 348165-93-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 348166-06-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

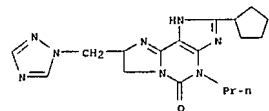


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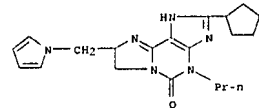
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RN 348167-32-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(1H-1,2,4-triazol-1-ylmethyl)- (9CI) (CA INDEX NAME)

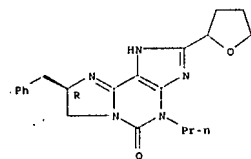


RN 348167-33-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(1H-pyrrol-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 349554-63-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(tetrahydro-2-furanyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



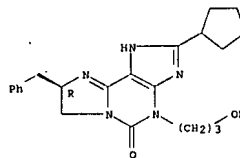
RN 349554-73-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-(3-hydroxypropyl)-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

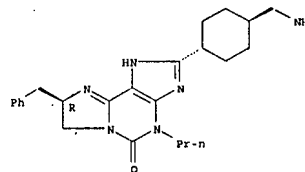
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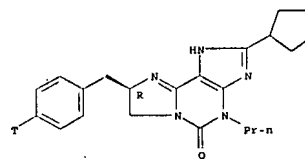
RN 349585-61-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(trans-4-(aminomethyl)cyclohexyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 627876-22-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenyl-4-methyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

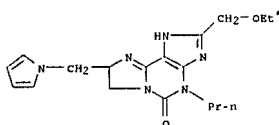


RN 627876-23-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(ethoxymethyl)-1,4,7,8-tetrahydro-4-propyl-8-(1H-pyrrol-1-ylmethyl)- (9CI) (CA INDEX NAME)

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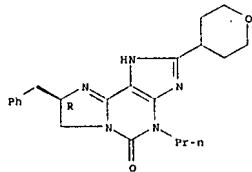
Erich Leese

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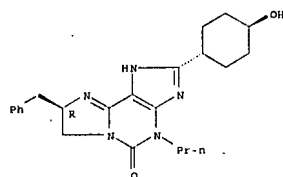
RN 627876-24-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(tetrahydro-2H-pyran-4-yl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 627876-25-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(trans-4-hydroxycyclohexyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

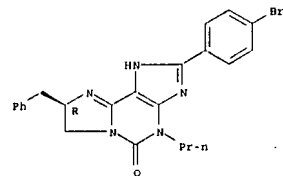


RN 627876-26-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-methyl-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

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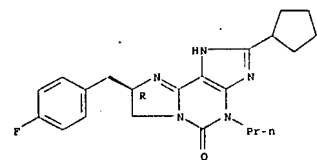
Erich Leese

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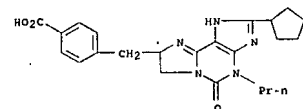


RN 627876-30-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 627876-31-7 CAPLUS
CN Benzoic acid, 4-[(2-cyclopentyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-8-yl)methyl]- (9CI) (CA INDEX NAME)



RN 627876-32-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-(2-hydroxyethyl)-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

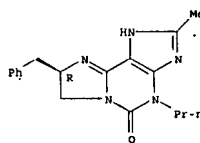
Absolute stereochemistry.

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Erich Leese

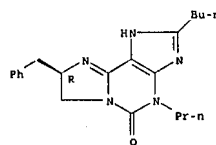
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Absolute stereochemistry.

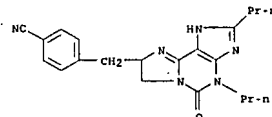


RN 627876-27-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-butyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 627876-28-2 CAPLUS
CN Benzonitrile, 4-[(4,5,7,8-tetrahydro-5-oxo-2,4-dipropyl-1H-imidazo[2,1-i]purin-8-yl)methyl]- (9CI) (CA INDEX NAME)



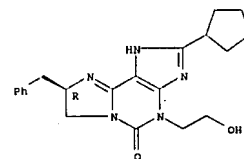
RN 627876-29-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

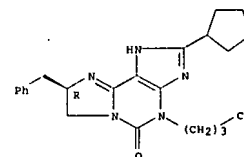
Erich Leese

10/513699



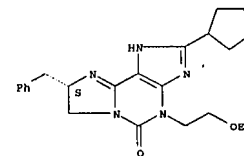
RN 627876-33-9 CAPLUS
CN 1H-Imidazo[2,1-i]purine-4(5H)-butanenitrile, 2-cyclopentyl-7,8-dihydro-5-oxo-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 627876-34-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-4-(2-ethoxyethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:931184 CAPLUS

<12/04/2007>

Erich Leese

10/513699

DOCUMENT NUMBER: 140.8791
 TITLE: Therapeutic agent for diabetes
 INVENTOR(S): Nakanishi, Satoshi; Yano, Hiroshi; Mori, Kiyotoshi;
 Ogino, Fumiko; Kusaka, Hideaki; Ueno, Kimihisa;
 Nomoto, Yuji; Matsuda, Yuzuru
 PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 65 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097064	A1	20031127	WO 2003-JP6136	20030516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: OH, OM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GO, GW, GU, HK, HR, KE, NE, NG, TD, TO				
AU 2003234929	A1	20031202	AU 2003-234929	20030516
PRIORITY APPLN. INFO.:				
JP 2002-143598 A 20020517				
WO 2003-JP6136 W 20030516				

OTHER SOURCE(S): MARPAT 140.8791

AB A therapeutic agent for diabetes, is characterized by containing at least one member selected among sulfonylurea antidiabetic agents and sulfonylurea-free K⁺ ATP channel blocker antidiabetic agents and at least one member selected among a fused purine derivative and pharmacol. acceptable salts of these. For example, a tablet contained glibenclamide 2, (R)-2-cyclopentyl-7,8-dihydro-8-(4-picolyl)-4-propyl-1H-imidazo[2,1-i]-purin-5(4H)-one d-tartrate acid salt 18, lactose 143.4, starch 30, hydroxypropyl cellulose 6, and Mg stearate 0.6 mg.

IT 254426-47-6 348165-49-1 348362-73-2

349554-62-7 349554-69-4 627512-37-2

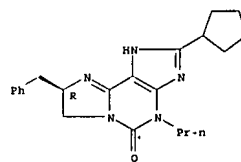
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (antidiabetic combinations for treatment and prevention of diabetes complications and side effects)

RN 254426-47-6 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

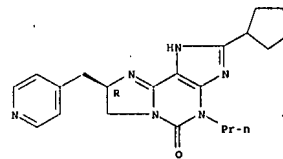
10/513699



RN 348165-49-1 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(4-pyridinylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

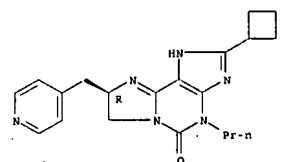
Absolute stereochemistry.



RN 348362-73-2 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-1,4,7,8-tetrahydro-8-(4-pyridinylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 349554-62-7 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-1,4,7,8-tetrahydro-8-(4-pyridinylmethyl)-, (8R)- (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

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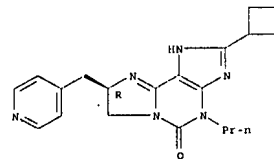
10/513699

CM 1

CRN 348362-73-2

CMP C20 H24 N6 O

Absolute stereochemistry.

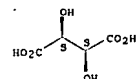


CM 2

CRN 147-71-7

CMP C4 H6 O6

Absolute stereochemistry.



RN 349554-69-4 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(4-pyridinylmethyl)-, (8R)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

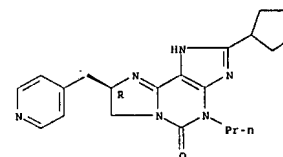
CM 1

CRN 348165-49-1

CMP C21 H26 N6 O

Absolute stereochemistry.

10/513699

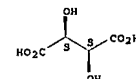


CM 2

CRN 147-71-7

CMP C4 H6 O6

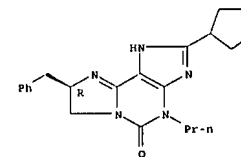
Absolute stereochemistry.



RN 627512-37-2 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2003:706960 CAPLUS

<12/04/2007>

Erich Leese

<12/04/2007>

Erich Leese

10/513699

DOCUMENT NUMBER:

139:230796

TITLE: Synthesis of new purine derivatives
 INVENTOR(S): Miyamoto, Kenichi; Sawanishi, Hiroyuki; Suzuki, Koichi; Yamamoto, Manabu; Shimura, Susumu
 PATENT ASSIGNEE(S): Lotte Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
 CODEN: JKKXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

1

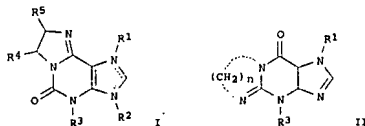
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003252875	A	20030910	JP 2002-58098	20020304
KR 2003072251	A	20030913	JP 2003-13401	20030304
PRIORITY APPLN. INFO.			JP 2002-58098	A 20020304

OTHER SOURCE(S):

MARPAT 139:230796

OI



AB The patent relates to the preparation of purine derivs. and salts for pharmaceutical uses such as PDE IV isoenzyme inhibitor. The purine derivs. have the following formula (I) wherein R1, R2, R3 are hydrogen, or hydroxy, low alkyloxy, acyl substituted C1-C6 alkyl, or phenyl, and R4, and R5 are independently hydroxy, low alkyloxy, acyl substituted C1-C6 alkyl, or Ph group; and pharmaceutically compatible salts. The purine derivs. and pharmaceutically compatible salts may have the following formula (II) wherein R1, R2 are hydrogen, or hydroxy, low alkyloxy, acyl substituted C1-C6 alkyl, or phenyl; and n = 2 or 3. Thus, 8-methyl-4-propyl-4,5,7,8-tetrahydro-1H-imidazo[2,1-i]purin-5-one prepared from 6-((2-hydroxy-1-methyl)ethyl)amino-3-propylpurine-2-one in presence of triethylamine, and methanesulfonyl chloride was evaluated for PDE I test and gave greater activity than the control using Denoufylline.

IT 594853-12-OP 594853-14-2P

RI: BPN (Synthetic preparation); PREP (Preparation)
 (preparation of new purine derivs.)

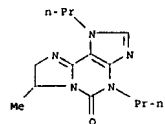
RN 594853-12-0 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-methyl-4-phenyl- (9CI)
 (CA INDEX NAME)

<12/04/2007>

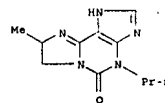
Erich Leese

10/513699



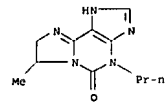
RN 492439-95-9 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-methyl-4-propyl- (9CI)
 (CA INDEX NAME)



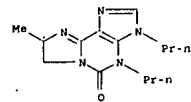
RN 492439-96-0 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-7-methyl-4-propyl- (9CI)
 (CA INDEX NAME)



RN 594853-03-9 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-8-methyl-3,4-dipropyl- (9CI) (CA INDEX NAME)



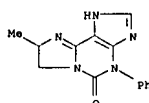
RN 594853-05-1 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-7-methyl-3,4-dipropyl- (9CI) (CA INDEX NAME)

<12/04/2007>

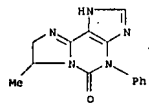
Erich Leese

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RN 594853-14-2 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-7-methyl-4-phenyl- (9CI)
 (CA INDEX NAME)



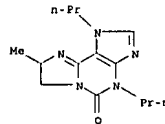
IT 492439-17-5P 492439-21-1P 492439-95-9P

492439-96-0P 594853-03-9P 594853-05-1P

RI: BSU (Biological study, unclassified); BPN (Synthetic preparation); BTOL (Biological study); PREP (Preparation)
 (synthesis of new purine derivs.)

RN 492439-17-5 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-methyl-1,4-dipropyl- (9CI) (CA INDEX NAME)



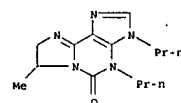
RN 492439-21-1 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-7-methyl-1,4-dipropyl- (9CI) (CA INDEX NAME)

<12/04/2007>

Erich Leese

10/513699



L4 ANSWER 17 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2003:670403 CAPLUS

DOCUMENT NUMBER: 139:175476

TITLE: Prostaglandin E2-mediated anabolic effect of a novel inhibitor of phosphodiesterase 4, XT-611, in the in vitro bone marrow culture

AUTHOR(S): Miyamoto, Ken-ichi; Suzuki, Hiroyuki; Yamamoto, Shinya; Saitoh, Yukie; Ochiai, Eiji; Moritani, Shuso; Yokogawa, Koichi; Waki, Yoshihiro; Kasugai, Shohel; Sawanishi, Hiroyuki; Yamagami, Hideomi

CORPORATE SOURCE: Department of Hospital Pharmacy, School of Medicine, Kanazawa University, Kanazawa, Japan

SOURCE: Journal of Bone and Mineral Research (2003), 18(6), 1471-1477

CODEN: JBMREJ; ISSN: 0884-0431

PUBLISHER: American Society for Bone and Mineral Research
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The mechanism of osteoblast formation by a novel PDE4 inhibitor, XT-611, was studied in the in vitro bone marrow culture system. The compound potentiated the osteoblast differentiation through accumulation of cAMP after autocrine stimulation of EP4 receptor by PGE2 in pro-osteoblastic cells. Introduction: We previously reported that inhibitors of phosphodiesterase (PDE)4 isoenzyme increase osteoblast formation in an in vitro bone marrow culture system and inhibit bone loss in animal osteoporosis models. Here we investigated the mechanism of the effect of a novel PDE4 inhibitor, 3,4-dipropyl-4,5,7,8-tetrahydro-3H-imidazo[2,1-i]purin-5-one (XT-611), on osteoblast formation in the in vitro bone marrow culture system. Materials and Methods: Rodent bone marrow cells were cultured in the presence of 0.2 mM ascorbic acid phosphate ester, 1 mM β -glycerophosphate, and 10 nM dexamethasone for 10 days. Drug treatments were done for 24 h on day 3 of culture. Results: PDE4 inhibitors, including XT-611 but not PDE3 and PDE5 inhibitors, increased mineralized nodule formation in rat and mouse bone marrow cell cultures. During culture of the bone marrow cells, prostaglandin E2 (PGE2) production increased with a peak on day 4, but the increase was completely inhibited by indomethacin. An unselective cyclo-oxygenase (COX) inhibitor, spontaneous and XT-611-induced mineralized-nodule formation was also inhibited by indomethacin and COX-2 inhibitors, in a similar potential. Alkaline phosphatase-pos. nodule formation in the absence or presence of XT-611 was inhibited by an antagonist of EP4 receptor, AH23848B, and synergistically potentiated by 11-deoxy-PGE1, but it was not influenced by other EP antagonists and agonists examined. The expression of PDE4 and ER mRNAs was observed in bone marrow cells. The effect of XT-611 was also confirmed to involve an increase of cAMP and the cAMP-dependent protein kinase pathway. Conclusion: These results suggest that PGE2 stimulates

<12/04/2007>

Erich Leese

differentiation of osteoblast progenitor cells through the EP4 receptor in an autocrine manner, and the PDE4 inhibitor potentiates the differentiation by inhibiting hydrolysis of cAMP in the cells.

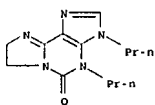
IT 195869-73-9, XT-611

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(PGE2-mediated anabolic effect of a novel inhibitor of phosphodiesterase 4, XT-611, in bone marrow culture)

RN 195869-73-9 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2003:69208 CAPLUS

DOCUMENT NUMBER: 140:87630

TITLE:

Author(s): Inhibition of osteoclastogenesis by a phosphodiesterase 4 inhibitor XT-611 through synergistic action with endogenous prostaglandin E2 Yamagami, Hideomi; Nishioke, Tatsu; Ochiai, Eiji; Fukushima, Kazuo; Nomura, Masaaki; Kasugai, Shohei; Moritani, Shuzo; Yokogawa, Koichi; Miyamoto, Ken-ichi

CORPORATE SOURCE: School of Medicine, Department of Hospital Pharmacy, Kanazawa University, Kanazawa, 920-8641, Japan

SOURCE: Biochemical Pharmacology (2003), 66(5), 801-807

CODEN: BCPA6; ISSN: 0006-2952

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB We examined the effect of a phosphodiesterase 4 (PDE4) inhibitor, 3,4-dipropyl-4,5,7,8-tetrahydro-3H-imidazo[2,1-i]purin-5-one (XT-611) on osteoclast formation in three different mouse bone-marrow cell (BMC) culture systems. We confirmed that selective inhibitors of PDE4, including XT-611, among several PDE inhibitors decreased osteoclast formation in the BMC culture system. XT-611 also inhibited osteoclast formation in co-culture of mouse bone-marrow stromal cell line ST2 and adherent cell-depleted (ACD)-BMCs. However, it did not inhibit osteoclastogenesis in culture of ACD-BMCs alone in the presence of macrophage-colony stimulating factor (M-CSF) and soluble receptor activator of NF- κ B ligand (sRANKL). XT-611 significantly increased prostaglandin E2 (PGE2) production from ST2 cells and, in combination with PGE2, synergistically increased cAMP concentration in osteoclast progenitors.

In the ST2 co-culture system, XT-611 did not influence the expression of RANKL, osteoprotegerin and RANK mRNAs. By combined treatment with XT-611 and PGE2 of ACD-BMCs, osteoclast multinucleation was clearly inhibited

<12/04/2007>

Erich Leese

AB The reported synthesis of PSB-10 [8-ethyl-4-methyl-2-(2,3,5-trichlorophenyl)-(8R)-4,5,7,8-tetrahydro-1H-imidazo[2,1-i]purin-5-one (I)], a potent A3-selective adenosine receptor antagonist, gives only moderate yields and is not suitable for the production of I on a multi-gram scale. Attempts to develop alternative routes and an improved procedure suitable for preparing large quantities of I, required for pharmacol. studies, are described.

IT 439902-54-2P

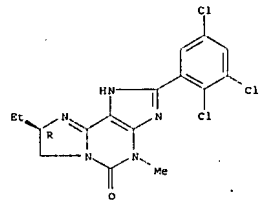
RL: PHU (Preparation, unclassified); PREP (Preparation)

(PSB 10; multigram-scale production of PSB-10 useful as a potent antagonist at human A3 adenosine receptors)

RN 439902-54-2 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-(2,3,5-trichlorophenyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 591771-91-4P, PSB 10 hydrochloride

RL: SPN (Synthetic preparation); PREP (Preparation)

(multigram-scale production of PSB-10 useful as a potent antagonist at human A3 adenosine receptors)

RN 591771-91-4 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-(2,3,5-trichlorophenyl)-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

Erich Leese

with decrease in the expression of calcitonin receptor mRNA, while the expression of RANK and c-fms (an M-CSF receptor) mRNAs was unchanged. These results indicate that the PDE4 inhibitor inhibits osteoclastogenesis by acting on osteoclast progenitors synergistically with PGE2 secreted from stromal cells, but not by influencing the cell-to-cell interaction between stromal cells and osteoclast progenitors.

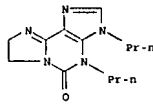
IT 195869-73-9, XT-611

RL: BSU (Biological study, unclassified); DMA (Drug mechanism of action); PAC (Pharmacological activity); BIOL (Biological study)

(Inhibition of osteoclastogenesis by PDE4 inhibitor XT-611 synergized with endogenous PGE2)

RN 195869-73-9 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2003:416529 CAPLUS

DOCUMENT NUMBER: 139:230506

TITLE:

Author(s): Improved, efficient synthesis for multigram-scale production of PSB-10, a potent antagonist at human A3 adenosine receptors

CORPORATE SOURCE: Burbiel, Joachim; Thorand, Mark; Muller, Christa E. Pharmazeutisches Institut, Rheinische Friedrich-Wilhelms-Universität Bonn, Bonn, D-53115, Germany

SOURCE: Heterocycles (2003), 60(6), 1425-1432

CODEN: HETCYM; ISSN: 0385-5414

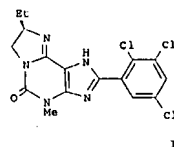
PUBLISHER: Japan Institute of Heterocyclic Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

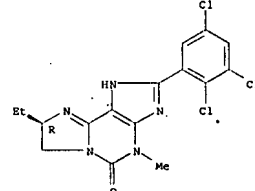
OTHER SOURCE(S): CASREACT 139:230506

GI



<12/04/2007>

Erich Leese



● HCl

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2003:329690 CAPLUS

DOCUMENT NUMBER: 139:128169

TITLE:

Author(s): Identification of essential residues involved in the allosteric modulation of the human A3 adenosine receptor

CORPORATE SOURCE: Gao, Zhan-Guo; Kim, Soo-Kyung; Gross, Ariel S.; Chen, Alsher; Blaustein, Joshua B.; Jacobson, Kenneth A. Molecular Recognition Section, Laboratory of Bioorganic Chemistry, National Institute of Diabetes and Digestive and Kidney Diseases, Department of Health and Human Services, National Institutes of Health, Bethesda, MD, USA

SOURCE: Molecular Pharmacology (2003), 63(5), 1021-1031

CODEN: MOPHJ; ISSN: 0026-895X

PUBLISHER: American Society for Pharmacology and Experimental Therapeutics

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The authors examined the effects on allosteric modulation and ligand binding of the mutation of amino acid residues of the human A3 adenosine receptor (A3AR) that are hypothesized to be near one of three loci: the putative sodium binding site, the putative ligand binding site, and the DRY motif in transmembrane helical domain 3. The effects of three heterocyclic allosteric modulators [the imidazoquinoline 2-cyclopentyl-4-phenylamino-1H-imidazo[4,5-c]quinoline (DU124183), the pyridinylisoquinoline 4-methoxy-N-(7-methyl-3-(2-pyridinyl)-1-isoquinolinyl)benzamide (VUF5455), and the amiloride analog 5-(N,N-hexamethylene)-amiloride] on the dissociation of the agonist radioligand, N6-(4-amino-3-[(126I)iodobenzyl]-5'-N-methylcarboxamidoadenosine, were compared at wild-type (WT) and mutant A3ARs. The P182A.43 and N274A.45 mutations eliminated the allosteric effects of all three modulators but had little effect on agonist binding. The N30A1.50 and D58N2.50 mutations abolished the allosteric effects of DU124183 and VUF5455, but not HMA, whereas the D107N3.49 mutation abolished the effects of DU124183, but not HMA or VUF5455. The T94A3.36,

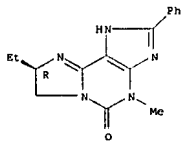
<12/04/2007>

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H95A3.37, X152AEL2, W243A6.49, L244A6.49, and S247A6.52 mutations did not influence allosteric effects of the modulators. Sodium ions (100 mM), which modulate agonist binding at a variety of receptors, caused an approx. 80% inhibition of agonist binding in WT A3ARs but did not show any effect on D58N2.50, D107N3.49, and F182A5.43 mutant receptors. In contrast, NaCl induced a modest increase of agonist binding in N30A1.50 and W274A7.45 mutant receptors. NaCl decreased the dissociation rate of the antagonist radioligand [3H]-8-ethyl-4-methyl-2-phenyl-1H-imidazo[2,1-b]purin-5-one (PSB-11) at the WT A3ARs, but not the D58N2.50 mutant receptor. The results were interpreted using a rhodospin-based mol. model of the A3AR to suggest multiple binding modes of the allosteric modulators.

IT 44717-56-0, PSB-11
 RL: BSU (Biological study, unclassified); BIOL (Biological study) (essential residues involved in allosteric modulation and agonist binding of human A3 adenosine receptor)
 RN 44717-56-0 CAPLUS
 CN 5H-imidazo[2,1-b]purin-5-one, 8-ethyl-3,4,7,8-tetrahydro-4-methyl-2-phenyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



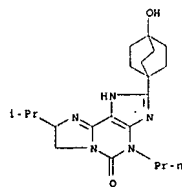
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2003:221519 CAPLUS
 DOCUMENT NUMBER: 138:231748
 TITLE: Methods using adenosine A1 receptor antagonists for treating pulmonary disease
 INVENTOR(S): Smith, Glenn J.; Spina, Francis G.
 PATENT ASSIGNEE(S): Biogen, Inc., USA; Musc Foundation for Research Development
 SOURCE: PCT Int. Appl., #1 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

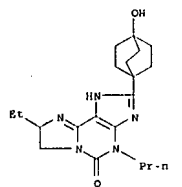
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022284	A1	20030320	WO 2002-US28580	20020906
M:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,			

<12/04/2007>

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RN 501667-80-7 CAPLUS
 CN 5H-imidazo[2,1-b]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl-, (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2003:7897 CAPLUS
 DOCUMENT NUMBER: 139:30163
 TITLE: 2-Phenylimidazo[2,1-b]purin-5-ones Structure-Activity relationships and characterization of potent and selective inverse agonists at Human A3 adenosine receptors
 AUTHOR(S): Ozola, Vita; Thorand, Mark; Diekmann, Martina; Qureshi, Ramatullah; Schumacher, Britta; Jacobson, Kenneth A.; Muller, Christa E.
 CORPORATE SOURCE: University of Bonn, Pharmaceutical Institute
 SOURCE: Poggendorf, Bonn, D-53115, Germany
 BIOORGANIC & MEDICINAL CHEMISTRY (2003), 11(3), 347-356
 CODEN: BMECEP; ISSN: 0968-0896
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 139:30163

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PL, PT, RO, RU, SD, SE, SO, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MM, NZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TO

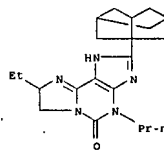
CA 2459533 A1 20030320 CA 2002-2459533 20020906
 AU 2002341618 A1 20030324 AU 2002-341618 20020906
 EP 1429775 A1 20040623 EP 2002-775769 20020906
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
 BR 2002012329 A 20040921 BR 2002-12329 20020906
 HU 200401805 A3 20041228 HU 2004-1805 20020906
 HU 200401805 A3 20070502
 CN 1564688 A 20050112 CN 2002-819526 20020906
 JP 2005501915 T 20050120 JP 2003-526413 20020906
 NZ 532083 A 20051028 NZ 2002-532083 20020906
 IN 2004KN00276 A 20060331 IN 2004-KN276 20040301
 ZA 2004001765 A 20050405 ZA 2004-1765 20040303
 NO 2004000982 A 20040603 NO 2004-982 20040305
 US 2004259889 A1 20041223 US 2004-488573 20040817
 PRIORITY APPLN. INFO.: US 2001-317908P P 20010906
 WO 2002-028580 W 20020906

OTHER SOURCE(S): MARPAT 138:231748

AB Method useful for reducing pulmonary vasoconstriction or improving pulmonary hemodynamics in a patient are disclosed. More particularly, the invention discloses administering adenosine A1 receptor antagonists to reduce pulmonary vasoconstriction and improve pulmonary hemodynamics.

IT 149744-78-5 501667-79-4 501667-80-7
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (adenosine A1 receptor antagonists for treating pulmonary disease)

RN 149744-78-5 CAPLUS
 CN 5H-imidazo[2,1-b]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)



RN 501667-79-4 CAPLUS
 CN 5H-imidazo[2,1-b]purin-5-one, 1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-(1-methylethyl)-4-propyl-, (9CI) (CA INDEX NAME)

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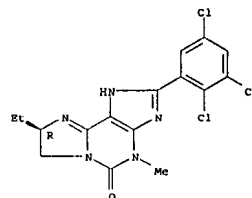
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AB Structure-activity relationships of 2-phenyl-imidazo[2,1-b]purin-5-ones as ligands for human A3 adenosine receptors (ARs) were investigated. An Et group in the 6-position of the imidazole ring of 4-methyl-2-phenyl-imidazopurine leading to chiral compds. was found to increase affinity for human A3 ARs by several thousand-fold. Pr substitution instead of Me at N4 decreased A3 affinity but increased A1 affinity leading to potent A1-selective AR antagonists. The most potent A1 antagonist of the present series was (S)-8-ethyl-2-phenyl-4-propyl-4,5,7,8-tetrahydro-1H-imidazo[2,1-b]purin-5-one exhibiting a Ki value of 7.4 nM at rat A1 ARs and greater than 100-fold selectivity vs. rat A2A and human A3 ARs. At human A1 ARs 2-phenylimidazo[2,1-b]purin-5-ones were generally less potent and therefore less A1-selective (S-3: Ki=98 nM). 2-, 3-, Or 4-Mono-chlorination of the 2-Ph ring reduced A3 affinity but led to an increase in affinity for A1 ARs, whereas di- (3,4-dichloro) or polychlorination (2,3,5-trichloro) increased A3 affinity. The most potent and selective A3 antagonist of the present series was the trichlorophenyl derivative (R)-8-ethyl-4-methyl-2-(2,3,5-trichlorophenyl)-4,5,7,8-tetrahydro-1H-imidazo[2,1-b]purin-5-one exhibiting a subnanomolar Ki value at human A3 ARs and greater than 800-fold selectivity vs. the other AR subtypes. Methylation of 4-alkyl-2-phenyl-substituted imidazo[2,1-b]purin-5-ones led exclusively to the N9-Me deriva., which exhibited largely reduced AR affinities as compared to the unmethylated compds. [15S]OTPy binding studies of the most potent 2-phenyl-imidazo[2,1-b]purin-5-ones at membranes of Chinese hamster ovary cells expressing the human A3 AR revealed that the compds. were inverse agonists at A3 receptors under standard test conditions. Due to their high A3 affinity, selectivity, and relatively high water-solubility, 2-phenyl-imidazo[2,1-b]purin-5-ones may become useful research tools.

IT 439902-54-2P 543699-94-1P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (structure-activity of 2-phenylimidazo[2,1-b]purin-5-ones as inverse agonists of human A3 adenosine receptor)

RN 439902-54-2 CAPLUS
 CN 5H-imidazo[2,1-b]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-(2,3,5-trichlorophenyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 543699-94-1 CAPLUS
 CN 5H-imidazo[2,1-b]purin-5-one, 2-(3,4-dichlorophenyl)-8-ethyl-1,4,7,8-

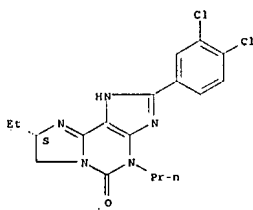
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tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

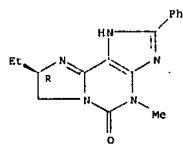
Absolute stereochemistry.



IT 444717-56-0P 543699-91-8P 543699-92-9P
543699-93-0P 543699-95-2P 543699-96-3P
543699-97-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure-activity of 2-phenylimidazo[2,1-i]purin-5-ones as inverse agonists of human A3 adenosine receptor)
RN 444717-56-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-phenyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



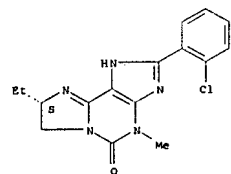
RN 543699-91-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-phenyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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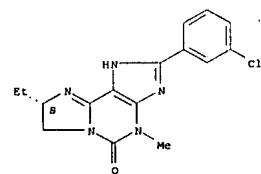
Erich Leese

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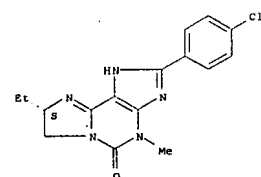
RN 543699-96-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-chlorophenyl)-8-ethyl-1,4,7,8-tetrahydro-4-methyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 543699-97-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-chlorophenyl)-8-ethyl-1,4,7,8-tetrahydro-4-methyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

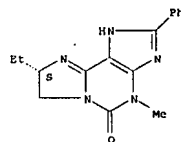
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THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

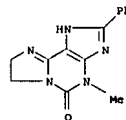
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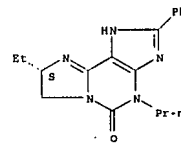


RN 543699-92-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-methyl-2-phenyl-, (9CI) (CA INDEX NAME)



RN 543699-93-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-2-phenyl-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 543699-95-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(2-chlorophenyl)-8-ethyl-1,4,7,8-tetrahydro-4-methyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

Erich Leese

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L4 ANSWER 23 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:723414 CAPLUS
DOCUMENT NUMBER: 138:137075
TITLE: Synthesis and cyclic AMP phosphodiesterase 4 isoenzyme inhibitory activity of heterocycle condensed purines
AUTHOR(S): Suzuki, Hirokazu; Yamamoto, Manabu; Shimura, Susumu; Miyamoto, Ken-ichi; Yamamoto, Kenji; Sawanishi, Hiroyuki
CORPORATE SOURCE: Department of Synthetic Chemistry, Hokuriku University, Kanazawa, 920-1191, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (2002), 50(9), 1163-1168
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:137075
GI



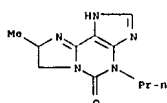
AB To reverse the adverse reactions of alkylxanthines and to develop novel inhibitors of cAMP phosphodiesterase 4 (PDE4), a series of heterocycle [a]-, [b]-, [c,d]-, and [i]-condensed purines were designed and synthesized. Although all compds. did not display PDE1 and PDE2 inhibitory activities, several heterocycle [i]-condensed purines strongly inhibited PDE4. Especially, dl-3,4-dipropyl-8-methyl-4,5,7,8-tetrahydro-1H-imidazo[2,1-i]purin-5-one (I) exhibited comparable PDE4 inhibitory activity (IC50=1.9 μM) to rolipram and denbutylline (DBP).

IT 492439-95-9P 492439-96-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocycle condensed purines from purine and pyrimidine derivs. and their activity as cAMP phosphodiesterase 4 isoenzyme inhibitors)
RN 492439-95-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-methyl-4-propyl-, (9CI) (CA INDEX NAME)

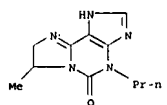
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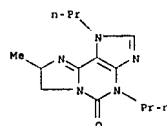


RN 492439-96-0 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-7-methyl-4-propyl- (9CI) (CA INDEX NAME)



IT 492439-17-5P 492439-21-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of heterocycle condensed purines from purine and pyrimidine derivs. and their activity as cAMP phosphodiesterase 4 isoenzyme inhibitors)

RN 492439-17-5 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-methyl-1,4-dipropyl- (9CI) (CA INDEX NAME)



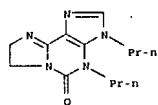
RN 492439-21-1 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-7-methyl-1,4-dipropyl- (9CI) (CA INDEX NAME)

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Erich Leese

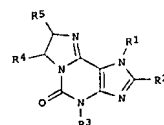
10/513699

the cAMP modulators are also claimed.
IT 195869-73-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods of inducing ovulation by administering a non-polypeptide cAMP level modulator)
RN 195869-73-9 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)

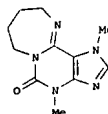


REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2002:485205 CAPLUS
DOCUMENT NUMBER: 137:201279
TITLE: Imidazo[2,1-i]purin-5-ones and Related Tricyclic Water-Soluble Purine Derivatives: Potent A2A- and A3-Adenosine Receptor Antagonists
AUTHOR(S): Mueller, Christa E.; Thorand, Mark; Qurishi, Ramatullah; Diekmann, Martina; Jacobson, Kenneth A.; Padgett, William L.; Daly, John W.
CORPORATE SOURCE: Pharmaceutical Institute Poppelsdorf, University of Bonn, Bonn, Germany
SOURCE: Journal of Medicinal Chemistry (2002), 45(16), 3440-3450
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:201279
GI



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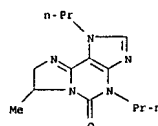
II

AB A series of tricyclic imidazo[2,1-i]purinones I [R1, R4 = H, Me; R2 = H,

<12/04/2007>

Erich Leese

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REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2002:575737 CAPLUS
DOCUMENT NUMBER: 137:135500
TITLE: Methods of inducing ovulation by administering a non-polypeptide cAMP level modulator
INVENTOR(S): Palmer, Stephen; McKenna, Sean; Tepper, Mark; Eshkol, Aliza; MacNamee, Michael C.
PATENT ASSIGNER(S): Applied Research Systems Holding N.V., USA
SOURCE: U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S. Ser. No. 928,268, CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002103106	A1	20020801	US 2001-14812	20011214
US 6953774	B2	20051011		
US 2002065324	A1	20020530	US 2001-928268	20010810
CA 2469939	A1	20030626	CA 2001-2469939	20011214
AU 2002217111	A1	20030630	AU 2002-217111	20011214
EP 1463493	A1	20041006	EP 2001-274987	20011214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001017198	A	20041026	BR 2001-17198	20011214
CN 1582146	A	20050216	CN 2001-823951	20011214
JP 2005516924	T	20050609	JP 2003-552277	20011214
US 2005148501	A1	20050707	US 2003-498639	20011214
US 2006003925	A1	20060105	US 2005-169183	20050628
US 7078236	B2	20060718		
US 2006293222	A1	20061228	US 2006-456033	20060706
PRIORITY APPLN. INFO.:				
			US 2000-224922P	P 20000811
			US 2001-928268	A2 20010810
			US 2001-14812	A3 20011214
			WO 2001-EP14730	W 20011214
			US 2005-169183	A1 20050628

AB The present invention relates to methods of inducing ovulation in a female host comprising the administration of a non-polypeptide cAMP level modulator to the female host. In another aspect, the invention provides for specific administration of the phosphodiesterase inhibitor prior to the luteal phase of the host's ovulatory cycle. Preferred non-polypeptide cAMP level modulator include phosphodiesterase inhibitors, particularly inhibitors of phosphodiesterase 4 isoforms. Pharmaceutical compns. containing

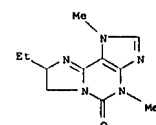
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Erich Leese

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Ph, (E)-PhCH:CH; R3 = H, Me, PhCH2; R5 = H, Me, Et) and ring-enlarged analogs, e.g. II, derived from xanthine derivs. were prepared as adenosine receptor (AR) antagonists. In comparison with xanthines, these tricyclic compds. exhibited increased water solubility due to a basic nitrogen atom, which can be protonated under physiol. conditions. A new capillary electrophoresis method was developed for the determination of the enantiomeric purity of selected chiral products using native and modified β -cyclodextrins as chiral discriminators. The compds. were investigated in radioligand binding assays at rat brain A1 and A2A ARs. Selected I were addnl. investigated in radioligand binding assays at human recombinant A3 ARs and in functional studies (adenylate cyclase assays) at A1 ARs of rat fat cell membranes, A2A ARs of rat PC 12 cell membranes, and mouse A2B ARs of NIH 3T3 cell membranes, and showed the structure-activity relationships similar to those of the corresponding xanthine derivs. The 2-styrylimidazopurinones I [R1 = H, Me; R2 = (E)-PhCH:CH; R3 = Me; R4 = H, R5 = Et] were less potent at A2A ARs as compared to 8-styrylxanthine derivs. The most potent compound at A2A ARs was (S)-I [R1 = R3 = Me, R2 = (E)-PhCH:CH, R4 = H, R5 = Et; (III)] exhibiting a Ki value of 424 nM at rat A2A ARs. III was also highly selective for A2A receptors vs A1 and A3 ARs; however, the selectivity vs A2B ARs was low. Among the 1-unsubstituted (2-phenyl)imidazopurinones, the most potent A3 antagonist was (R)-I [R1 = R4 = H, R2 = Ph, R3 = Me, R5 = Et] exhibiting a Ki value of 2.3 nM and high selectivity for A3 receptors vs all other AR subtypes. 453591-46-3P 453591-57-6P

IT
RL: ANT (Analyte); PAC (Pharmacological activity); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation)
(preparation of water soluble imidazopurinones and ring-enlarged analogs as adenosine receptor antagonists)
RN 453591-46-3 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



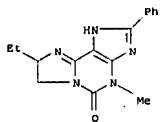
● 2 HCl

RN 453591-57-6 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

<12/04/2007>

Erich Leese

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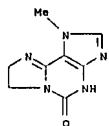
● HCl

IT 453591-41-8P 453591-42-9P 453591-43-0P
 453591-44-1P 453591-45-2P 453591-47-4P
 453591-48-5P 453591-49-6P 453591-50-9P
 453591-51-0P 453591-52-1P 453591-53-2P
 453591-54-3P 453591-55-4P 453591-56-5P
 453591-58-7P 453591-59-8P 453591-60-1P
 453591-61-2P 453591-62-3P 453591-63-4P
 453591-64-5P 453591-65-6P 453591-66-7P
 453591-67-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of water soluble imidazopurinones and ring-enlarged analogs as adenosine receptor antagonists)

RN 453591-41-8 CAPLUS

CN 5H-Imidazo[2,1-b]purin-5-one, 1,4,7,8-tetrahydro-1-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 453591-42-9 CAPLUS

CN 5H-Imidazo[2,1-b]purin-5-one, 1,4,7,8-tetrahydro-1-methyl-4-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

<12/04/2007>

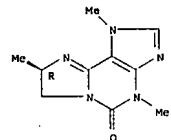
Erich Leese

10/513699

RN 453591-45-2 CAPLUS

CN 5H-Imidazo[2,1-b]purin-5-one, 1,4,7,8-tetrahydro-1,4,8-trimethyl-, dihydrochloride, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

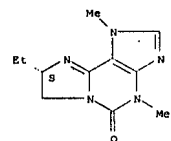


● 2 HCl

RN 453591-47-4 CAPLUS

CN 5H-Imidazo[2,1-b]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dimethyl-, dihydrochloride, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

RN 453591-48-5 CAPLUS

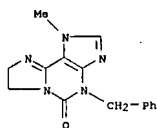
CN 5H-Imidazo[2,1-b]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dimethyl-, dihydrochloride, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

Erich Leese

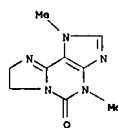
10/513699



● 2 HCl

RN 453591-43-0 CAPLUS

CN 5H-Imidazo[2,1-b]purin-5-one, 1,4,7,8-tetrahydro-1,4-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

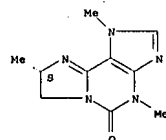


● 2 HCl

RN 453591-44-1 CAPLUS

CN 5H-Imidazo[2,1-b]purin-5-one, 1,4,7,8-tetrahydro-1,4,8-trimethyl-, dihydrochloride, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

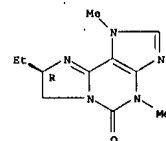


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<12/04/2007>

Erich Leese

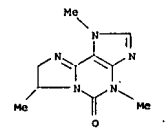
10/513699



● 2 HCl

RN 453591-49-6 CAPLUS

CN 5H-Imidazo[2,1-b]purin-5-one, 1,4,7,8-tetrahydro-1,4,7-trimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

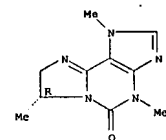


● 2 HCl

RN 453591-50-9 CAPLUS

CN 5H-Imidazo[2,1-b]purin-5-one, 1,4,7,8-tetrahydro-1,4,7-trimethyl-, dihydrochloride, (7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

<12/04/2007>

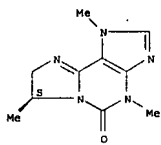
Erich Leese

10/513699

RN 453591-51-0 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,4,7-trimethyl-, dihydrochloride, (7S)- (9CI) (CA INDEX NAME)

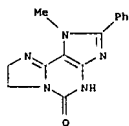
Absolute stereochemistry.



● 2 HCl

RN 453591-52-1 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1-methyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 453591-53-2 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,4-dimethyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

<12/04/2007>

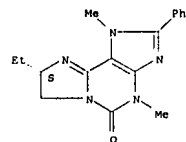
Erich Leese

10/513699

RN 453591-56-5 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dimethyl-2-phenyl-, monohydrochloride, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

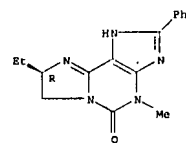


● HCl

RN 453591-58-7 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-phenyl-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 453591-59-8 CAPLUS

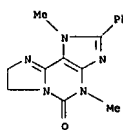
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-phenyl-, monohydrochloride, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

Erich Leese

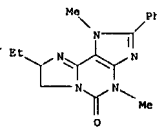
10/513699



● HCl

RN 453591-54-3 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dimethyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

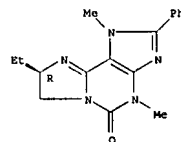


● HCl

RN 453591-55-4 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dimethyl-2-phenyl-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

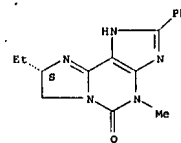


● HCl

<12/04/2007>

Erich Leese

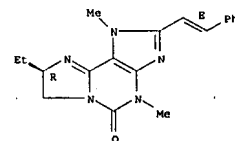
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● HCl

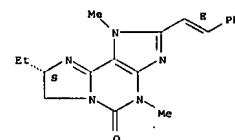
RN 453591-60-1 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dimethyl-2-[(1E)-2-phenylethenyl]-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 453591-61-2 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dimethyl-2-[(1E)-2-phenylethenyl]-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 453591-62-3 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-[(1E)-

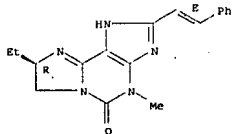
<12/04/2007>

Erich Leese

10/513699

2-phenylethenyl]-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

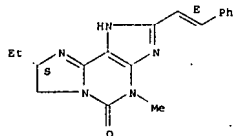
Absolute stereochemistry.
Double bond geometry as shown.



● HCl

RN 453591-63-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-[(1E)-2-phenylethenyl]-, monohydrochloride, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



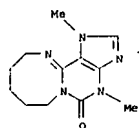
● HCl

RN 453591-64-5 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 4,7,8,9-tetrahydro-1,4-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

<12/04/2007>

Erich Leese

10/513699



● 2 HCl

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

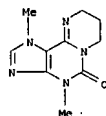
L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2002:471672 CAPLUS
DOCUMENT NUMBER: 138:19249
TITLE: Selective phosphodiesterase type 4 inhibitors reduce the prolonged survival of eosinophils stimulated by granulocyte-macrophage colony-stimulating factor
AUTHOR(S): Takeuchi, Masayuki; Tatsumi, Yasuaki; Kitaichi, Kiyoyuki; Baba, Kenji; Suzuki, Ryujiro; Shibata, Eiji; Takagi, Kenji; Miyamoto, Ken-ichi; Hasegawa, Takaki; Takagi, Kenzo
CORPORATE SOURCE: Second Department of Internal Medicine and Laboratory Medicine, Nagoya University School of Medicine, Nagoya, 466-8560, Japan
SOURCE: Biological & Pharmaceutical Bulletin (2002); 25(2), 184-187
CODEN: BPBLD; ISSN: 0918-6158
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English

AB It is well known that bronchial asthma is defined as chronic eosinophilic inflammation of the respiratory tract and that as one of the various types of inflammatory cells, eosinophils induce the airway inflammation of chronic asthma. Granulocyte-macrophage colony-stimulating factor (GM-CSF) has been shown to play an important role in the prolongation of the survival of eosinophils. We investigated the inhibitory effect of the selective phosphodiesterase (PDE) 4 inhibitors, 3,4-dipropyl-4,5,7,8-tetrahydro-3H-imidazo[2,1-i]purin-5-one (XT-611) and rolipram, and the nonselective PDE inhibitor theophylline, against GM-CSF-induced prolongation of the survival of eosinophils isolated from patients with bronchial asthma. Eosinophils (10⁶ cells/ml) were incubated in the presence of GM-CSF together with or without theophylline, rolipram or XT-611 at 37, and the viable cells were assessed up to 4d using Trypan blue dye exclusion. The presence of theophylline (10⁻⁴ M), rolipram (10⁻⁴-10⁻⁵ M) or XT-611 (10⁻⁴-10⁻⁵ M) significantly reduced the GM-CSF (10 pg/ml)-induced prolongation of viability of eosinophils. These findings suggest that selective PDE 4 inhibitors, including XT-611, may effectively reduce the activities of inflammatory cells in the airway of bronchial asthma patients.

<12/04/2007>

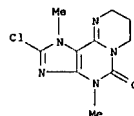
Erich Leese

10/513699



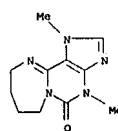
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RN 453591-65-6 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 2-chloro-4,7,8,9-tetrahydro-1,4-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 453591-66-7 CAPLUS
CN 5H-[1,3]Diazepino[2,1-i]purin-5-one, 1,4,7,8,9,10-hexahydro-1,4-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

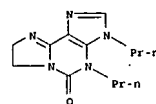
RN 453591-67-8 CAPLUS
CN [1,3]Diazocino[2,1-i]purin-5(1H)-one, 4,7,8,9,10,11-hexahydro-1,4-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

<12/04/2007>

Erich Leese

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IT 195869-73-9, XT-611
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
[PDE4 inhibitors reduce the prolonged survival of eosinophils stimulated by granulocyte-macrophage colony-stimulating factor]
RN 195869-73-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2002:440202 CAPLUS
DOCUMENT NUMBER: 138:83217
TITLE: KP26777 [2-(4-bromophenyl)-7,8-dihydro-4-propyl-1H-imidazo[2,1-i]purin-5(4H)-one]dihydrochloride, a new potent and selective adenosine A3 receptor antagonist
AUTHOR(S): Saki, Mayumi; Tsumaki, Hiroshi; Nonaka, Hiromi; Shimada, Junichi; Ichimura, Michio
CORPORATE SOURCE: Kyowa Hakko Kogyo Co., Ltd., Pharmaceutical Research Institute, Sunto-gun, Shizuoka, Nagaizumi-cho, 411-8731, Japan
SOURCE: European Journal of Pharmacology (2002), 444(3), 133-141
CODEN: EJPHAZ; ISSN: 0014-2999
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors investigated the biochem. and pharmacol. properties of a new adenosine A3 receptor antagonist, KP26777 [2-(4-bromophenyl)-7,8-dihydro-4-propyl-1H-imidazo[2,1-i]purin-5(4H)-one]dihydrochloride. This compound was characterized using N⁶-(4-amino-3-iodobenzyl)adenosine-5'-N-methyluronamide ([125I]AS-MECA) or [35S]guanosine 5'-O-(3-thiotriphosphate) (GTPγS) binding to membranes from human embryonic kidney 293 (HEK293) cells expressing human adenosine A3 receptors. KP26777 showed a K_i value of 0.20±0.038 nM for human adenosine A3 receptors labeled with [125I]AS-MECA and possessed 9000-, 2350- and 3100-fold selectivity vs. human adenosine A1, A2A and A2B receptors, resp. The inhibitory mode of binding was competitive. KP26777 inhibited the binding of [35S]GTPγS stimulated by 1 μM 2-chloro-N⁶-(3-iodobenzyl)adenosine-5'-N-methyluronamide (Cl-IB-MECA). The IC₅₀ value was 270±85 nM; the compound had no effect on basal activity. Dexamethasone treatment for HL-60 cells, human promyelocytic leukemia, up-regulated functional adenosine A3 receptors expression, and resulted in the enhanced elevation of intracellular Ca²⁺ concentration ([Ca²⁺]_i) via the adenosine A3 receptor. KP26777 antagonized this [Ca²⁺]_i mobilization induced by Cl-IB-MECA, with a K_B value of 0.42±0.14 nM. These results

<12/04/2007>

Erich Leese

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Indicate that KP26777 is a highly potent and selective antagonist of the human adenosine A3 receptor.

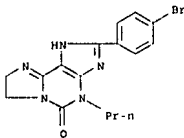
IT 206129-88-6, KP 26777

RL: BUU (Biological use, unclassified); PAC (Pharmacological activity); BIOL (Biological study); USES (Uses)

(KP26777 is highly potent and selective antagonist for human adenosine A3 receptors)

RN 206129-88-6 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-3,4,7,8-tetrahydro-4-propyl- (CA INDEX NAME)



REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2002:428910 CAPLUS

DOCUMENT NUMBER: 137:6037

TITLE: Preparation of condensed purine derivatives as A1

adenosine receptor antagonists

INVENTOR(S): Lin, Ko-Chung; Vu, Chi

PATENT ASSIGNEE(S): Biogen, Inc., USA

SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002044182	A1	20020606	WO 2001-US44991	20011130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, SJ, TM, TR, TT, TZ, UA, UG, US, UZ, ZA, ZM, ZW				
RN: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TO				
CA 2430508	A1	20020606	CA 2001-2430508	20011130
AU 200219977	A	20020611	AU 2002-19977	20011130
US 2002111333	A1	20020815	US 2001-997740	20011130
US 6605601	B2	20030812		
EE 200300260	A	20030815	EE 2003-260	20011130
EP 1347981	A1	20031001	EP 2001-998550	20011130

<12/04/2007>

Erich Leese

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(HOAcq, NaONO) and reduced with sodium dithionite to give the corresponding diamino pyrimidine-2,4-dione. Coupling of this intermediate with 4-hydroxybicyclo[2.2.2]octane-1-carboxylic acid afforded 8-(4-hydroxybicyclo[2.2.2]oct-1-yl)-3-propyl-3,7-dihydropurine-2,6-dione. The purine was treated with P4S10 in pyridine and the resulting thiono-derivative converted to the 8-Me purine derivative Methylthio

displacement with (R)-2-aminobutanol (DMSO, 150°C, 3 h) and subsequent treatment of the amine with SOCl2 effected cyclization to II. All example compds. exhibited Ki = 4 - 800 nM for the A1 adenosine receptor (rat). I are useful for treatment of various diseases and disorders, including systemic hypertension, renal failure, diabetes, asthma, an edematous condition, congestive heart failure, and renal dysfunction.

IT 433246-43-6P 433246-48-1P 433246-53-8P

433246-58-3P 433246-63-0P 433246-68-5P

433246-74-3P 433246-81-2P 433246-85-6P

433246-91-4P 433246-97-0P 433247-03-1P

433247-09-7P 433247-14-4P 433247-19-9P

433247-25-7P 433247-31-5P 433247-37-1P

433247-45-1P 433247-52-0P 433247-58-6P

433247-64-4P 433247-69-9P 433247-74-6P

433247-79-1P 433247-84-8P 433247-88-2P

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433248-07-8P 433248-11-4P 433248-14-7P

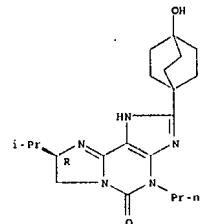
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug: preparation of condensed purine derivs. as A1 adenosine receptor antagonists)

RN 433246-43-6 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-(1-methylethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 433246-48-1 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

<12/04/2007>

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LT, LU, NL, SE, MC, PT, IR, SI, LT, LV, FI, RO, MX, CY, AL, TR

BR 2001015833 A 20031028 BR 2001-15833 20011130

JP 2004514723 T 20040520 JP 2002-546552 20011130

HU 200400530 A2 20040628 HU 2004-530 20011130

TR 200300766 T2 20040921 TR 2003-766 20011130

NZ 526511 A 20050429 NZ 2001-526511 20011130

IN 2003DN00781 A 20070105 IN 2003-DN781 20030521

ZA 2003004067 A 20050510 ZA 2003-4067 20030526

US 2003220358 A1 20031127 US 2003-446573 20030527

US 7022686 B2 20060404

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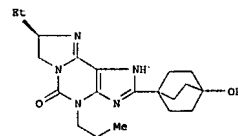
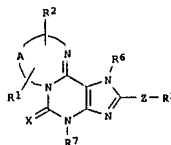
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WO 2001-US44991 W 20011130

OTHER SOURCE(S): MARPAT 137:6037

GI



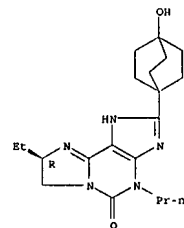
AB Title compds. I (R1-2 = H, (un)substituted alk(en/yn)yl, aryl; R3 = bi/tri/pentacyclic, e.g., bicyclo[2.1.2], etc.; R4 = H, alkyl, alkyl-CO2H, phenyl; R5 = (un)substituted alkyl-CO2H, C(CF3)2OH, CONHHSO2CF3, CONHOR4, CONHSO2R4, etc.; A = CH=CH, (CH)2=CH, CH=CH-CH2, CH2=CH-CH; m = 1-2; X = O, S; Z = single bond, O, (CH2)n, O(CH2)1-2, CH2OCH2, (CH2)1-20; n = 0-3; R6 = H, alkyl, acyl, alkylsulfonyl, aralkyl, aralkyl, heterocyclyl, R7 = H, alk(en/yn)yl, aryl, alkaryl were prepared. For instance, 6-amino-1-propyl-1H-pyrimidine-2,4-dione was nitrosated in the 5-position

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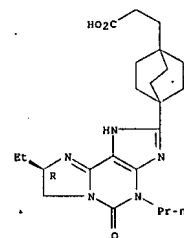
Absolute stereochemistry.



RN 433246-53-8 CAPLUS

CN Bicyclo[2.2.2]octane-1-propanoic acid, 4-[(8R)-8-ethyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-3H-imidazo[2,1-i]purin-2-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 433246-58-3 CAPLUS

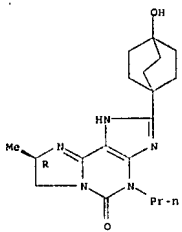
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-methyl-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

Erich Leese

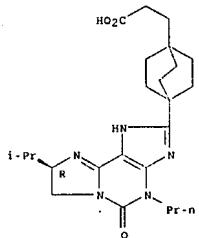
10/513699



RN 433246-63-0 CAPLUS

CN Bicyclo[2.2.2]octane-1-propanoic acid, 4-[(8R)-4,5,7,8-tetrahydro-8-(1-methylethyl)-5-oxo-4-propyl-3H-imidazo[2,1-i]purin-2-yl]- (CA INDEX NAME)

Absolute stereochemistry.



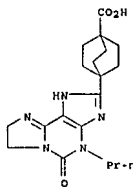
RN 433246-68-5 CAPLUS

CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[(4,5,7,8-tetrahydro-8-oxo-4-propyl-3H-imidazo[2,1-i]purin-2-yl)- (9CI) (CA INDEX NAME)

<12/04/2007>

Erich Leese

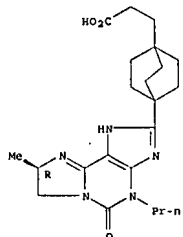
10/513699



RN 433246-74-3 CAPLUS

CN Bicyclo[2.2.2]octane-1-propanoic acid, 4-[(8R)-4,5,7,8-tetrahydro-8-methyl-5-oxo-4-propyl-3H-imidazo[2,1-i]purin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 433246-81-2 CAPLUS

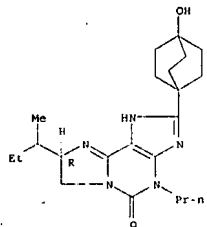
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-(1-methylpropyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

Erich Leese

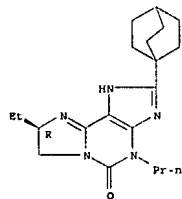
10/513699



RN 433246-85-6 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-bicyclo[2.2.2]oct-1-yl-8-ethyl-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 433246-91-4 CAPLUS

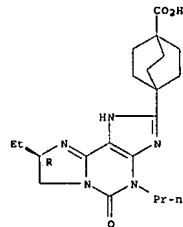
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[(8R)-8-ethyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-3H-imidazo[2,1-i]purin-2-yl]- (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

Erich Leese

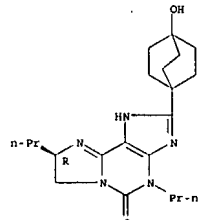
10/513699



RN 433246-97-0 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4,8-dipropyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 433247-03-1 CAPLUS

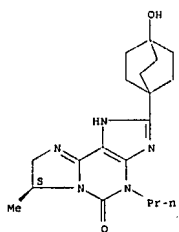
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-7-methyl-4-propyl-, (7S)- (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

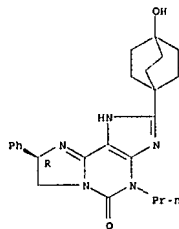
Erich Leese

10/513699



RN 433247-09-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-phenyl-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



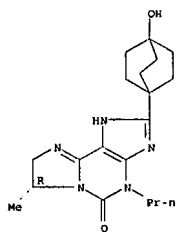
RN 433247-14-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-7-methyl-4-propyl-, (7R)- (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

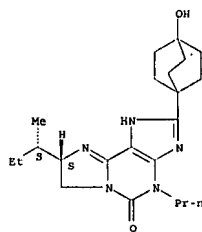
Erich Leese

10/513699



RN 433247-19-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-[(1S)-1-methylpropyl]-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



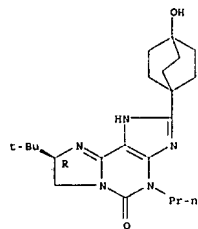
RN 433247-25-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(1,1-dimethylethyl)-3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

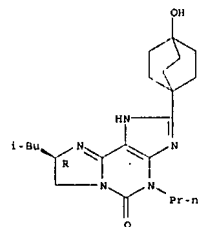
Erich Leese

10/513699



RN 433247-31-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-(2-methylpropyl)-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



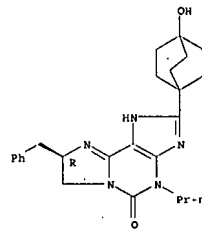
RN 433247-37-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-(phenylmethyl)-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

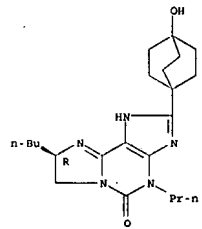
Erich Leese

10/513699



RN 433247-45-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-butyl-1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

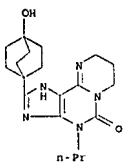


RN 433247-52-0 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 4,7,8,9-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl-, (9CI) (CA INDEX NAME)

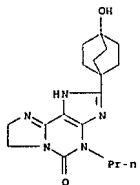
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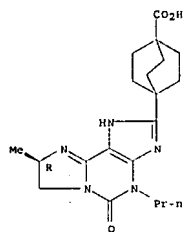


RN 433247-58-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl- (CA INDEX NAME)



RN 433247-64-4 CAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[(8R)-4,5,7,8-tetrahydro-8-methyl-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-2-yl]- (9CI) (CA INDEX NAME)

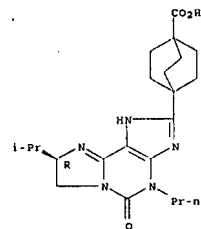
Absolute stereochemistry.



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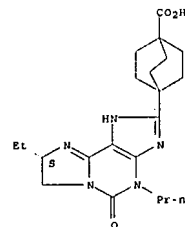
Erich Leese

10/513699



RN 433247-84-8 CAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[(8S)-8-ethyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-2-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 433247-88-2 CAPLUS
CN 5H-[1,3]Diazepino[2,1-i]purin-5-one, 1,4,7,8,9,10-hexahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl- (9CI) (CA INDEX NAME)

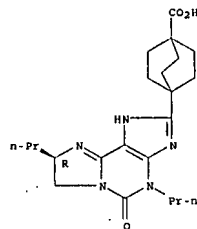
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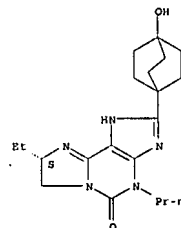
RN 433247-69-9 CAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[(8R)-4,5,7,8-tetrahydro-5-oxo-4,6-dipropyl-1H-imidazo[2,1-i]purin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 433247-74-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.



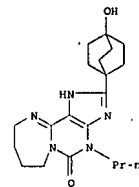
RN 433247-79-1 CAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[(8R)-4,5,7,8-tetrahydro-8-(1-methylethyl)-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

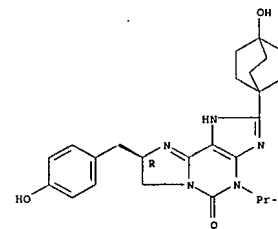
Erich Leese

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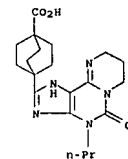


RN 433247-93-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-[(4-hydroxyphenyl)methyl]-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 433247-98-4 CAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[(1,4,5,7,8,9-hexahydro-5-oxo-4-propylpyrimido[2,1-i]purin-2-yl)- (9CI) (CA INDEX NAME)



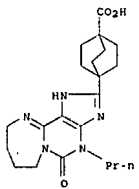
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Erich Leese

10/513699

RN 433248-03-4 CAPLUS

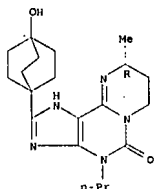
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-(4,5,7,8,9,10-hexahydro-5-oxo-4-propyl-1H-(1,3)diazepino[2,1-i]purin-2-yl)- (9CI) (CA INDEX NAME)



RN 433248-07-8 CAPLUS

CN Pyrimido[2,1-i]purin-5(3H)-one, 4,7,8,9-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-9-methyl-4-propyl-, (9R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 433248-11-4 CAPLUS

CN Pyrimido[2,1-i]purin-5(3H)-one, 9-ethyl-4,7,8,9-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl-, (9R)- (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

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REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:414265 CAPLUS

DOCUMENT NUMBER: 137:136577

TITLE: Identification by site-directed mutagenesis of residues involved in ligand recognition and activation of the human A3 adenosine receptor

AUTHOR(S): Gao, Zhan-Guo; Chen, Aighe; Barak, Dov; Kim, Soo-Kyung; Muller, Christa E.; Jacobson, Kenneth A.

CORPORATE SOURCE: Molecular Recognition Section, Laboratory of Bioorganic Chemistry, NIDDK, National Institutes of Health, Bethesda, MD, 20892, USA

SOURCE: Journal of Biological Chemistry (2002), 277(21), 19056-19063

CODEN: JBCHA3; ISSN: 0021-9258

PUBLISHER: American Society for Biochemistry and Molecular Biology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Ligand recognition has been extensively explored in G protein-coupled A1, A2A, and A2B adenosine receptors but not in the A3 receptor, which is cerebroprotective and cardioprotective. We mutated several residues of the human A3 adenosine receptor within transmembrane domains 3 and 6 and the second extracellular loop, which have been predicted by previous mol. modeling to be involved in the ligand recognition, including H195, Trp243, Leu244, Ser247, Asn250, and Lys152. The N250A mutant receptor lost the ability to bind both radiolabeled agonist and antagonist. The H95A mutation significantly reduced affinity of both agonists and antagonists. In contrast, the K152A (EL2), W243A (6.48), and W243F (6.48) mutations did not significantly affect the agonist binding but decreased antagonist affinity by approx. 3-38-fold, suggesting that these residues were critical for the high affinity of A3 adenosine receptor antagonists. Activation of phospholipase C by wild type (WT) and mutant receptors was measured. The A3 agonist 2-chloro-N6-(3-iodobenzyl)-5'-N-methylcarbamoyladenine stimulated phosphoinositide turnover in the WT but failed to evoke a response in cells expressing W243A and W243F mutant receptors, in which agonist binding was less sensitive to guanosine 5'-gamma-thiotriphosphate than in WT. Thus, although not important for agonist binding, Trp243 was critical for receptor activation. The results were interpreted using a rhodopsin-based model of ligand-A3 receptor interactions.

IT 444717-56-0, PSB 11

RL: BSU (Biological study, unclassified); BIOL (Biological study) (identification by site-directed mutagenesis of residues involved in ligand recognition and activation of the human A3 adenosine receptor)

RN 444717-56-0 CAPLUS

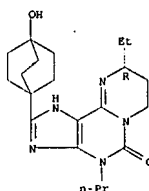
CN 5H-imidazo[2,1-i]purin-5-one, 8-ethyl-3,4,7,8-tetrahydro-4-methyl-2-phenyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

Erich Leese

10/513699



RN 433248-14-7 CAPLUS

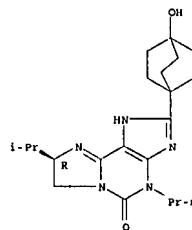
CN 5H-imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-(1-methylethyl)-4-propyl-, (8R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 433246-43-6

CMP C21 H31 N5 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1

CMP C2 H F3 O2



<12/04/2007>

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REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 30 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:97704 CAPLUS

DOCUMENT NUMBER: 137:78913

TITLE: [3H]-8-Ethyl-4-methyl-2-phenyl-(8R)-4,5,7,8-tetrahydro-1H-imidazo[2,1-i]purin-5-one ([3H]PSB-11), a Novel High-Affinity Antagonist Radioligand for Human A3 Adenosine Receptors

AUTHOR(S): Muller, Christa E.; Diekmann, Martina; Thorand, Mark; Ozola, Vilis

CORPORATE SOURCE: University of Bonn, Pharmaceutical Institute, Bonn, D-53115, Germany

SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(3), 501-503

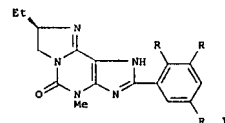
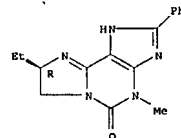
CODEN: BMCL2E; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OT



AB This study describes the preparation and binding properties of [3H]PSB-11 (I, R = 3H), a novel, potent, and selective antagonist radioligand for human A3 adenosine receptors (ARs). I (R = 3H) was prepared by hydrogenation of I (R = Cl) with tritium gas. [3H]PSB-11 binding to membranes of Chinese hamster ovary (CHO) cells expressing the human A3 AR was saturable and reversible. Saturation expts. showed that [3H]PSB-11 labeled a single class of binding sites with high affinity (KD = 4.9 nM) and limited capacity (Bmax = 3500 fmol/mg of protein). PSB-11 is highly selective vs. the other adenosine receptor subtypes. The new radioligand shows an extraordinarily low degree of non-specific binding rendering it a very useful tool for studying the (patho)physiol. roles of A3 ARs.

IT 439902-54-2

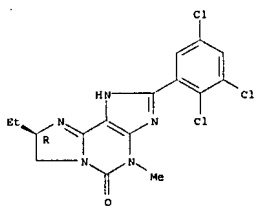
<12/04/2007>

Erich Leese

10/513699

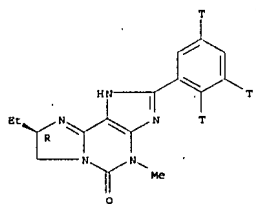
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenation with tritium gas)
RN 439902-54-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-(2,3,5-trichlorophenyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 439902-55-3P
RL: PAC (Pharmacological activity); SPN (Synthetic, preparation); BIOL (Biological study); PREP (Preparation)
(preparation and binding to human A3 adenosine receptor)
RN 439902-55-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-(phenyl-2,3,5-tri)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2001:551386 CAPLUS
Correction of: 2001:489404
DOCUMENT NUMBER: 135:92647

<12/04/2007>

Erich Leese

10/513699

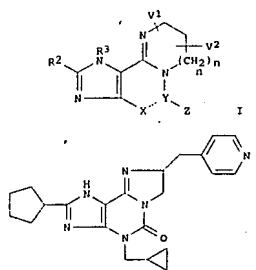
Correction of: 135:76901
TITLE: Preparation of fused purine derivatives as insulin secretion enhancers
INVENTOR(S): Ueno, Kimihisa; Ogawa, Akira; Ohta, Yoshihisa; Nomoto, Yuji; Takasaki, Kotaro; Kusaka, Hidesaki; Yano, Hiroshi; Suzuki, Chiharu; Nakamichi, Satoshi
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047931	A1	20010705	WO 2000-JP9160	20001222
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UO, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GW, KE, LS, MW, MZ, SD, SL, SZ, TZ, UO, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2395414	A1	20010705	CA 2000-2395414	20001222
AU 2001022235	A5	20010709	AU 2001-22235	20001222
EP 1251130	A1	20021023	EP 2000-985847	20001222
EP 1251130	B1	20050216		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
AT 289311	T	20050315	AT 2000-985847	20001222
ES 2238335	T3	20050901	ES 2000-985847	20001222
US 2003176698	A1	20030918	US 2002-149423	20021022
US 7005430	B2	20060228		
PRIORITY APPLN. INFO.:			JP 1999-366213	A 19991224
OTHER SOURCE(S):		MARPAT 135:92647	WO 2000-JP9160	M 20001222
GI				

<12/04/2007>

Erich Leese

10/513699

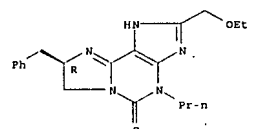


II

AB Title compds. I; XYZ = R1NC=O, N; CW; R1 = (CH2)2CH3, cyclopropylmethyl; W = Cl, NH(CH2)2CH3, OCH2CH3, CN, SCH3, OCH2CH2CH2SCH3, OCH2CH2CH2SCH3; R2 = C(CH3)3, (CH2)2CH3, H, cyclopentyl, Br, SCH3, CHO, ClCH2, COCH3; R3 = H, CH2OCH3, CH2C6H5; V1 = H, C(CH3)3, CH2C6H5, CH2Cl, CH2NHCH3; V2 = H, CH2C6H5, 3-pyridyl; n = 1, 2; dotted line = single bond, double bond) and pharmaceutically acceptable salts are prepared as insulin secretion enhancers, blood sugar lowering agents, and remedy for diabetes complications. Thus, the title compound II was prepared and biol. tested.

IT 348167-57-7P 348169-57-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of fused purine derivs. as insulin secretion enhancers)
RN 348167-57-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(ethoxymethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348169-57-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-((ethylthio)methyl)-1,4,7,8-tetrahydro-8-

<12/04/2007>

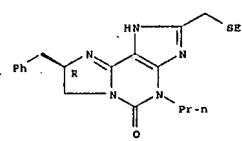
Erich Leese

10/513699

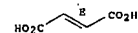
(phenylmethyl)-4-propyl-, (8R)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1
CRN 348169-56-2
CMP C20 H25 N5 O 8

Absolute stereochemistry.



CM 2
CRN 110-17-8
CMP C4 H4 O4
Double bond geometry as shown.



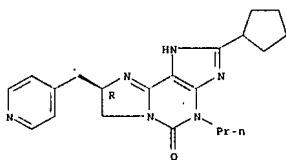
IT 348165-49-1P 348165-93-5P 348166-04-1P
348166-05-2P 348166-06-3P 348166-07-4P
348166-22-3P 348166-23-4P 348166-24-5P
348166-27-6P 348166-28-9P 348166-30-3P
348166-31-4P 348166-40-5P 348166-91-6P
348167-33-9P 348167-35-1P 348167-44-2P
348167-46-4P 348167-49-7P 348167-50-0P
348167-51-1P 348167-58-8P 348167-60-2P
348169-45-9P 348169-76-6P 348169-80-2P
348362-73-2P 349554-64-9P 349554-69-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused purine derivs. as insulin secretion enhancers)
RN 348165-49-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

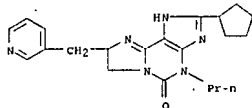
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Erich Leese

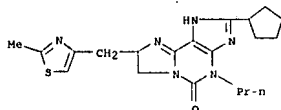
10/513699



RN 348165-93-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 348166-04-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(2-methyl-4-thiazolyl)methyl]-4-propyl-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

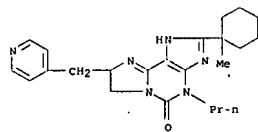
RN 348166-05-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(pyrazinylmethyl)- (9CI) (CA INDEX NAME)

<12/04/2007>

Erich Leese

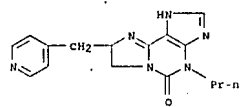
10/513699

propyl-8-(4-pyridinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

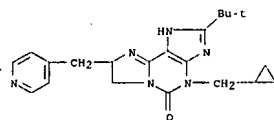


● 2 HCl

RN 348166-24-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 348166-27-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 4-(cyclopropylmethyl)-2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-8-(4-pyridinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



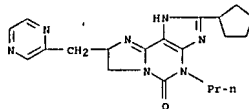
● 2 HCl

RN 348166-28-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-4-ethyl-1,4,7,8-tetrahydro-8-(4-pyridinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

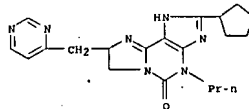
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Erich Leese

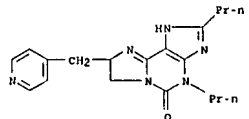
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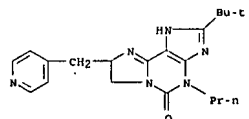
RN 348166-06-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 348166-07-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2,4-dipropyl-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 348166-22-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

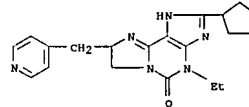


RN 348166-23-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(1-methylcyclohexyl)-4-propyl-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

<12/04/2007>

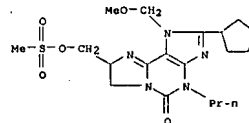
Erich Leese

10/513699

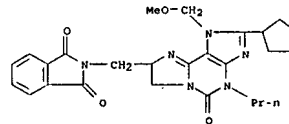


● 2 HCl

RN 348166-30-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-1-(methoxymethyl)-8-(((methylsulfonyl)oxyl)methyl)-4-propyl- (9CI) (CA INDEX NAME)



RN 348166-31-4 CAPLUS
CN 1H-isoindole-1,3(2H)-dione, 2-((2-cyclopentyl-4,5,7,8-tetrahydro-1-(methoxymethyl)-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-8-yl)methyl)- (9CI) (CA INDEX NAME)

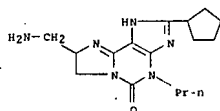


RN 348166-40-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(aminomethyl)-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-, dihydrochloride (9CI) (CA INDEX NAME)

<12/04/2007>

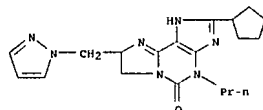
Erich Leese

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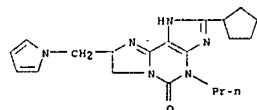


● 2 HCl

RN 348166-91-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(1H-pyrazol-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 348167-33-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(1H-pyrrol-1-ylmethyl)- (9CI) (CA INDEX NAME)

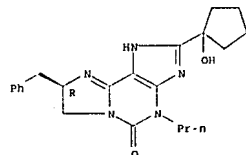


RN 348167-35-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(chloromethyl)-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-, hydrochloride (9CI) (CA INDEX NAME)

<12/04/2007>

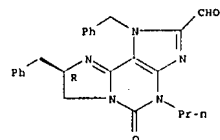
Erich Leese

10/513699



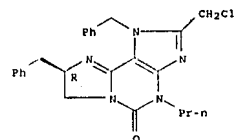
RN 348167-50-0 CAPLUS
CN 1H-Imidazo[2,1-i]purine-2-carboxaldehyde, 4,5,7,8-tetrahydro-5-oxo-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348167-51-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(chloromethyl)-1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348167-58-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(ethoxymethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

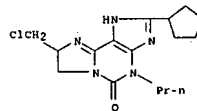
CM 1

CRN 348167-57-7
CMP C20 H25 N5 O2

<12/04/2007>

Erich Leese

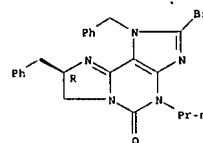
10/513699



● x HCl

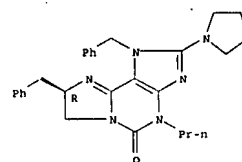
RN 348167-44-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-bromo-1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348167-46-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-4-propyl-2-(1-pyrrolidinyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348167-49-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(1-hydroxycyclopentyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

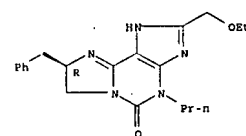
Absolute stereochemistry.

<12/04/2007>

Erich Leese

10/513699

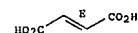
Absolute stereochemistry.



CM 2

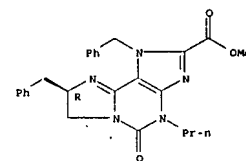
CRN 110-17-8
CMP C4 H4 O4

Double bond geometry as shown.



RN 348167-60-2 CAPLUS
CN 1H-Imidazo[2,1-i]purine-2-carboxylic acid, 4,5,7,8-tetrahydro-5-oxo-1,8-bis(phenylmethyl)-4-propyl-, methyl ester, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348169-45-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-((phenylmethoxymethyl)-8-(phenylmethyl)-4-propyl-, (8R)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

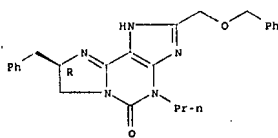
CRN 348169-44-8
CMP C25 H27 N5 O2

Absolute stereochemistry.

<12/04/2007>

Erich Leese

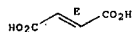
10/513699



CM 2

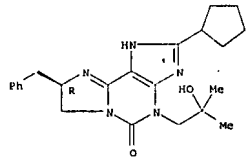
CRN 110-17-8
CMP C4 H4 O4

Double bond geometry as shown.



RN 348169-76-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-(2-hydroxy-2-methylpropyl)-8-(phenylmethyl)-, monohydrochloride, (8R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



● HCl

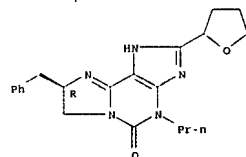
RN 348169-80-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-(3-hydroxy-3-methylbutyl)-8-(phenylmethyl)-, monohydrochloride, (8R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

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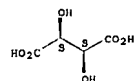
10/513699



CM 2

CRN 147-71-7
CMP C4 H6 O6

Absolute stereochemistry.

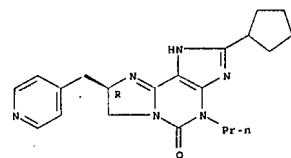


RN 349554-69-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI)
(CA INDEX NAME)

CM 1

CRN 348165-49-1
CMP C21 H26 N6 O

Absolute stereochemistry.

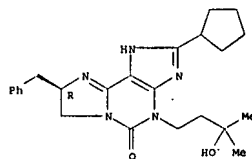


CM 2

<12/04/2007>

Erich Leese

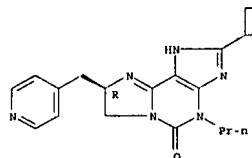
10/513699



● HCl

RN 348362-73-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 349554-64-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(tetrahydro-2-furanyl)-, (8R)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 349554-63-8
CMP C21 H25 N5 O2

Absolute stereochemistry.

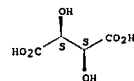
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Erich Leese

10/513699

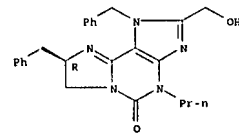
CRN 147-71-7
CMP C4 H6 O6

Absolute stereochemistry.



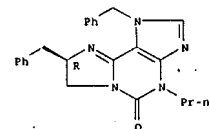
IT 348621-36-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of fused purine derivs. as insulin secretion enhancers)
RN 348621-36-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(hydroxymethyl)-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 254426-65-8P 348393-40-8P 348621-37-4P
348621-39-6P 348621-41-0P 348621-42-1P
348621-43-2P 349554-72-9P 349554-74-1P
349585-63-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of fused purine derivs. as insulin secretion enhancers)
RN 254426-65-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



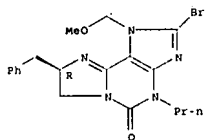
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Erich Leese

10/513699

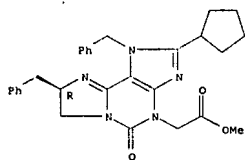
RN 348393-40-8 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-bromo-1,4,7,8-tetrahydro-1-(methoxymethyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



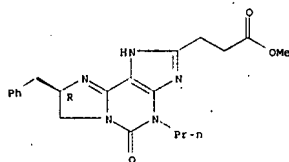
RN 348621-37-4 CAPLUS
 CN 1H-Imidazo[2,1-i]purine-4(5H)-acetic acid, 2-cyclopentyl-7,8-dihydro-5-oxo-1,8-bis(phenylmethyl)-, methyl ester, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348621-39-6 CAPLUS
 CN 1H-Imidazo[2,1-i]purine-2-propanoic acid, 4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-, methyl ester, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348621-41-0 CAPLUS

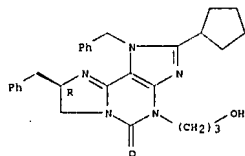
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Erich Leese

10/513699

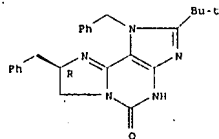
RN 349554-72-9 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-4-(3-hydroxypropyl)-1,8-bis(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 349554-74-1 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 349555-63-3 CAPLUS
 CN Carbanic acid, [(trans-4-[(8R)-4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-1H-imidazo[2,1-i]purin-2-yl]cyclohexyl)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

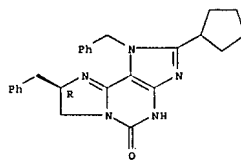
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Erich Leese

10/513699

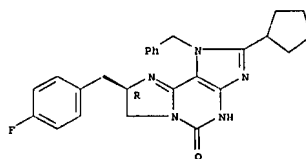
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



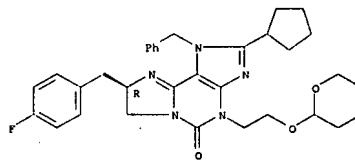
RN 348621-42-1 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-1-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348621-43-2 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-1-(phenylmethyl)-4-[2-[(tetrahydro-2H-pyran-2-yl)oxy]ethyl]-, (8R)- (9CI) (CA INDEX NAME)

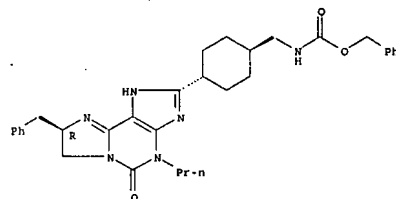
Absolute stereochemistry.



<12/04/2007>

Erich Leese

10/513699

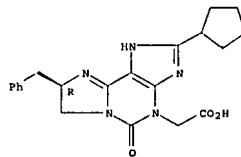


IT 348169-71-1P 348169-79-9P 349554-73-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
 USES (Uses)

(preparation of fused purine derivs. as insulin secretion enhancers)

RN 348169-71-1 CAPLUS
 CN 1H-Imidazo[2,1-i]purine-4(5H)-acetic acid, 2-cyclopentyl-7,8-dihydro-5-oxo-8-(phenylmethyl)-, hydrochloride, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● x HCl

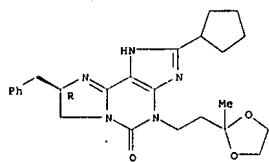
RN 348169-79-9 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-[2-(2-methyl-1,3-dioxolan-2-yl)ethyl]-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

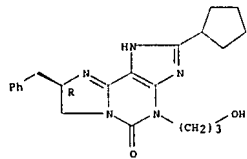
Erich Leese

10/513699



RN 349554-73-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-(3-hydroxypropyl)-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



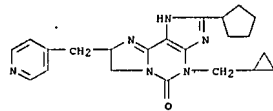
IT 348149-82-6P 348165-85-5P 348166-21-2P
348166-25-6P 348166-29-0P 348167-31-7P
348167-32-8P 348167-34-0P 348167-36-2P
348167-37-3P 348167-38-4P 348167-39-5P
348167-40-8P 348167-41-9P 348167-42-0P
348167-43-1P 348167-45-3P 348167-47-5P
348167-48-6P 348167-52-2P 348167-56-6P
348167-59-9P 348167-61-3P 348167-62-4P
348167-63-5P 348168-14-1P 348168-25-2P
348169-43-7P 348169-47-1P 348169-48-2P
348169-52-8P 348169-53-9P 348169-54-0P
348169-59-5P 348169-72-2P 348169-74-4P
348169-75-5P 348169-77-7P 348169-78-8P
348169-81-3P 348169-82-4P 348169-84-6P
348169-85-7P 349554-62-7P 349585-60-0P
349585-61-1P 349585-62-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Preparation of fused purine deriva. as insulin secretion enhancers)
RN 348149-82-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

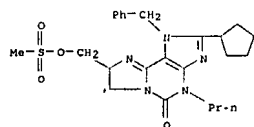
Erich Leese

10/513699

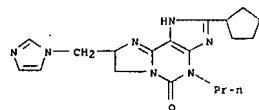


● 2 HCl

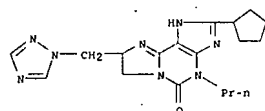
RN 348166-29-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-([(methylsulfonyl)oxy)methyl]-1-(phenylmethyl)-4-propyl- (9CI) (CA INDEX NAME)



RN 348167-31-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(1H-imidazol-1-ylmethyl)-4-propyl- (9CI) (CA INDEX NAME)



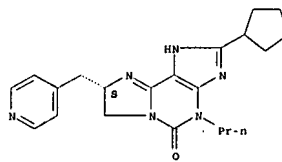
RN 348167-32-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(1H-1,2,4-triazol-1-ylmethyl)- (9CI) (CA INDEX NAME)



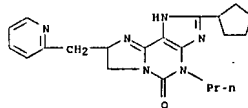
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Erich Leese

10/513699

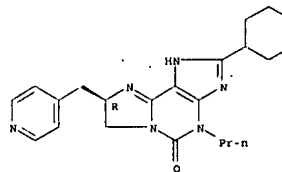


RN 348165-85-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 348166-21-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclohexyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



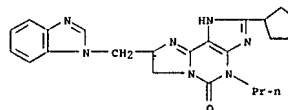
RN 348166-25-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-4-(cyclopropylmethyl)-1,4,7,8-tetrahydro-8-(4-pyridinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

<12/04/2007>

Erich Leese

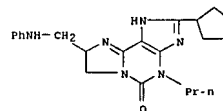
10/513699

RN 348167-34-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(1H-benzimidazol-1-ylmethyl)-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-, dihydrochloride (9CI) (CA INDEX NAME)



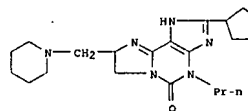
● x HCl

RN 348167-36-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(phenylamino)methyl]-4-propyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 348167-37-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(1-piperidinylmethyl)-4-propyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

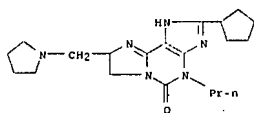
RN 348167-38-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-

<12/04/2007>

Erich Leese

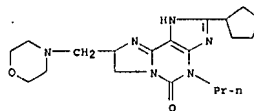
10/513699

(1-pyrrolidinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



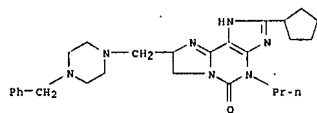
● 2 HCl

RN 348167-39-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(4-morpholinylmethyl)-4-propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 348167-40-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(4-phenylmethyl)-1-piperazinylmethyl]-4-propyl-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

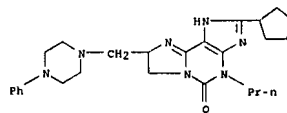
RN 348167-41-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(4-phenyl)-1-piperazinylmethyl]-4-propyl-, trihydrochloride (9CI) (CA INDEX NAME)

<12/04/2007>

Erich Leese

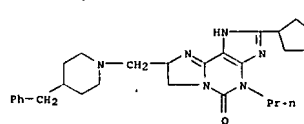
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NAME)



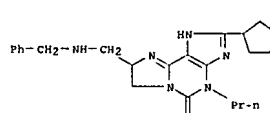
● 3 HCl

RN 348167-42-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(4-phenylmethyl)-1-piperidinylmethyl]-4-propyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 348167-43-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(4-phenylmethyl)amino]methyl]-4-propyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 348167-45-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1-(methoxymethyl)-2-

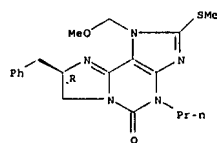
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Erich Leese

10/513699

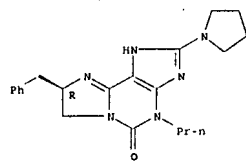
(methylthio)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348167-47-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(1-pyrrolidinyl)-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

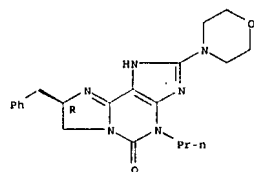
Absolute stereochemistry.



● HCl

RN 348167-48-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(4-morpholinyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



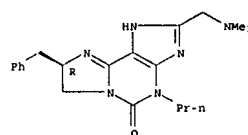
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Erich Leese

10/513699

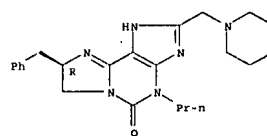
RN 348167-52-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-[(dimethylamino)methyl]-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



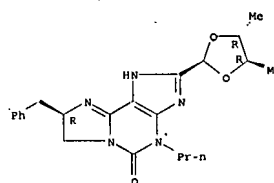
RN 348167-56-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-2-(1-piperidinylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348167-59-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-[(4R,5R)-4,5-dimethyl-1,3-dioxolan-3-yl]-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



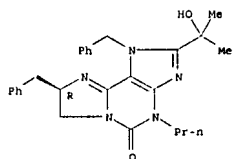
<12/04/2007>

Erich Leese

10/513699

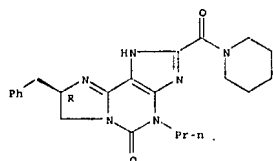
RN 348167-61-3 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(1-hydroxy-1-methylethyl)-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



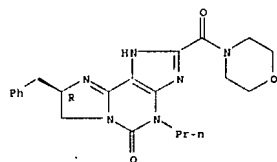
RN 348167-62-4 CAPLUS
 CN Piperidine, 4-[[[(8R)-4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-1H-imidazo[2,1-i]purin-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348167-63-5 CAPLUS
 CN Morpholine, 4-[[[(8R)-4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-1H-imidazo[2,1-i]purin-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

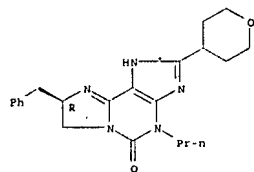
Absolute stereochemistry.



<12/04/2007>

Erich Leese

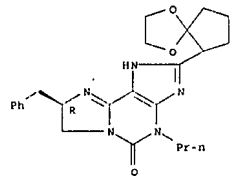
10/513699



● HCl

RN 348169-43-7 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,4-dioxaspiro[4.4]non-6-yl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348169-47-1 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(methoxyphenylmethyl)-8-(phenylmethyl)-4-propyl-, (8R)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 348169-46-0
 CMP C25 H27 N5 O2

Absolute stereochemistry.

<12/04/2007>

Erich Leese

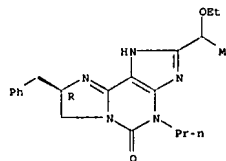
10/513699

RN 348168-24-1 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1-ethoxyethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 348168-23-0
 CMP C21 H27 N5 O2

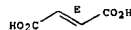
Absolute stereochemistry.



CM 2

CRN 110-17-8
 CMP C4 H4 O4

Double bond geometry as shown.



RN 348168-25-2 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(tetrahydro-2H-pyran-4-yl)-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

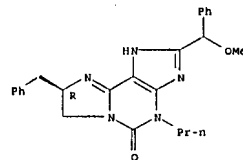
Absolute stereochemistry.



<12/04/2007>

Erich Leese

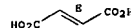
10/513699



CM 2

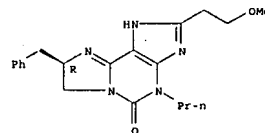
CRN 110-17-8
 CMP C4 H4 O4

Double bond geometry as shown.



RN 348169-48-2 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(2-methoxyethyl)-8-(phenylmethyl)-4-propyl-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

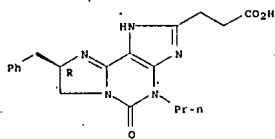
RN 348169-52-8 CAPLUS
 CN 1H-Imidazo[2,1-i]purine-2-propanoic acid, 4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

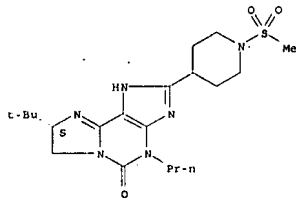
Erich Leese

10/513699



RN 348169-53-9 CAPLUS
CN Piperidine, 4-[(8S)-8-[(1,1-dimethylethyl)-4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-2-yl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348169-54-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(8R)-4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-1H-imidazo[2,1-i]purin-2-yl]-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

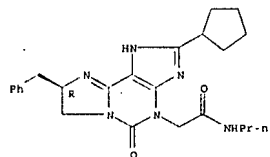
<12/04/2007>

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10/513699

(phenylmethyl)-N-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

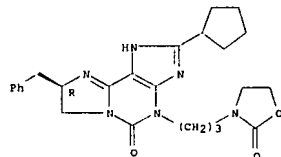


RN 348169-74-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-[3-(2-oxo-3-oxazolidinyl)propyl]-8-(phenylmethyl)-, (8R)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 348169-73-3
CMP C25 H30 N6 O3

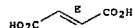
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMP C4 H4 O4

Double bond geometry as shown.

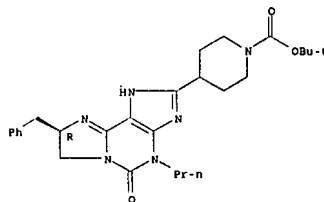


RN 348169-75-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(4-(fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-(2-hydroxyethyl)-, monohydrochloride, (8R)- (9CI)

<12/04/2007>

Erich Leese

10/513699



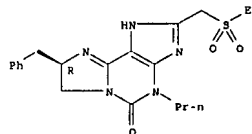
● HCl

RN 348169-59-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-[(ethylsulfonyl)methyl]-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 348169-58-4
CMP C20 H25 N5 O3 S

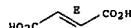
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMP C4 H4 O4

Double bond geometry as shown.



RN 348169-72-2 CAPLUS
CN 1H-Imidazo[2,1-i]purine-4(5H)-acetamide, 2-cyclopentyl-7,8-dihydro-5-oxo-8-

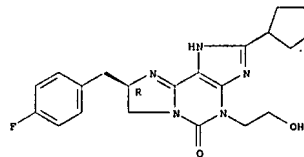
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Erich Leese

10/513699

(CA INDEX NAME)

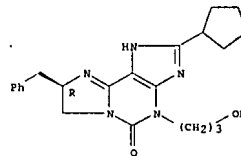
Absolute stereochemistry.



● HCl

RN 348169-77-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-(3-hydroxypropyl)-8-(phenylmethyl)-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

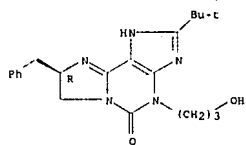
RN 348169-78-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-4-(3-hydroxypropyl)-8-(phenylmethyl)-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

Erich Leese

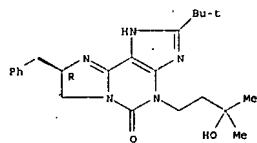
10/513699



● HCl

RN 348169-81-3 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-((1,1-dimethylethyl)-1,4,7,8-tetrahydro-4-(3-hydroxy-3-methylbutyl)-8-(phenylmethyl))-, monohydrochloride, (8R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

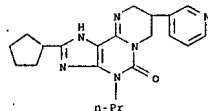
RN 348169-82-4 CAPLUS
 CN Pyrimido[2,1-i]purin-5(1H)-one, 2-(ethoxymethyl)-4,7,8,9-tetrahydro-9-(phenylmethyl)-4-propyl-, (9R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

Erich Leese

10/513699

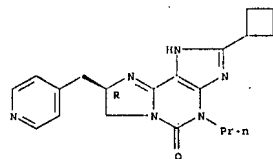


RN 349554-62-7 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 348362-73-2
 CMP C20 H24 N6 O

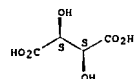
Absolute stereochemistry.



CM 2

CRN 147-71-7
 CMP C4 H6 O6

Absolute stereochemistry.



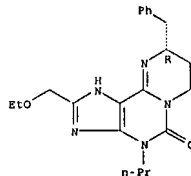
RN 349585-60-0 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(trans-4-hydroxycyclohexyl)-8-(phenylmethyl)-4-propyl-, monohydrochloride, (8R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

Erich Leese

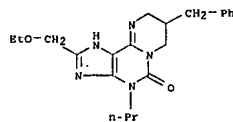
10/513699



RN 348169-84-6 CAPLUS
 CN Pyrimido[2,1-i]purin-5(1H)-one, 2-(ethoxymethyl)-4,7,8,9-tetrahydro-8-(phenylmethyl)-4-propyl-, (2S)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

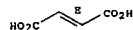
CRN 348169-83-5
 CMP C21 H27 N5 O2



CM 2

CRN 110-17-8
 CMP C4 H4 O4

Double bond geometry as shown.

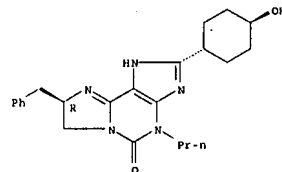


RN 348169-85-7 CAPLUS
 CN Pyrimido[2,1-i]purin-5(1H)-one, 2-cyclopentyl-4,7,8,9-tetrahydro-4-propyl-8-(3-pyridinyl)- (9CI) (CA INDEX NAME)

<12/04/2007>

Erich Leese

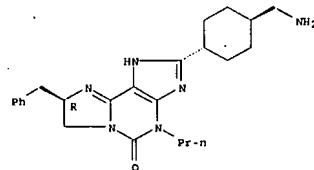
10/513699



● HCl

RN 349585-61-1 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-(trans-4-(aminomethyl)cyclohexyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

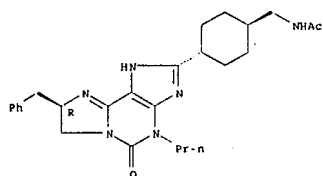


RN 349585-62-2 CAPLUS
 CN Acetamide, N-([trans-4-[(8R)-4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-1H-imidazo[2,1-i]purin-2-yl]cyclohexyl]methyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

Erich Leese

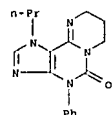


● HCl

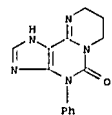
L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2001:100157 CAPLUS
 DOCUMENT NUMBER: 134:275332
 TITLE: Phosphodiesterase 4 isoenzyme inhibitory activity of 3-phenylxanthines and 4-phenyl[1,2,4]triazino[4,3-a]benzimidazol-4(10H)-ones
 AUTHOR(S): Suzuki, Hirokazu; Sawanishi, Hiroyuki; Yamamoto, Kenji; Yokogawa, Koichi; Miyamoto, Ken-Ichi
 CORPORATE SOURCE: Department of Synthetic Chemistry, Faculty of Pharmaceutical Science, Hokuriku University, Kanazawa, 920-1181, Japan
 SOURCE: Chemical & Pharmaceutical Bulletin (2001), 49(2), 188-191
 CODEN: CPBTAL; ISSN: 0009-2363
 PUBLISHER: Pharmaceutical Society of Japan
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB On the basis of our study on the structure-activity relationships of 1,3,7-alkylxanthines and condensed-purines on CAMP-phosphodiesterase 4 (PDE 4) isoenzyme inhibitor, we investigated the synthesis and the inhibitory activity of 3-phenylxanthine and 4-phenyl[1,2,4]triazino[4,3-a]benzimidazol-4(10H)-one derivatives. Xanthines and condensed-purines with the Ph group exhibited potent and selective PDE 4 inhibitory activity.
 IT 19569-73-9
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (phosphodiesterase 4 isoenzyme inhibitory activity of 3-phenylxanthines and 4-phenyl[1,2,4]triazino[4,3-a]benzimidazol-4(10H)-ones)
 RN 19569-73-9 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)

<12/04/2007>

Erich Leese



RN 333447-90-8 CAPLUS
 CN Pyrimido[2,1-i]purin-5-one, 4,7,8,9-tetrahydro-4-phenyl- (9CI) (CA INDEX NAME)

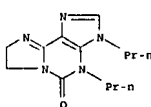


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

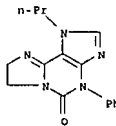
L4 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2001:6279 CAPLUS
 DOCUMENT NUMBER: 134:216806
 TITLE: A New Class of Selective A1 Adenosine Receptor Antagonists
 AUTHOR(S): Da Settimo, Federico; Primofiore, Giampaolo; Taliani, Sabrina; Marini, Anna Maria; La Motta, Concettina; Novellino, Ettore; Greco, Giovanni; Lavecchia, Antonio; Trincavelli, Letizia; Martini, Claudia
 CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Università di Pisa, Pisa, 56126, Italy
 SOURCE: Journal of Medicinal Chemistry (2001), 44(3), 316-327
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 134:216806
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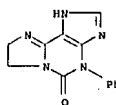
Erich Leese



IT 333447-87-3P 333447-88-4P 333447-89-5P
 333447-90-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (phosphodiesterase 4 isoenzyme inhibitory activity of 3-phenylxanthines and 4-phenyl[1,2,4]triazino[4,3-a]benzimidazol-4(10H)-ones)
 RN 333447-87-3 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-phenyl-1-propyl- (9CI) (CA INDEX NAME)



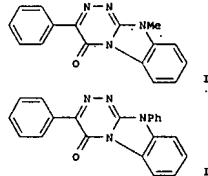
RN 333447-88-4 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-phenyl- (9CI) (CA INDEX NAME)



RN 333447-89-5 CAPLUS
 CN Pyrimido[2,1-i]purin-5-one, 4,7,8,9-tetrahydro-4-phenyl-1-propyl- (9CI) (CA INDEX NAME)

<12/04/2007>

Erich Leese

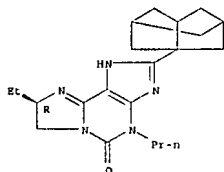


AB Radioligand binding assays using bovine cortical membrane preps. and biochem. in vitro studies revealed that various 3-aryl[1,2,4]triazino[4,3-a]benzimidazol-4(10H)-one (ATBI) deriva., previously reported by the authors as ligands of the central benzodiazepine receptor (BzR) (Primofiore, G., et al. J. Med. Chemical 2000, 43, 96-102), behaved as antagonists at the A1 adenosine receptor (A1AR). Alkylation of the nitrogen at position 10 of the triazinobenzimidazole nucleus conferred selectivity for the A1AR vs. the BzR. The most potent ligand of the ATBI series (10-methyl-3-phenyl[1,2,4]triazino[4,3-a]benzimidazol-4(10H)-one (I)) displayed a Ki value of 63 nM at the A1AR without binding appreciably to the adenosine A2A and A3 nor to the benzodiazepine receptor. Pharmacophore-based modeling studies in which I was compared against a set of well-established A1AR antagonists suggested that three hydrogen bonding sites (HB1 acceptor, HB2 and HB3 donors) and three lipophilic pockets (L1, L2, and L3) might be available to antagonists within the A1AR binding cleft. According to the proposed pharmacophore scheme, the lead compound I engages interactions with the HB2 site (via the N2 nitrogen) as well as with the L2 and L3 sites (through the pendant and the fused benzene rings). The results of these studies prompted the replacement of the Me with more lipophilic groups at the 10-position (to fill the putative L1 lipophilic pocket) as a strategy to improve A1AR affinity. Among the new compds. synthesized and tested, the 3,10-diphenyl[1,2,4]triazino[4,3-a]benzimidazol-4(10H)-one (II) was characterized by a Ki value of 18 nM which represents a 3.5-fold gain of A1AR affinity compared with the lead I. A rhodopsin-based model of the bovine adenosine A1AR was built to highlight the binding mode of II and two well-known A1AR antagonists and to guide future lead optimization projects. In the authors docking simulations, II receives a hydrogen bond (via the N2 nitrogen) from the side chain of Asn247 (corresponding to the HB1 and HB2 sites) and fills the L1, L2, and L3 lipophilic pockets with the 10-Ph, 3-Ph, and fused benzene rings, resp.
 IT 143394-68-7
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PREP (Preparation); BIOL (Biological study)
 (3-aryl[1,2,4]triazino[4,3-a]benzimidazol-4(10H)-ones as new class of selective A1 adenosine receptor antagonists)
 RN 143394-68-7 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

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REFERENCE COUNT: 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LA ANSWER 34 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2000:34744 CAPLUS
 DOCUMENT NUMBER: 132:88180
 TITLE: Condensed purine derivatives as remedies for diabetes
 INVENTOR(S): Shimada, Junichi; Ohta, Yoshihisa; Takasaki, Kotaro;
 Suda, Miho; Kusaka, Hideaki; Yano, Hiroshi; Nakanishi,
 Satochi; Matsuda, Yuzuru
 PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 98 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000011388	A1	20000113	WO 1999-JP3583	19990702
W: AU, BG, BR, CA, CN, CZ, HU, ID, IL, IN, JP, KR, MX, MD, NZ, PL, RO, SG, SI, SK, UA, US, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RM: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2336412	A1	20000113	CA 1999-2336412	19990702
AU 9943968	A	20000124	AU 1999-43968	19990702
EP 1092435	A1	20010418	EP 1999-926903	19990702
EP 1092435	B1	20070404		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
AT 358484	T	20070415	AT 1999-926903	19990702
US 6489331	B1	20021203	US 2001-719570	20010409
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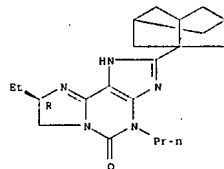
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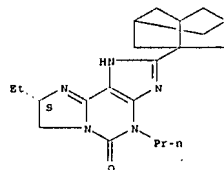
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 143394-70-1 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

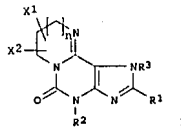


RN 254426-34-1 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

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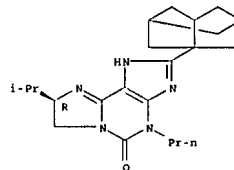


AB Remedies for diabetes which contain condensed purine deriva. as the active ingredient compds. represented by general formula (I) or physiolo. acceptable salts thereof wherein R1 represents hydrogen, lower alkyl, optionally substituted aryl or optionally substituted heteroaryl; R2 represents hydrogen, lower alkyl, optionally substituted aralkyl, optionally substituted aryl or optionally substituted heteroaryl; R3 represents hydrogen, lower alkyl or optionally substituted aralkyl; X1 and X2 independently represent each hydrogen, lower alkyl, optionally substituted aralkyl or optionally substituted aryl; and n is an integer from 0 to 3. I can promote insulin secretion. Formulation examples of I were given.

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 254427-22-0P 254427-23-1P 254427-24-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPM (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (condensed purine deriva. as remedies for diabetes)
 RN 143394-68-7 CAPLUS

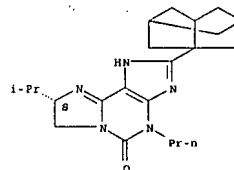
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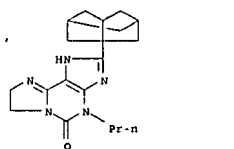


RN 254426-35-2 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254426-36-3 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)



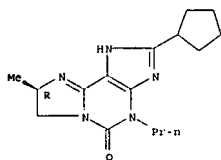
RN 254426-37-4 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-methyl-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

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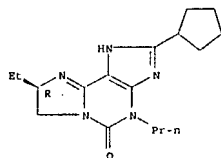
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Absolute stereochemistry.



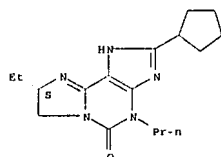
RN 254426-38-5 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-ethyl-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254426-39-6 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-ethyl-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254426-40-9 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

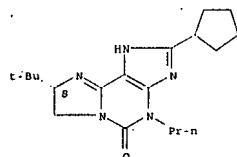
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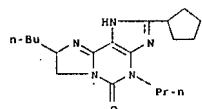
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tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

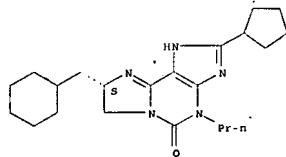


RN 254426-44-3 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 8-butyl-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)



RN 254426-45-4 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 8-(cyclohexylmethyl)-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254426-46-5 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-phenyl-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

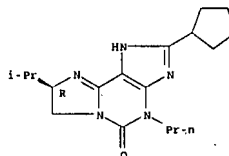
Absolute stereochemistry.

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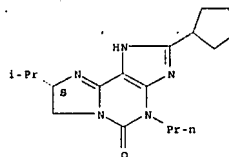
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Absolute stereochemistry.



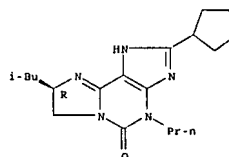
RN 254426-41-0 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254426-42-1 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(2-methylpropyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

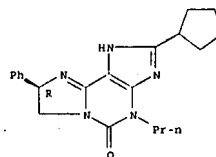


RN 254426-43-2 CAPLUS
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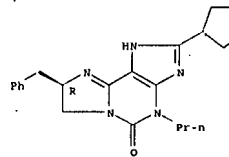
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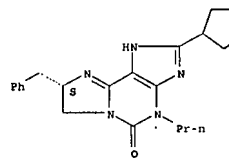
RN 254426-47-6 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-phenyl-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254426-48-7 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

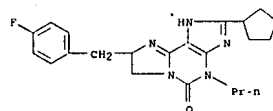


RN 254426-49-8 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)

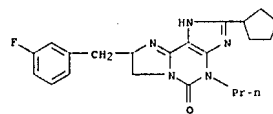
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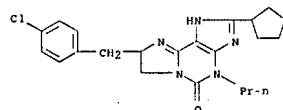
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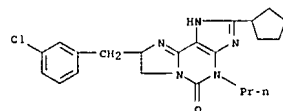
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CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(3-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)



RN 254426-51-2 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 8-[(4-chlorophenyl)methyl]-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)



RN 254426-52-3 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 8-[(3-chlorophenyl)methyl]-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)

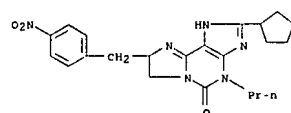


RN 254426-53-4 CAPLUS
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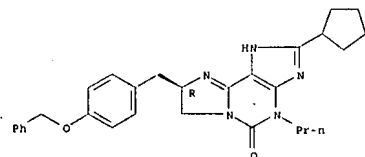
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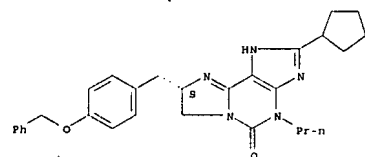
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CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(4-phenylmethoxyphenyl)methyl]-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254426-59-0 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(4-phenylmethoxyphenyl)methyl]-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



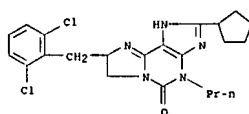
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CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(4-phenylmethoxyphenyl)methyl]-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

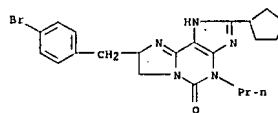
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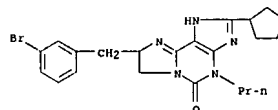
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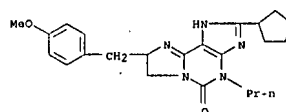
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RN 254426-55-6 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 8-[(3-bromophenyl)methyl]-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)



RN 254426-56-7 CAPLUS
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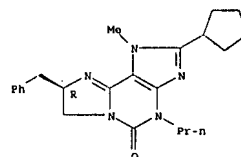


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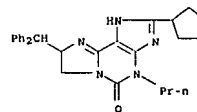
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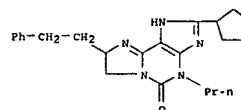
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RN 254426-61-4 CAPLUS
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RN 254426-62-5 CAPLUS
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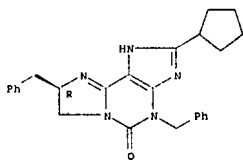
RN 254426-63-6 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-bis(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

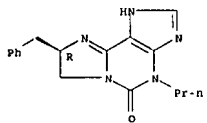
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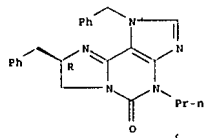
RN 254426-64-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254426-65-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



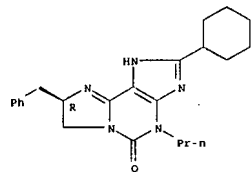
RN 254426-66-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-2,4-dipropyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

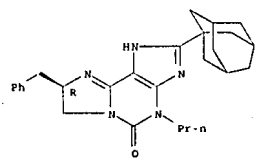
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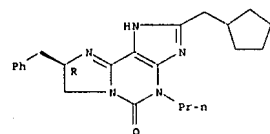
RN 254426-70-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-tricyclo[3.3.1.3^7]dec-1-yl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254426-71-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(cyclopentylmethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



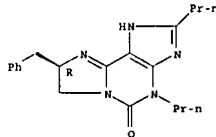
RN 254426-72-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(1-methylethyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

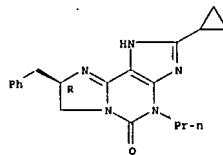
Erich Leese

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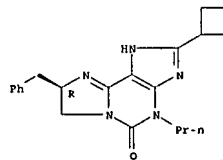
RN 254426-67-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopropyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254426-68-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



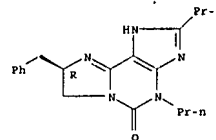
RN 254426-69-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclohexyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

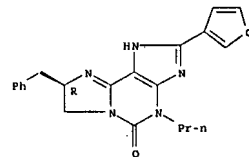
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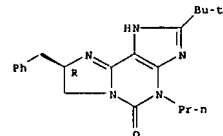
RN 254426-73-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(3-furanyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254426-74-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



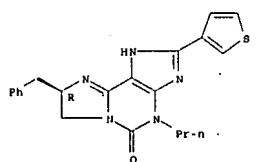
RN 254426-75-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(3-thienyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

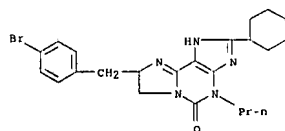
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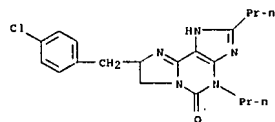
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RN 254426-76-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-bromophenyl)methyl]-2-cyclohexyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 254426-77-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-chlorophenyl)methyl]-1,4,7,8-tetrahydro-2,4-dipropyl- (9CI) (CA INDEX NAME)

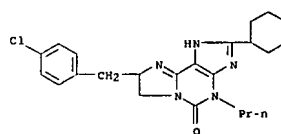


RN 254426-78-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-chlorophenyl)methyl]-2-cyclohexyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

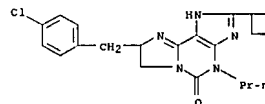
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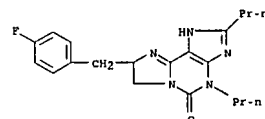
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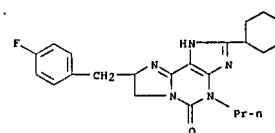
RN 254426-79-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-chlorophenyl)methyl]-2-cyclobutyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 254426-80-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-2,4-dipropyl- (9CI) (CA INDEX NAME)



RN 254426-81-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclohexyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



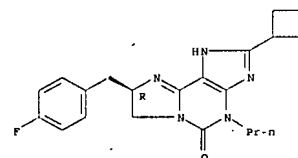
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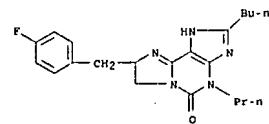
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RN 254426-82-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

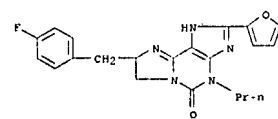
Absolute stereochemistry.



RN 254426-83-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-butyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 254426-84-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-fluorophenyl)methyl]-2-(2-furanyl)-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



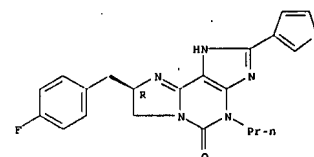
RN 254426-85-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-fluorophenyl)methyl]-2-(3-furanyl)-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

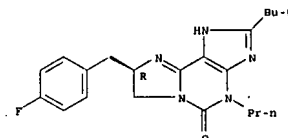
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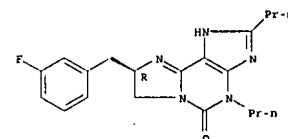
RN 254426-86-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254426-87-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(3-fluorophenyl)methyl]-1,4,7,8-tetrahydro-2,4-dipropyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



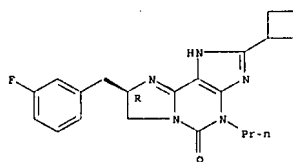
RN 254426-88-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-8-[(3-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

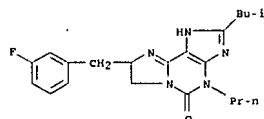
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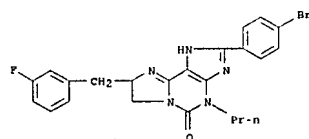
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RN 254426-89-6 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 8-((3-fluorophenyl)methyl)-1,4,7,8-tetrahydro-2-(2-methylpropyl)-4-propyl- (9CI) (CA INDEX NAME)



RN 254426-90-9 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-8-((3-fluorophenyl)methyl)-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

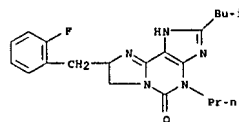


RN 254426-91-0 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 8-((2-fluorophenyl)methyl)-1,4,7,8-tetrahydro-2-(2-methylpropyl)-4-propyl- (9CI) (CA INDEX NAME)

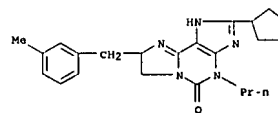
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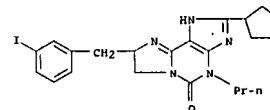
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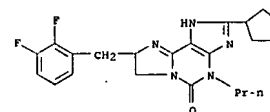
RN 254426-92-1 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-((3-methylphenyl)methyl)-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 254426-93-2 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-((3-iodophenyl)methyl)-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 254426-94-3 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-((2,3-difluorophenyl)methyl)-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

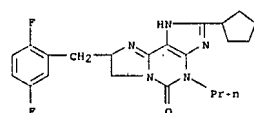


RN 254426-95-4 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-((2,5-difluorophenyl)methyl)-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

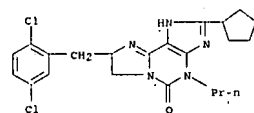
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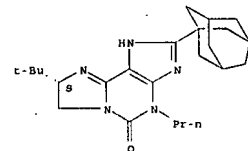


RN 254426-96-5 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-((2,5-dichlorophenyl)methyl)-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 254426-97-6 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 8-((1,1-dimethylethyl)-1,4,7,8-tetrahydro-4-propyl-2-tricyclo[3.3.1.1.3,7]dec-1-yl)-, (8S)- (9CI) (CA INDEX NAME)

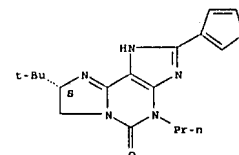
Absolute stereochemistry.



RN 254426-98-7 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 8-((1,1-dimethylethyl)-2-(3-furanyl)-1,4,7,8-tetrahydro-4-propyl)-, (8S)- (9CI) (CA INDEX NAME)

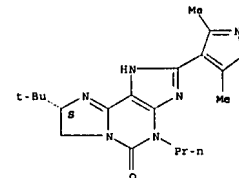
Absolute stereochemistry.

10/513699



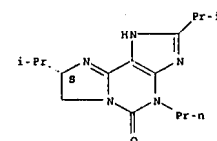
RN 254426-99-8 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 8-((1,1-dimethylethyl)-2-(3,5-dimethyl-4-isoxazolyl)-1,4,7,8-tetrahydro-4-propyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254427-00-4 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2,8-bis(1-methylethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254427-01-5 CAPLUS
CN Benzonitrile, 3-((2-cyclopentyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-8-yl)methyl)- (9CI) (CA INDEX NAME)

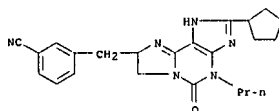
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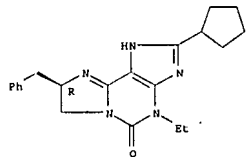
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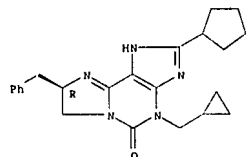
RN 254427-02-6 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-4-ethyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254427-03-7 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-4-(cyclopropylmethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



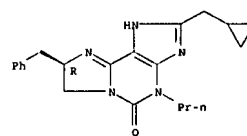
RN 254427-04-8 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-(cyclopropylmethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

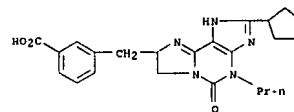
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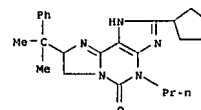
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RN 254427-05-9 CAPLUS
CN Benzoic acid, 3-[(2-cyclopentyl)-4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-8-yl)methyl]- (9CI) (CA INDEX NAME)



RN 254427-06-0 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-4-(cyclopropylmethyl)-1,4,7,8-tetrahydro-8-(1-methyl-1-phenylethyl)-4-propyl-, (9CI) (CA INDEX NAME)



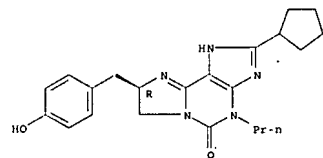
RN 254427-07-1 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-cyclopentyl-4-(cyclopropylmethyl)-1,4,7,8-tetrahydro-8-[(4-hydroxyphenyl)methyl]-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

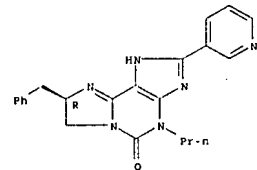
Erich Leese

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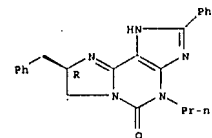
RN 254427-08-2 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(3-pyridinyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254427-09-3 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-phenyl-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



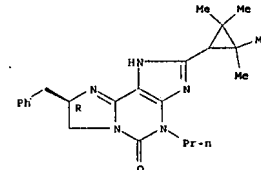
RN 254427-10-6 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(2,2,3,3-tetramethylcyclopropyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

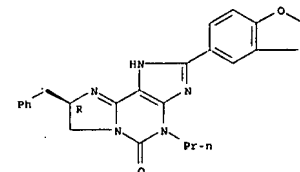
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10/513699



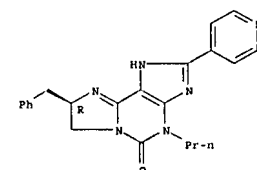
RN 254427-11-7 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-(1,3-benzodioxol-5-yl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254427-12-8 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(4-pyridinyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254427-13-9 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-

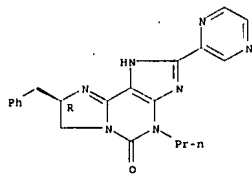
<12/04/2007>

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2-pyrazinyl-, (8R)- (9CI) (CA INDEX NAME)

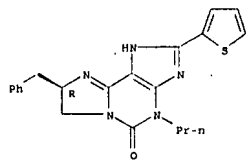
Absolute stereochemistry.



RN 254427-14-0 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(2-thienyl)-, (8R)- (9CI) (CA INDEX NAME)

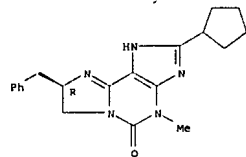
Absolute stereochemistry.



RN 254427-15-1 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-methyl-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



<12/04/2007>

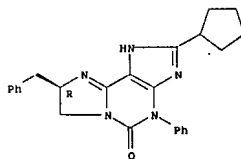
Erich Leese

10/513699

RN 254427-16-2 CAPLUS

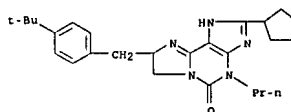
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-phenyl-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



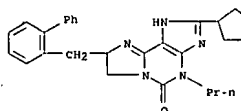
RN 254427-17-3 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(1,1-dimethylethyl)phenyl]methyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 254427-18-4 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(1,1'-biphenyl)-2-ylmethyl]-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 254427-19-5 CAPLUS

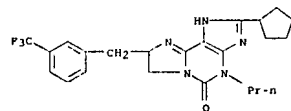
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-[(3-(trifluoromethyl)phenyl)methyl]- (9CI) (CA INDEX NAME)



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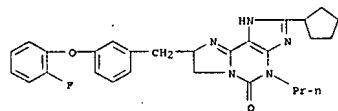
Erich Leese

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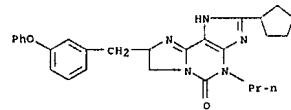
RN 254427-20-8 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(3-(2-fluorophenoxy)phenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



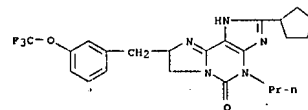
RN 254427-21-9 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(3-phenoxyphenyl)methyl]-4-propyl- (9CI) (CA INDEX NAME)



RN 254427-22-0 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-[(3-(trifluoromethoxy)phenyl)methyl]- (9CI) (CA INDEX NAME)



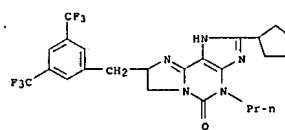
RN 254427-23-1 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(3,5-bis(trifluoromethyl)phenyl)methyl]-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

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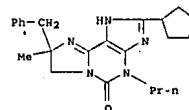
Erich Leese

10/513699



RN 254427-24-2 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-methyl-8-(phenylmethyl)-4-propyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RB FORMAT

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STM

ACCESSION NUMBER: 1999:9714 CAPLUS

DOCUMENT NUMBER: 130:71627

TITLE: Compositions and methods for preventing restenosis following revascularization procedures

INVENTOR(S): Martin, Pauline L.; McAfee, Donald A.

PATENT ASSIGNER(S): Discovery Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9857651	A1	19981223	WO 1998-08740	19980618
W: AU, CA, JP, US				
RM: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2295195	A1	19981223	CA 1998-2295195	19980618
AU 9880740	A	19990104	AU 1998-80740	19980618
AU 740770	B2	20011115		
EP 1014995	A1	20000705	EP 1998-928099	19980618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002505687	T	20020219	JP 1999-504810	19980618
US 6372723	B1	20020416	US 1999-456432	19991208

<12/04/2007>

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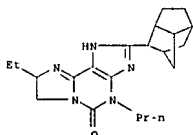
10/513699

US 2001009907 A1 20010726 US 2001-783032 20010215
 US 6339072 B2 20020115
 PRIORITY APPLN. INFO.: US 1997-50031P P 19970618
 MO 1998-US12717 W 19980618
 US 1999-456432 A3 19991208

AB In the present invention, a method is provided which reduces or prevents restenosis following revascularization procedures. It has now been found that selective stimulation of adenosine A2A receptors can reduce or prevent such restenosis. This method may be achieved either by: (a) the administration of selective adenosine A2A receptor agonists, (b) the administration of a selective adenosine A1 antagonist in combination with either a selective adenosine A2A receptor agonist or a non-selective adenosine agonist, or (c) the administration of a selective adenosine A1 antagonist in order to block adenosine A1 receptor activation by endogenously-released adenosine. The present invention is also directed to an improved surgical procedure that relies upon selective stimulation of adenosine A2A receptors. The degree of arterial stenosis in rabbits after angioplasty treated with the adenosine A2A selective agonist 2-cyclohexylmethyladenosine was significantly less than arterial stenosis in rabbits treated with vehicle.

IT 218284-53-8
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (comps. for preventing restenosis following revascularization procedures)

RN 218284-53-8 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-2-(octahydro-1,5-methanopentalen-4-yl)-4-propyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 36 OF 46 CAPLUS COPYRIGHT 2007 ACS on STM
 ACCESSION NUMBER: 1998:389162 CAPLUS
 DOCUMENT NUMBER: 129:104221
 TITLE: Purines and their pharmaceutical compositions
 INVENTOR(S): Miyamoto, Kenichi; Kasugai, Shohei; Waki, Yoshihiro; Sawanishi, Keiji
 PATENT ASSIGNEE(S): Mediscience Planning K. K., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 20 pp.
 CODEN: JKKXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

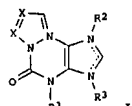
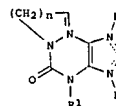
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<12/04/2007>			Erich Leese	

<12/04/2007>

Erich Leese

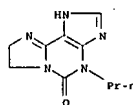
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JP 10158267 A 19980616 JP 1996-319478 19961129
 PRIORITY APPLN. INFO.: MARPAT 129:104221 JP 1996-319478 19961129
 OTHER SOURCE(S):
 GI

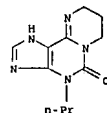


AB Purines I or II (R1-R3 = H, lower alkyloxy, (acyl-substituted) C1-6 alkyl; n = 2-4; X: X = CH2CH, N:N) or their pharmacol. acceptable salts are useful for pharmaceutical compns. such as bronchodilators and therapeutic agents for bone diseases. 3,6-Dipropyl-4,5-dihydro-3H-imidazo[1,2-i]purin-5-one (preparation given) inhibited phosphodiesterase type IV with IC50 of 1.4 μM.
 IT 135839-22-4P 195869-88-6P 195870-01-0P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of purines for bronchodilators and therapeutic agents for bone diseases)

RN 135839-22-4 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 195869-88-6 CAPLUS
 CN Pyrimido[2,1-i]purin-5(1H)-one, 4,7,8,9-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

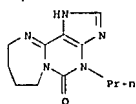


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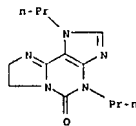
10/513699

RN 195870-01-0 CAPLUS
 CN 5H-[1,3]Diazepino[2,1-i]purin-5-one, 1,4,7,8,9,10-hexahydro-4-propyl- (9CI) (CA INDEX NAME)

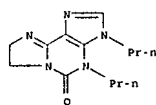


IT 195869-60-4P 195869-73-9P 195869-81-9P
 195869-95-5P 195870-05-4P 209965-37-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of purines for bronchodilators and therapeutic agents for bone diseases)

RN 195869-60-4 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,4-dipropyl- (9CI) (CA INDEX NAME)



RN 195869-73-9 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)

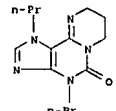


RN 195869-81-9 CAPLUS
 CN Pyrimido[2,1-i]purin-5(1H)-one, 4,7,8,9-tetrahydro-1,4-dipropyl- (9CI) (CA INDEX NAME)

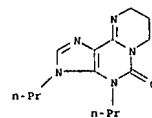
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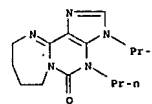
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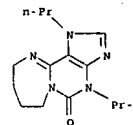
RN 195869-95-5 CAPLUS
 CN Pyrimido[2,1-i]purin-5(1H)-one, 4,7,8,9-tetrahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)



RN 195870-05-4 CAPLUS
 CN 5H-[1,3]Diazepino[2,1-i]purin-5-one, 3,4,7,8,9,10-hexahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)



RN 209965-37-7 CAPLUS
 CN 5H-[1,3]Diazepino[2,1-i]purin-5-one, 1,4,7,8,9,10-hexahydro-1,4-dipropyl- (9CI) (CA INDEX NAME)



<12/04/2007>

Erich Leese

10/513699

L4 ANSWER 37 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:239226 CAPLUS

DOCUMENT NUMBER: 128:294787

TITLE: Preparation of fused purine derivatives as adenosine A3 receptor antagonists

INVENTOR(S): Tsunokuni, Hiroshi; Saki, Mayumi; Nonaka, Hiromi; Ichimura, Michio; Shimada, Junichi; Suzuki, Fumio; Ichikawa, Shunji; Kosaka, Nobuo

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

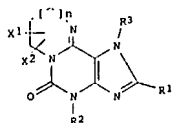
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9815555	A1	19980416	WO 1997-JP3586	19971007
W: AU, BG, BR, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2239881	A1	19980416	CA 1997-2239881	19971007
AU 9744712	A	19980505	AU 1997-44712	19971007
EP 884318	A1	19981216	EP 1997-943146	19971007
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6306847	B1	20011023	US 1998-90936	19980605
PRIORITY APPLN. INFO.:			JP 1996-265818	A 19961007
			WO 1997-JP3586	W 19971007
OTHER SOURCE(S):		MARPAT 128:294787		
GI				



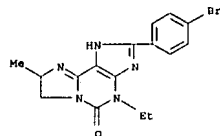
AB The title compds. (I; R1 = optionally substituted aryl or aromatic heterocyclic group; R2 = H, lower alkyl, alicyclic alkyl, optionally substituted aralkyl, aryl, or an aromatic heterocyclic group; R3 = H, lower alkyl or optionally substituted aralkyl; X1, X2 = H, lower alkyl, optionally substituted aralkyl, or aryl; n = 0-3) are prepared I show an adenosine A3 receptor antagonist and have antiasthmatic, bronchodilating and itch-relieving effects. Thus 8-(p-bromophenyl)-3-ethyl-6-methylthio-3,4-dihydro-2H-purin-2-one (preparation given) was reacted with ethanolamine and then treated with SOCl₂ to give 87% I (R1 = p-bromophenyl, R2 = Et, R3 = X1 = X2 = H, n = 0), which at 10⁻⁸ M showed 88% inhibitory activity against adenosine A3 receptor. A formulation containing I are also prepared

IT 206129-80-8P 206129-82-0P 206129-84-2P
206129-86-4P 206129-88-6P 206129-89-7P

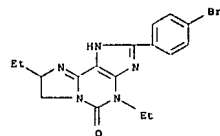
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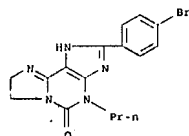
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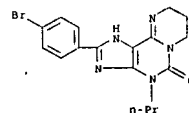
RN 206129-86-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-4,8-diethyl-1,4,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 206129-88-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-3,4,7,8-tetrahydro-4-propyl- (CA INDEX NAME)



RN 206129-89-7 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 2-(4-bromophenyl)-4,7,8,9-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



<12/04/2007>

Erich Leese

10/513699

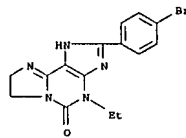
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206129-97-7P 206129-99-9P 206130-01-0P
206130-03-2P 206130-05-4P 206130-07-6P
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206130-15-6P 206130-17-8P 206130-19-0P
206130-21-4P 206130-23-6P 206130-25-8P
206130-26-9P 206130-27-0P 206130-28-1P
206130-29-2P 206130-30-5P 206130-31-6P
206130-32-7P 206130-33-8P 206130-34-9P
206130-35-0P 206130-36-1P 206130-37-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPH (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fused purine derivative as adenosine A3 receptor antagonists)

RN 206129-80-8 CAPLUS

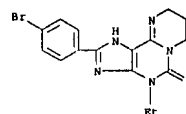
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-4-ethyl-1,4,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 206129-82-0 CAPLUS

CN Pyrimido[2,1-i]purin-5(1H)-one, 2-(4-bromophenyl)-4-ethyl-4,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)



RN 206129-84-2 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-4-ethyl-1,4,7,8-tetrahydro-8-methyl- (9CI) (CA INDEX NAME)

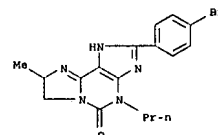
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Erich Leese

10/513699

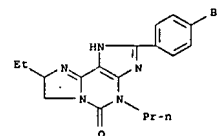
RN 206129-91-1 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-1,4,7,8-tetrahydro-8-methyl-4-propyl- (9CI) (CA INDEX NAME)



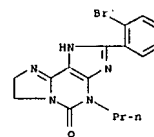
RN 206129-93-3 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-8-ethyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 206129-95-5 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-(2-bromophenyl)-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



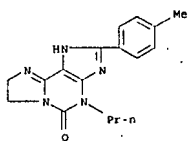
RN 206129-97-7 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(4-methylphenyl)-4-propyl- (9CI) (CA INDEX NAME)

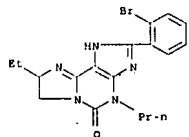
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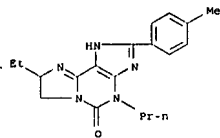
10/513699



RN 206129-99-9 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 2-(2-bromophenyl)-8-ethyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 206130-01-0 CAPLUS
CN 5H-imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-2-(4-methylphenyl)-4-propyl- (9CI) (CA INDEX NAME)



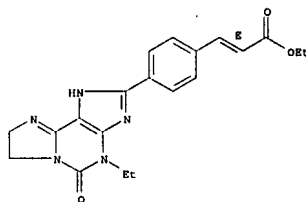
RN 206130-03-2 CAPLUS
CN 2-Propenoic acid, 3-[4-(4-ethyl-4,5,7,8-tetrahydro-5-oxo-1H-imidazo[2,1-i]purin-2-yl)phenyl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

<12/04/2007>

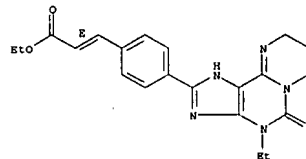
Erich Leese

10/513699



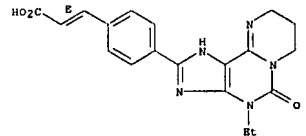
RN 206130-06-4 CAPLUS
CN 2-Propenoic acid, 3-[4-(4-ethyl-1,4,5,7,8,9-hexahydro-5-oxopyrimido[2,1-i]purin-2-yl)phenyl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 206130-07-6 CAPLUS
CN 2-Propenoic acid, 3-[4-(4-ethyl-1,4,5,7,8,9-hexahydro-5-oxopyrimido[2,1-i]purin-2-yl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



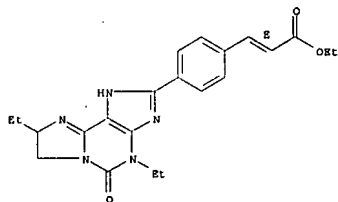
RN 206130-09-8 CAPLUS
CN 2-Propenoic acid, 3-[4-(4,8-diethyl-4,5,7,8-tetrahydro-5-oxo-1H-imidazo[2,1-i]purin-2-yl)phenyl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

<12/04/2007>

Erich Leese

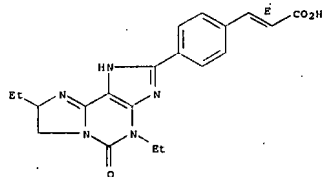
10/513699

Double bond geometry as shown.



RN 206130-11-2 CAPLUS
CN 2-Propenoic acid, 3-[4-(4,8-diethyl-4,5,7,8-tetrahydro-5-oxo-1H-imidazo[2,1-i]purin-2-yl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



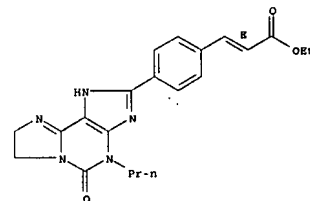
RN 206130-13-4 CAPLUS
CN 2-Propenoic acid, 3-[4-(4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-2-yl)phenyl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

<12/04/2007>

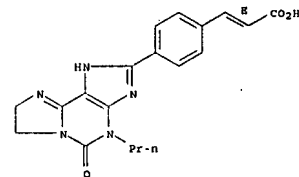
Erich Leese

10/513699



RN 206130-15-6 CAPLUS
CN 2-Propenoic acid, 3-[4-(4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-2-yl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

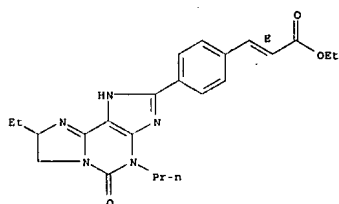


RN 206130-17-8 CAPLUS
CN 2-Propenoic acid, 3-[4-(4,8-ethyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-2-yl)phenyl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

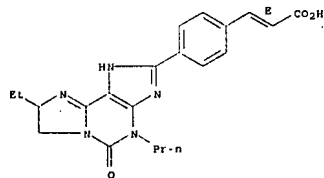
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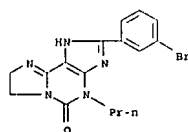


RN 206130-19-0 CAPLUS
CN 2-Propenoic acid, 3-[4-(8-ethyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-2-yl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



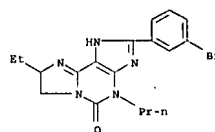
RN 206130-21-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(3-bromophenyl)-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



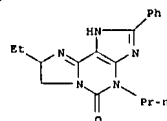
RN 206130-23-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(3-bromophenyl)-8-ethyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

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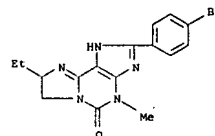
Erich Leese



RN 206130-25-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-2-phenyl-4-propyl- (9CI) (CA INDEX NAME)



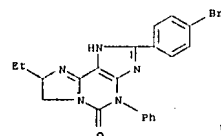
RN 206130-26-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-8-ethyl-1,4,7,8-tetrahydro-4-methyl- (9CI) (CA INDEX NAME)



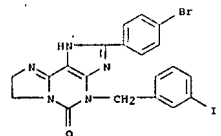
RN 206130-27-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-8-ethyl-1,4,7,8-tetrahydro-4-phenyl- (9CI) (CA INDEX NAME)

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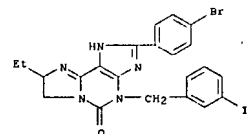
Erich Leese



RN 206130-28-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-1,4,7,8-tetrahydro-4-[(3-iodophenyl)methyl]- (9CI) (CA INDEX NAME)



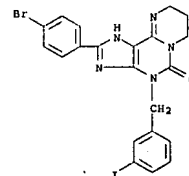
RN 206130-29-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-8-ethyl-1,4,7,8-tetrahydro-4-[(3-iodophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 206130-30-5 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 2-(4-bromophenyl)-4,7,8,9-tetrahydro-4-[(3-iodophenyl)methyl]- (9CI) (CA INDEX NAME)

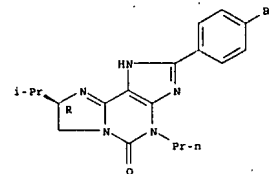
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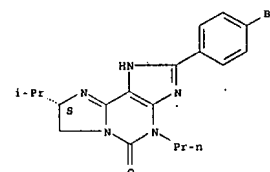
RN 206130-31-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 206130-32-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 206130-33-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-1,4,7,8-tetrahydro-8-

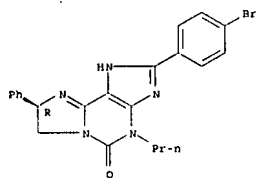
<12/04/2007>

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10/513699

phenyl-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

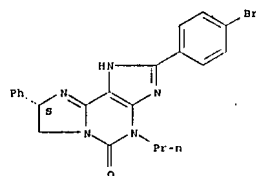
Absolute stereochemistry.



RN 206130-34-9 CAPLUS

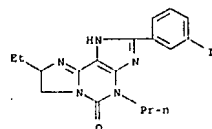
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-1,4,7,8-tetrahydro-8-phenyl-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 206130-35-0 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-2-(3-iodophenyl)-4-propyl-, (9CI) (CA INDEX NAME)



RN 206130-36-1 CAPLUS

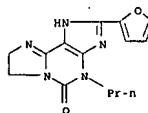
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(2-furanyl)-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)

<12/04/2007>

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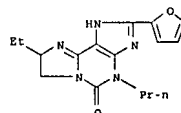
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(9CI) (CA INDEX NAME)



RN 206130-37-2 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(2-furanyl)-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)



IT 206130-58-7P 206130-59-8P 206130-61-2P

206130-62-3P 206130-63-4P 206130-65-6P

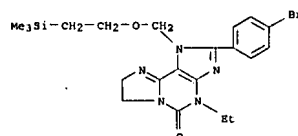
206130-66-7P 206130-68-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of fused purine deriva. as adenosine A1 receptor antagonists)

RN 206130-58-7 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-4-ethyl-1,4,7,8-tetrahydro-1-[(2-(trimethylsilyl)ethoxy)methyl]-, (9CI) (CA INDEX NAME)



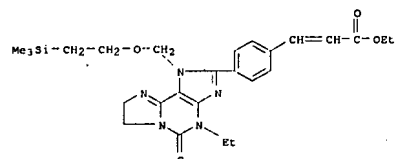
RN 206130-59-8 CAPLUS

CN 2-Propenoic acid, 3-[4-(4-ethyl-4,5,7,8-tetrahydro-5-oxo-1-[(2-(trimethylsilyl)ethoxy)methyl]-1H-imidazo[2,1-i]purin-2-yl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

<12/04/2007>

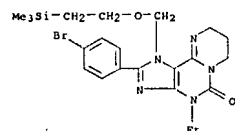
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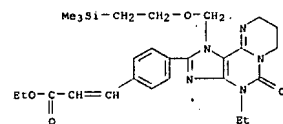
RN 206130-61-2 CAPLUS

CN Pyrimido[2,1-i]purin-5(1H)-one, 2-(4-bromophenyl)-4-ethyl-4,7,8,9-tetrahydro-1-[(2-(trimethylsilyl)ethoxy)methyl]-, (9CI) (CA INDEX NAME)



RN 206130-62-3 CAPLUS

CN 2-Propenoic acid, 3-[4-(4-ethyl-4,5,7,8,9-hexahydro-5-oxo-1-[(2-(trimethylsilyl)ethoxy)methyl]pyrimido[2,1-i]purin-2-yl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



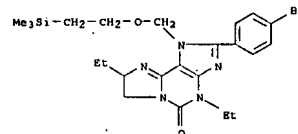
RN 206130-63-4 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-4,8-diethyl-1,4,7,8-tetrahydro-1-[(2-(trimethylsilyl)ethoxy)methyl]-, (9CI) (CA INDEX NAME)

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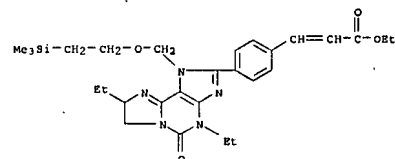
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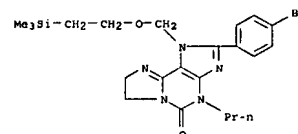
RN 206130-65-6 CAPLUS

CN 2-Propenoic acid, 3-[4-(4,8-diethyl-4,5,7,8-tetrahydro-5-oxo-1-[(2-(trimethylsilyl)ethoxy)methyl]-1H-imidazo[2,1-i]purin-2-yl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 206130-66-7 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-1,4,7,8-tetrahydro-4-propyl-1-[(2-(trimethylsilyl)ethoxy)methyl]-, (9CI) (CA INDEX NAME)

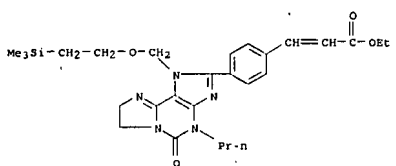


RN 206130-68-9 CAPLUS

CN 2-Propenoic acid, 3-[4-(4,5,7,8-tetrahydro-5-oxo-4-propyl-1-[(2-(trimethylsilyl)ethoxy)methyl]-1H-imidazo[2,1-i]purin-2-yl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

<12/04/2007>

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REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1997:603433 CAPLUS

DOCUMENT NUMBER: 127:242812

TITLE: Selective Inhibitors of Cyclic AMP-Specific Phosphodiesterase: Heterocycle-Condensed Purines
AUTHOR(S): Sawanishi, Hiroyuki; Suzuki, Hirokazu; Yamamoto, Shinya; Waki, Yoshihiro; Kasugai, Shohel; Onya, Keiichi; Suzuki, Nagao; Miyamoto, Ken-ichi; Takagi, Kenzo

CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Hokuriku University, Kanazawa, 920-11, Japan

SOURCE: Journal of Medicinal Chemistry (1997), 40(20), 3248-3253
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB To reverse the adverse reactions of alkylxanthines and to develop novel inhibitors of cAMP-specific phosphodiesterase (PDE IV), a series of heterocycle-condensed purines were designed and synthesized. Some of these new compds. had similar or more potent and selective inhibitory activity against PDE IV than known PDE IV inhibitors. The tracheal-relaxant activity of these compds. was closely correlated with their PDE IV-inhibitory activity. Moreover, these purine analogs did not have any pos.-chronotropic action or adenosine-antagonistic action on isolated heart preps., which are the particular adverse reactions of alkylxanthines. Among them, 3,4-dipropyl-4,5,7,8-tetrahydro-3H-imidazo[1,2-i]purin-5-one, which was the most selective and potent PDE IV inhibitor, did not cause emesis in *Suncus murinus* at a dosage range of 10-100 mg/kg (p.o.), while its imidazole analog and known PDE IV inhibitors such as rolipram and denbufylline caused emesis even at 10 or 30 mg/kg.

IT 135839-22-4P 195869-88-6P 195870-01-0P

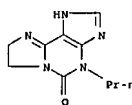
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (Preparation and structure of heterocycle-condensed purines as selective inhibitors of cAMP-specific phosphodiesterase)

RN 135839-22-4 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

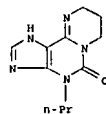
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Erich Leese



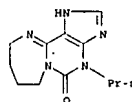
RN 195869-88-6 CAPLUS

CN Pyrimido[2,1-i]purin-5(1H)-one, 4,7,8,9-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 195870-01-0 CAPLUS

CN 5H-[1,3]Diazepino[2,1-i]purin-5-one, 1,4,7,8,9,10-hexahydro-4-propyl- (9CI) (CA INDEX NAME)



IT 195869-60-4P 195869-73-9P 195869-81-9P

195869-95-5P 195870-05-4P

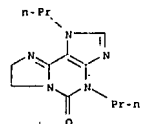
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (Preparation and structure of heterocycle-condensed purines as selective inhibitors of cAMP-specific phosphodiesterase)

RN 195869-60-4 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,4-dipropyl- (9CI) (CA INDEX NAME)

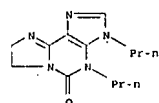
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Erich Leese



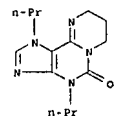
RN 195869-73-9 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)



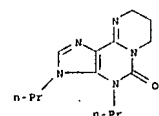
RN 195869-81-9 CAPLUS

CN Pyrimido[2,1-i]purin-5(1H)-one, 4,7,8,9-tetrahydro-1,4-dipropyl- (9CI) (CA INDEX NAME)



RN 195869-95-5 CAPLUS

CN Pyrimido[2,1-i]purin-5(3H)-one, 4,7,8,9-tetrahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)

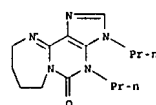


RN 195870-05-4 CAPLUS

<12/04/2007>

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CN 5H-[1,3]Diazepino[2,1-i]purin-5-one, 3,4,7,8,9,10-hexahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25

THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 1996:432308 CAPLUS

DOCUMENT NUMBER: 125:157747

TITLE: Theoretical structure-activity studies of adenosine A1 ligands: requirements for receptor affinity
AUTHOR(S): Dooley, Michael J.; Kono, Motomichi; Suzuki, Pumi

CORPORATE SOURCE: Pharmaceutical Res. Lab., Kyowa Hakko Kogyo Co. Ltd., Shizuoka-ken, 411, Japan

SOURCE: Bioorganic & Medicinal Chemistry (1996), 4(6), 923-934
CODEN: BMCEP; ISSN: 0968-0896

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The three-dimensional (3-D) requirements for A1 adenosine receptor affinity have been studied based on hydrogen-bonding functionality correlation between a group of twelve A1 adenosine receptor ligands representing ten structurally different classes of compds. Electrostatic potential similarity indexes and shape similarity indexes strongly support the proposed receptor-bound orientations of the ligands. We conclude, in areas common to both agonist and antagonist binding at the A1 receptor, that the ligands are recognized by a similar physicochem. 3-D environment. The finding of similar 3-D requirements for agonists and antagonists suggests a fairly static receptor structure in the region common to agonist and antagonist binding. The ribose moiety is remote from antagonist binding site. Such a 3-D environment rationalizes the binding of a number of potent novel antagonists including KM-3902, not previously reported in modeling studies.

IT 180145-17-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (theor. structure-activity studies of adenosine A1 ligands: requirements for receptor affinity)

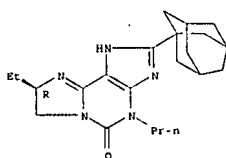
RN 180145-17-9 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-propyl-2-tricyclo[3.3.1.3.3]dec-1-yl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

Erich Leese



L4 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1995:589890 CAPLUS

DOCUMENT NUMBER: 123:74621

TITLE: Effects of the adenosine A₁-receptor antagonist on defecation, small intestinal propulsion and gastric emptying in rats

AUTHOR(S): Suzuki, Mayumi; Tomaru, Atsushi; Kishibayashi, Nobuyuki; Kerasawa, Akira

CORPORATE SOURCE: Pharmaceut. Res. Lab., Kyowa Hakko Kogyo Co., Ltd., Shizuoka, 411, Japan

SOURCE: Japanese Journal of Pharmacology (1995), 68(1), 110-23

CODEN: JJPAAZ; ISSN: 0021-5198

PUBLISHER: Japanese Pharmacological Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB We examined the effects of 1,3-dipropyl-8-cyclopentylxanthine (DPCPX) and (R)-7,8-dihydro-8-ethyl-2-(3-noradamantyl)-4-propyl-1H-imidazo[2,1-i]purin-5(4H)-one (KF20274), selective adenosine A₁-receptor antagonists, on the gastrointestinal propulsion in rats, as compared with those of the laxative bisacodyl. DPCPX and KF20274 (p.o.) dose-dependently increased the fecal pellet output, whereas these drugs at the dose that increased defecation did not affect small intestinal propulsion or gastric emptying. Bisacodyl increased defecation and slowed gastric emptying without any influence on small intestinal propulsion. Bisacodyl, but not DPCPX or KF20274, induced diarrhea at the dose inducing defecation. The present results suggest that the adenosine A₁-receptor antagonist selectively enhances the lower gastrointestinal propulsion, resulting in defecation without diarrhea.

IT 143394-68-7, KP 20274
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(effects of adenosine A₁-receptor antagonist on defecation, small intestinal propulsion and gastric emptying in rats)

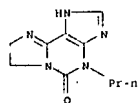
RN 143394-68-7 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

Erich Leese



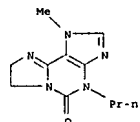
IT 135839-21-3P 135839-26-8P 152036-10-7P

152036-11-8P 152036-12-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

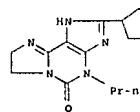
RN 135839-21-3 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1-methyl-4-propyl-, (9CI) (CA INDEX NAME)



RN 135839-26-8 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)

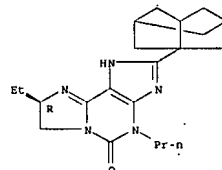


RN 152036-10-7 CAPLUS

CN Pyrimido[2,1-i]purin-5(1H)-one, 2-cyclopentyl-4,7,8,9-tetrahydro-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

<12/04/2007>

Erich Leese



L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:77080 CAPLUS

DOCUMENT NUMBER: 120:77080

TITLE: Convenient synthesis of tricyclic purine derivatives

AUTHOR(S): Shimada, Junichi; Kuroda, Takeshi; Suzuki, Fumio

CORPORATE SOURCE: Pharm. Res. Lab., Kyowa Hakko Kogyo Co., Ltd., Shizuoka, 411, Japan

SOURCE: Journal of Heterocyclic Chemistry (1993), 30(1), 241-6

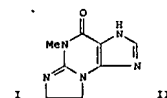
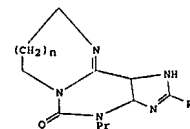
CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 120:77080

OI



AB A convenient synthesis of the title compds. I (R = H, cyclopentyl; n = 0-2) and II is described. The syntheses of I and II were accomplished by treatment of 6-methylthio-7H-purin-2(3H)-ones or 2-benzylthio-1-methyl-9-triphenylmethyl-9H-purin-6(1H)-one (III) with the appropriate amino alc. followed by dehydrative cyclization using SOCl₂. III was efficiently prepared by benzylation of 6-hydroxy-2-mercaptapurine followed by tritylation and N-methylation.

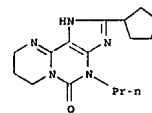
IT 135839-22-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and methylation of)

RN 135839-22-4 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)

<12/04/2007>

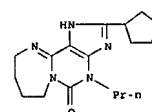
Erich Leese



● HCl

RN 152036-11-8 CAPLUS

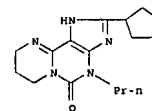
CN 5H-[1,3]Diazepino[2,1-i]purin-5(1H)-one, 2-cyclopentyl-1,4,7,8,9,10-hexahydro-4-propyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 152036-12-9 CAPLUS

CN Pyrimido[2,1-i]purin-5(1H)-one, 2-cyclopentyl-4,7,8,9-tetrahydro-4-propyl-, (9CI) (CA INDEX NAME)



L4 ANSWER 42 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1993:551642 CAPLUS

DOCUMENT NUMBER: 119:151642

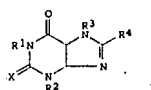
TITLE: Adenosine A₁ antagonists. 3. Structure-activity relationships on amelioration against scopolamine- or N6-[(R)-phenylisopropyl]adenosine-induced cognitive disturbance

AUTHOR(S): Suzuki, Fumio; Shimada, Junichi; Shiozaki, Shizuo;

<12/04/2007>

Erich Leese

ICHIKAWA, SHUNJI; TAHII, AKIO; NAKAMURA, VOJI; NONAKA, HIROMI; KOBAYASHI, HIROYUKI; FUSE, EIICHI
 PHARM. RES. LAB., KYOWA HAKKO KOGYO CO., LTD.,
 NAGAZUMI, 411, JAPAN
 JOURNAL OF MEDICINAL CHEMISTRY (1993), 36(17), 2508-18
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English



AB The effects of the variety of adenosine A1 and A2 antagonists (I, R1 = e.g., Me or Pr; R2 = Me, Pr, or iso-Bu; R3 = H or Me; R4 = H, cyclopentyl, noradamantyl-, or adamantyl-, X = O or S) on N6-(R)-phenylisopropyladenosine (R-PIA)- and scopolamine-induced amnesias were investigated. The results to clarify the role of adenosine receptors in learning and memory of some of the selective adenosine A1 antagonists exhibited antiamnesic activities at several doses where they did not induce an increase of spontaneous locomotion. The blockade of A1 receptors is more important than that of A2 receptors in learning and memory. Data on the structure-activity relationship of adenosine A1 antagonists in 2 amnesia models demonstrated that there were 3 types of adenosine A1 antagonists: 8-substituted 1,3-dipropylxanthines ameliorated the shortened latency in both models. 8-Substituted 1,3-dialkylxanthines and imidazo[2,1-b]purin-4(1H)-one derivatives ameliorated the shortened latency in the 2nd amnesia model but not in the 1st. 8-Substituted 1,3-dialkylxanthines induced amnesia model, I (R1 = Pr, R2 = CH2CH2C6H4NH2, R = H, acetyl or isobutyryl, R3 = H, R4 = e.g., cyclopentyl or noradamantyl, X = O) (II) ameliorated the shortened latency in the scopolamine model but not in the (R)-PIA model. The results indicate that A2 receptors are involved presumably due to rapid metabolism. The dramatic change in the activities of I could not be explained by their simple pharmacokinetic differences because both types of compds. showed clear blockade of central adenosine A1 receptors in the (R)-PIA model. KF-1121 (I; R1 = Pr; R2 = H; R4 = dicyclopropylmethyl, X = O) was chosen for further studies and is currently under preclin. development as a cognition enhancer.

IT 143394-68-7P 143394-70-1P 149744-70-5P
RL: 0PN (Synthetic preparation); PREP (Preparation)
(preparation and adenosine A1 and A2 receptor antagonist activities of,
structure in relation to)

RN 143394-68-7 CAPLUS
 CN 5H-Imidazo[2,1-*i*]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a[1*H*]-yl)-1,4,7,8-tetrahydro-4-propyl-, (8*R*)- (9*C*i) (CA INDEX NAME)

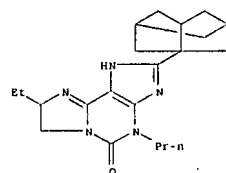
Absolute stereochemistry.

<12/04/2007>

Erich Lesse

10/513699

3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, monohydrochloride (9CI) (CA
INDEX NAME]



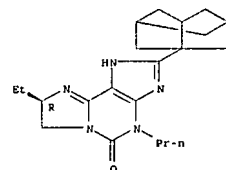
● HCl

RN 143394-69-8 CAPLUS
CN 5H-Imidazo[2,1-*i*]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (R)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143394-6B-7
CMF C21 H29 N5 O

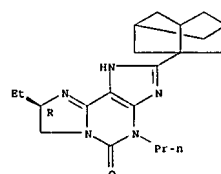
Absolute stereochemistry



CM 2

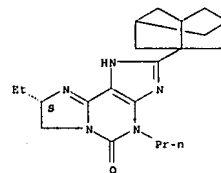
CRN 97-69-4
CMF C4 H6 O6

Absolute stereochemistry.

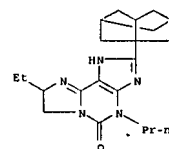


RN 143394-70-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149744-78-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

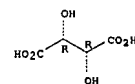


IT 143332-28-9P 143394-69-8P 143394-71-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 143332-28-9 CAPLUS
 CN 5H-imidazo[2,1-b]purin-5-one, 8-ethyl-2-(hexahydro-2,6-methanopentalen-

12/04/2007

Erich Leese

10/513699

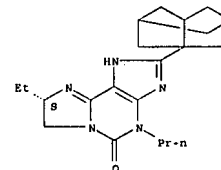


RN 143394-71-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (S)-, [S-(R*,R*)]-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143394-70-1
CMP C21 H29 N5 O

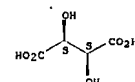
Absolute stereochemistry.



CM 2

CRN 147-71-7
CMP C4 H6 O6

Absolute stereochemistry



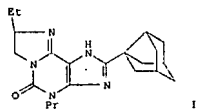
L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:612210 CAPLUS
DOCUMENT NUMBER: 117:212210
TITLE: 7,8-Dihydro-8-ethyl-1-(3-noradamantyl)-4-propyl-1H-imidazo[2,1-l]purin-5(4H)-one: a potent and water-soluble adenosine A1 antagonist
AUTHOR(S): Suzuki, Fumiio; Shimada, Junichi; Nonaka, Hiroshi;

<12/04/2007>

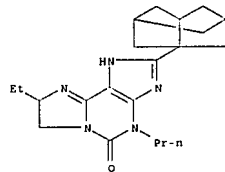
Erich Leese

10/513699

Ishtai, Akio; Shiozaki, Shizuo; Ichikawa, Shunji; Ono, Eikichi
 CORPORATE SOURCE: Pharm. Res. Lab., Kyowa Hakko Kogyo Co., Ltd.,
 Nagazumi, 41, Japan
 SOURCE: Journal of Medicinal Chemistry (1992), 35(19), 3578-81
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 Q1



AB A new tricyclic heterocycle, 7,8-dihydro-8-ethyl-2-(3-noradamantyl)-4-propyl-1H-imidazo[2,1-f]purin-5(4H)-one (1), exhibited a potent adenosine A1 antagonistic activity in vitro and in vivo. This unique nonxanthine adenosine antagonist showed much better water solubility (3.2 mg/mL) than potent A1 antagonists reported to date. Anal. of adenosine A1 receptor binding of R- and S-1 suggest a new receptor binding mode.
 IT 143332-28-9P 143394-69-8P 143394-71-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation, water solubility, and adenosine A1 antagonistic activity of)
 RN 143332-28-9 CAPLUS
 CN 5H-Imidazo[2,1-f]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



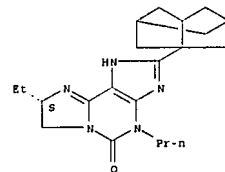
● HCl

RN 143394-69-8 CAPLUS
 CN 5H-Imidazo[2,1-f]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (R)-, (2R,3R)-2,3-

<12/04/2007>

Erich Leese

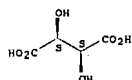
10/513699



CM 2

CRN 147-71-7
 CNF C4 H6 O6

Absolute stereochemistry.



L4 ANSWER 44 OF 46 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1991:536115 CAPLUS
 DOCUMENT NUMBER: 115:136115
 TITLE: Preparation of condensed purine derivatives as drugs
 INVENTOR(S): Suzuki, Fumio; Shimada, Junichi; Kuroda, Takeshi;
 Kubo, Kazuhiro; Karasawa, Akira; Ohno, Tetsuji;
 Ohnori, Kenji
 PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
 SOURCE: Eur. Pat. Appl., 43 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 423805	A2	19910424	EP 1990-120056	19901019
EP 423805	A3	19920102		
EP 423805	B1	20000823		
CA 2028235	A1	19910421	CA 1990-2028235	19901019
CA 2028235	C	19970121		
JP 03204880	A	19910906	JP 1990-281578	19901019
US 5270316	A	19931214	US 1990-599758	19901019
AT 195739	T	20000915	AT 1990-120056	19901019
ES 2152207	T3	20010201	ES 1990-120056	19901019

<12/04/2007>

Erich Leese

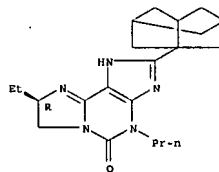
10/513699

dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143394-68-7
 CNF C21 H29 N5 O

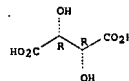
Absolute stereochemistry.



CM 2

CRN 87-69-4
 CNF C4 H6 O6

Absolute stereochemistry.



RN 143394-71-2 CAPLUS
 CN 5H-Imidazo[2,1-f]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (S)-, [8-(R*,R*)]-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143394-70-1
 CNF C21 H29 N5 O

Absolute stereochemistry.

<12/04/2007>

Erich Leese

10/513699

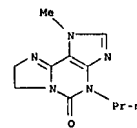
PRIORITY APPLN. INFO.: MARPAT 115:136115 JP 1989-273403 A 19891020
 OTHER SOURCE(S):

GI For diagram(s), see printed CA issue.
 AB Title compds. I (A = O, O1, O2, R1 = H, alkyl, alicyclic alkyl, noradamantan-3-yl, dicyclopropylmethyl, styryl; R2 = H, alkyl, alicyclic alkyl; R3 = H, alkyl, PhCH2; X1, X2 = H, alkyl, aralkyl, Ph; n = 0, 1) or a salt thereof, useful as diuretics, renal protecting agents, bronchodilators or hypotensives, are prepared. Thus, H2NCH2CH2OH was added to 3,7-dihydro-7-methyl-6-(methylthio)-3-propyl-2H-purin-2-one (preparation given) and treated at 160° for 1 h to give the hydroxyethylamino derivative which was refluxed with POCl3 and after workup to give the imidazopurinone II. II showed biol. activity as the above agents.
 IT Pharmaceutical formulations are given.

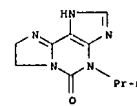
IT 135839-21-3P 135839-22-4P 135839-23-5P
 135839-24-6P 135839-25-7P 135839-26-8P
 135839-27-9P 135839-28-0P 135839-29-1P
 135839-30-4P 135839-31-5P 135839-32-6P
 135839-33-7P 135839-34-8P 135839-35-9P
 135839-36-0P 135839-37-1P 135839-38-2P
 135839-39-3P 135839-40-6P 135839-41-7P
 135839-42-8P 143332-28-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, as drug)

RN 135839-21-3 CAPLUS
 CN 5H-Imidazo[2,1-f]purin-5-one, 1,4,7,8-tetrahydro-1-methyl-4-propyl- (9CI) (CA INDEX NAME)



RN 135839-22-4 CAPLUS
 CN 5H-Imidazo[2,1-f]purin-5-one, 1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

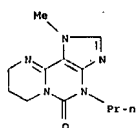


RN 135839-23-5 CAPLUS
 CN Pyrimido[2,1-f]purin-5(1H)-one, 4,7,8,9-tetrahydro-1-methyl-4-propyl- (9CI) (CA INDEX NAME)

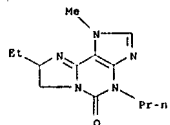
<12/04/2007>

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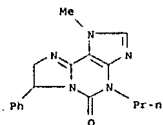
10/513699



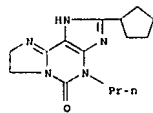
RN 135839-24-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1-methyl-4-propyl- (9CI) (CA INDEX NAME)



RN 135839-25-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1-methyl-7-phenyl-4-propyl- (9CI) (CA INDEX NAME)



RN 135839-26-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

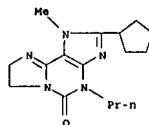


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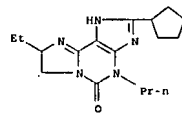
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10/513699

RN 135839-27-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-1-methyl-4-propyl- (9CI) (CA INDEX NAME)

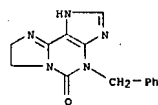


RN 135839-28-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 135839-29-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



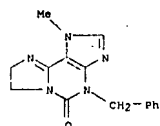
● HCl

RN 135839-30-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1-methyl-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

<12/04/2007>

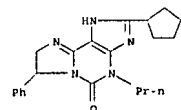
Erich Leese

10/513699



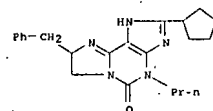
● HCl

RN 135839-31-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-7-phenyl-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 135839-32-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

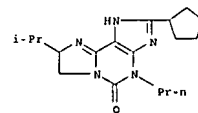
RN 135839-33-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(1-

<12/04/2007>

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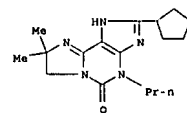
10/513699

methyl-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



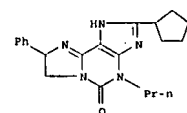
● HCl

RN 135839-34-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8,8-dimethyl-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 135839-35-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-phenyl-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

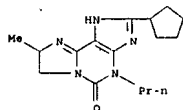
RN 135839-36-0 CAPLUS

<12/04/2007>

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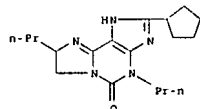
RN 135839-39-3 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-methyl-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 135839-37-1 CAPLUS

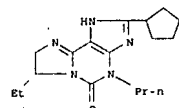
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4,8-dipropyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 135839-38-2 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-7-ethyl-1,4,7,8-tetrahydro-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

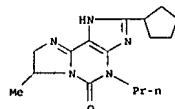
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10/513699

RN 135839-39-3 CAPLUS

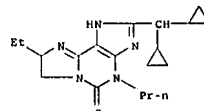
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-7-methyl-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 135839-40-6 CAPLUS

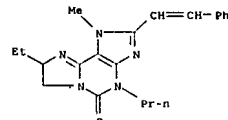
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(dicyclopropylmethyl)-8-ethyl-1,4,7,8-tetrahydro-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 135839-41-7 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1-methyl-2-(2-phenylethenyl)-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

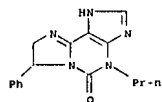
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RN 135839-42-8 CAPLUS

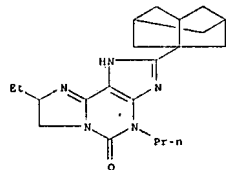
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-7-phenyl-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 143332-28-9 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

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ACCESSION NUMBER: 1990:138984 CAPLUS

DOCUMENT NUMBER: 112:138984

TITLE: Synthesis of new heteroannulated 8-azapurines

AUTHOR(S): Ried, Walter; Laoutidis, Joannis

CORPORATE SOURCE: Inst. Org. Chem., Univ. Frankfurt, Frankfurt, D-6000/70, Germany

SOURCE: Liebigs Annalen der Chemie (1990), (2), 207-8

CODEN: LACNDL; ISSN: 0170-2041

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 112:138984

G1 For diagram(s), see printed CA Issue.

AB The aminotriazoles I (R = H, 2-Cl, 4-Cl, 2,4-Cl₂) reacted with XCR12 [X =

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O, R = Cl, R₁ = Cl, OPh; X = X, R₁ = R₂ = Cl; X = C(CN)₂, NCN, R₁ = R₂ = SMe) to give the title compds. II.

IT 124127-56-6P 124127-57-7P 124127-58-8P

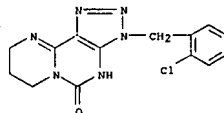
124127-59-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

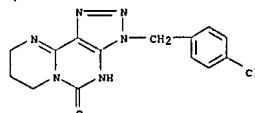
RN 124127-56-6 CAPLUS

CN Pyrimido[1,2-c]-1,2,3-triazolo[4,5-e]pyrimidin-5(3H)-one, 3-[(2-chlorophenyl)methyl]-4,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)



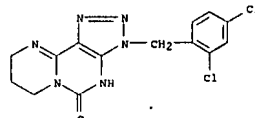
RN 124127-57-7 CAPLUS

CN Pyrimido[1,2-c]-1,2,3-triazolo[4,5-e]pyrimidin-5(3H)-one, 3-[(4-chlorophenyl)methyl]-4,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)



RN 124127-58-8 CAPLUS

CN Pyrimido[1,2-c]-1,2,3-triazolo[4,5-e]pyrimidin-5(3H)-one, 3-[(2,4-dichlorophenyl)methyl]-4,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)



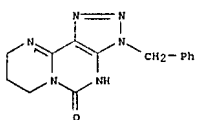
RN 124127-59-9 CAPLUS

CN Pyrimido[1,2-c]-1,2,3-triazolo[4,5-e]pyrimidin-5(3H)-one, 4,7,8,9-tetrahydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

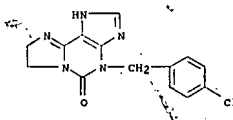
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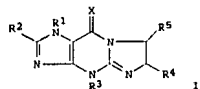
10/513699



10/513699



L4 ANSWER 46 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1980:579371 CAPLUS
 DOCUMENT NUMBER: 93:179371
 TITLE: Substituted 6,7-dihydroimidazo[1,2-a]purin-9(4H)-ones
 AUTHOR(S): Temple, D. L., Jr.; Yevich, J. P.; Catt, J. D.; Owens, D.; Hanning, C.; Covington, R. R.; Seidehamel, R. J.; Dungan, K. W.
 CORPORATE SOURCE: Res. Lab., Mead Johnson Pharm., Evansville, IN, 47721, USA
 SOURCE: Journal of Medicinal Chemistry (1980), 23(11), 1188-98
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 93:179371
 GI:



AB The title compds., mostly represented by I (R1 and R4 = H or alkyl; R2 = H, Br, alkyl, NH2, SH, or MeS; R3 = alkyl, benzyl, or substituted benzyl; R5 = H or Me; X = O or S), were prepared by several methods and evaluated in rats for antiallergic and bronchodilator activities. 4-[(4-chlorophenyl)methyl]-6,7-dihydro-3H-imidazo[1,2-a]purin-9(4H)-one (68020-42-8) showed better activity than theophylline against both metacholine- and antigen-induced bronchospasm, did not affect spontaneous motor activity, and showed minimal cardiovascular effects. Structure-activity relations are discussed.
 IT 75185-17-0P
 RL: SPH (Synthetic preparation); PREP (Preparation) (preparation and antiallergic and bronchodilator activity of)
 RN 75185-17-0 CAPLUS
 CN 5H-Imidazo[2,1-i]purin-5-one, 4-[(4-chlorophenyl)methyl]-1:4,7,8-tetrahydro- (9CI) (CA INDEX NAME)

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